

02/29/2008

10-566,291.trn

Connecting via Winsock to STN

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LOGINID:ssptajem1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

02/29/2008

10-566,291.trn

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 18:42:05 ON 25 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:42:22 ON 25 FEB 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

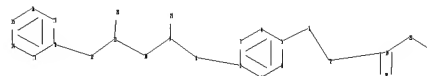
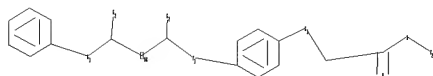
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10-566,291-1.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 18:43:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 56620 TO ITERATE

3.5% PROCESSED 2000 ITERATIONS

3 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*

BATCH \*\*COMPLETE\*\*

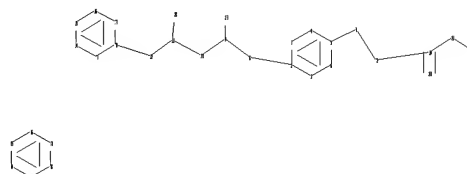
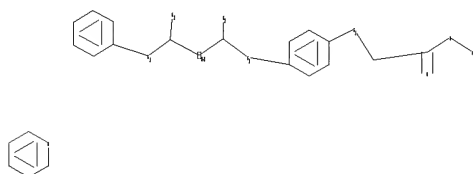
PROJECTED ITERATIONS: 1118209 TO 1146591

PROJECTED ANSWERS: 1146 TO 2250

L2 3 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\10-566,291-1a.str



02/29/2008

10-566,291.trn

```
chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 :
```

G1:C,O,S

G2:H,Ak

G3:C,O

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom
```

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sss sam

SAMPLE SEARCH INITIATED 18:46:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

02/29/2008

10-566,291.trn

PROJECTED ITERATIONS: 105553 TO 114447  
PROJECTED ANSWERS: 1 TO 154

L4 1 SEA SSS SAM L3

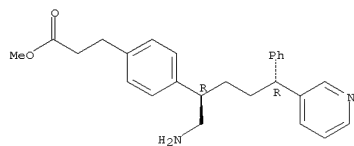
=> d scan

02/29/2008

10-566,291.trn

L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzenepropanoic acid,  
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
methyl ester, (R\*,R\*)- (9CI)  
MF C26 H30 N2 O2

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

02/29/2008

10-566,291.trn

=> s 13 sss full

FULL SEARCH INITIATED 18:47:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 110244 TO ITERATE

100.0% PROCESSED 110244 ITERATIONS

85 ANSWERS

SEARCH TIME: 00.00.02

L5 85 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

182.04

182.25

FILE 'CAPLUS' ENTERED AT 18:47:51 ON 25 FEB 2008

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FILE COVERS 1907 - 25 Feb 2008 VOL 148 ISS 9

FILE LAST UPDATED: 24 Feb 2008 (20080224/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 15

L6 14 L5

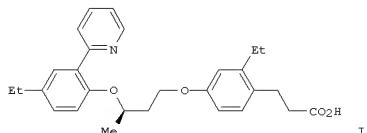
=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

02/29/2008

10-566,291.trn

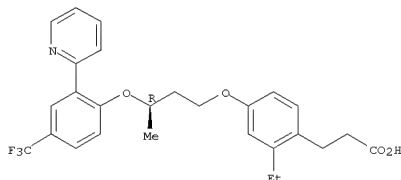
L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:129792 CAPLUS  
 DOCUMENT NUMBER: 146:379636  
 TITLE: Design and synthesis of a novel class of dual  
 PPAR $\gamma$ / $\delta$  agonists  
 AUTHOR(S): Gonzalez, Isabel C.; Lamar, Jason; Iradier, Fatima;  
 Xu, Yanping; Winneroski, Leonard L.; York, Jeremy;  
 Yumibe, Nathan; Zink, Richard; Montrose-Rafizadeh,  
 Chahrzad; Etgen, Gary J.; Broderick, Carol L.;  
 Oldham,  
 CORPORATE SOURCE: Brian A.; Mantlo, Nathan  
 Lilly Research Laboratories, Lilly Corporate center,  
 Eli Lilly & Company, Indianapolis, IN, 46285, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),  
 17(4), 1052-1055  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:379636  
 GI



AB The design and synthesis of dual PPAR  $\gamma$ / $\delta$  agonist  
 (R)-3-[(2-ethyl-4-[3-(4-ethyl-2-pyridin-2-yl-phenoxy)-  
 phenyl]propionic acid (I) is described. I dose-dependently lowered  
 plasma  
 glucose in hyperglycemic male Zucker diabetic fatty (ZDF) rats and  
 produced less weight gain relative to rosiglitazone at an equivalent  
 level of  
 glucose control.  
 IT 847349-20-6P 847349-23-9P 847349-30-8P  
 847352-14-1P 847352-16-3P 847352-17-4P  
 847352-18-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation, dual PPAR $\gamma$ / $\delta$  agonistic activity, and SAR of  
 [(phenoxybutoxy)phenyl]propionic acid derivs.)  
 RN 847349-20-6 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-  
 pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

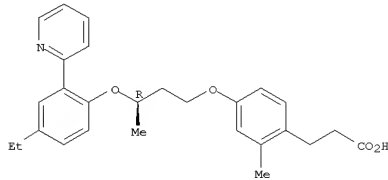
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-14-1 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

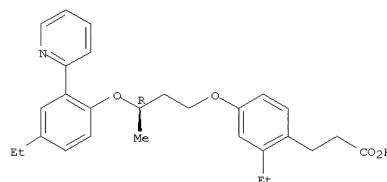
Absolute stereochemistry.



RN 847352-16-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

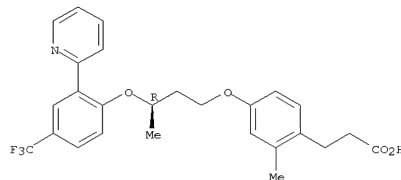
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-23-9 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-  
 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

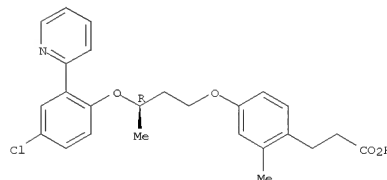
Absolute stereochemistry.



RN 847349-30-8 CAPLUS  
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 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

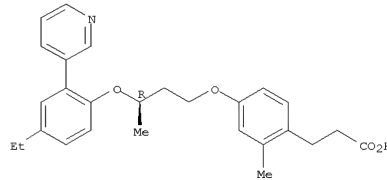
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-17-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

Absolute stereochemistry.



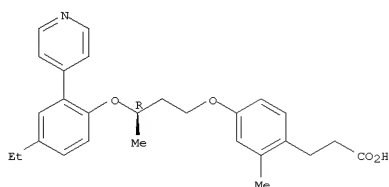
RN 847352-18-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

10-566,291.trn

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

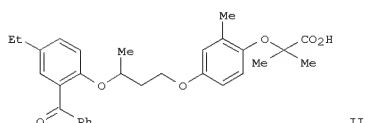
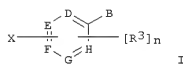
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Manto, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 603 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949  
 GI

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
 A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carbboxamido, sulfonamido, etc.;  
 Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl, or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;  
 R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkoxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid  
 II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

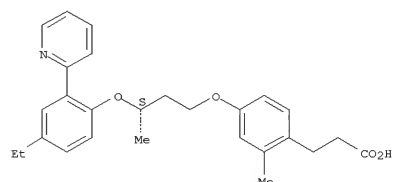
IT 847345-57-7P, 3-[4-[[[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-60-2P, 3-[4-[[[(S)-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-63-5P, 3-[4-[[[(S)-3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-65-7P, 3-[4-[[[(S)-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847347-31-3P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid 847348-30-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

847349-20-6P, (R)-3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid 847349-23-9P,  
 (R)-3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-26-2P, (R)-3-[2-Methyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-30-8P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-32-0P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-37-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847349-43-3P,  
 (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847351-60-4P, (S)-3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butyl]sulfanyl]phenyl]propionic acid 847352-14-1P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-15-2P, (R)-[[4-[3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-16-3P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-17-4P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-18-5P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-57-7 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



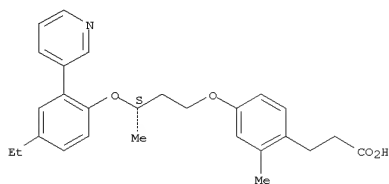
RN 847345-60-2 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

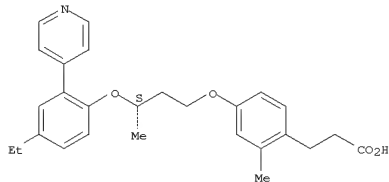
10-566,291.trn

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-63-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

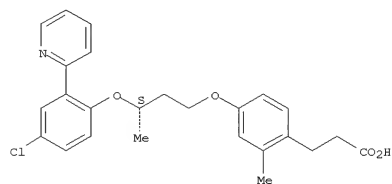
Absolute stereochemistry.



RN 847345-65-7 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

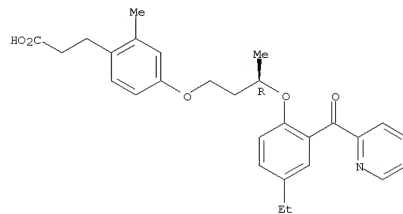
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847347-31-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-  
 pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

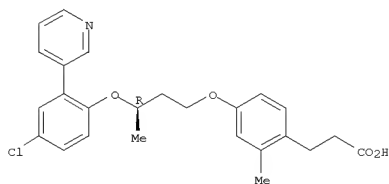
Absolute stereochemistry.



RN 847348-30-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-chloro-2-(3-pyridinyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

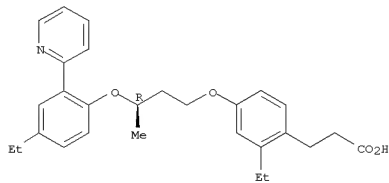
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



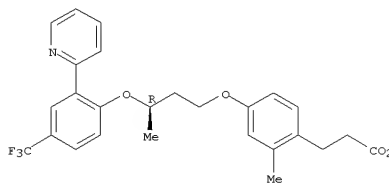
RN 847349-20-6 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-  
 pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847349-23-9 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-  
 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

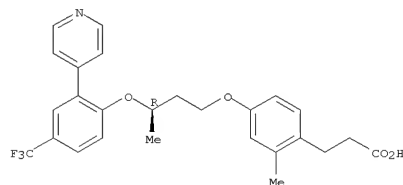
Absolute stereochemistry.



L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

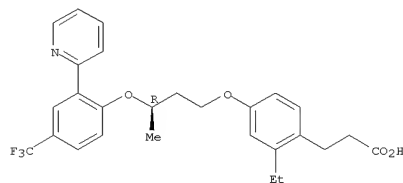
RN 847349-26-2 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(4-pyridinyl)-4-  
 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847349-30-8 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-  
 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



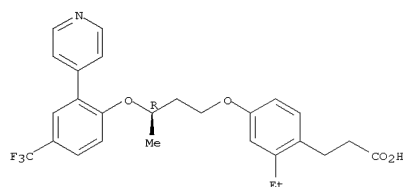
RN 847349-32-0 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-  
 (trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

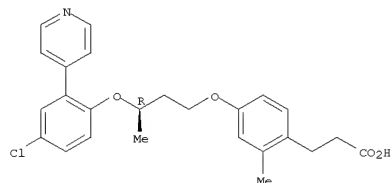
10-566,291.trn

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-37-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

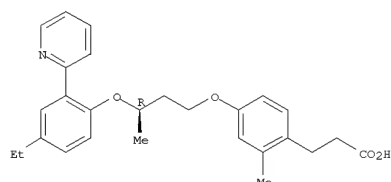
Absolute stereochemistry.



RN 847349-43-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

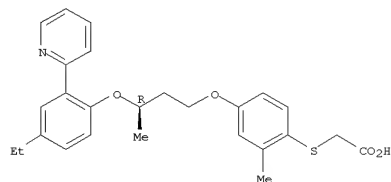
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



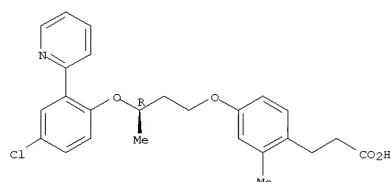
RN 847352-15-2 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

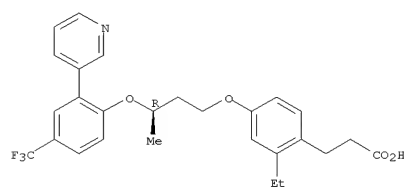


RN 847352-16-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-  
 2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

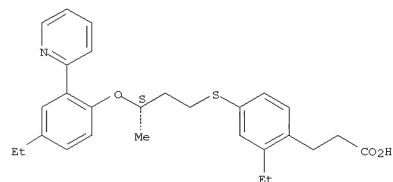


L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847351-60-4 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



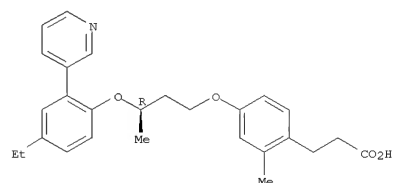
RN 847352-14-1 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

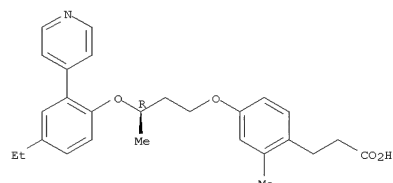
RN 847352-17-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-18-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-  
 methyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 847345-59-9P, 3-[4-[[[S]-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester  
 847345-62-4P, 3-[4-[[[S]-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester  
 847345-67-9P, 3-[4-[[[S]-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester  
 847347-32-4P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester  
 847349-22-8P, 3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid ethyl ester 847349-25-1P  
 3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester

02/29/2008

10-566,291.trn

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as

PPAR

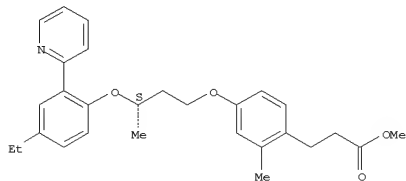
agonists)

RN 847345-59-9 CAPLUS

CN Benzenepropanoic acid,

4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-  
 methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

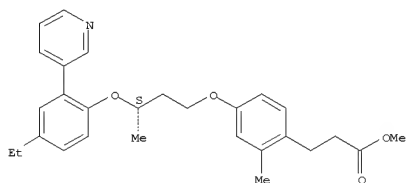


RN 847345-62-4 CAPLUS

CN Benzenepropanoic acid,

4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-  
 methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

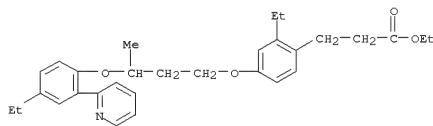


RN 847345-67-9 CAPLUS

CN Benzenepropanoic acid,

4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-  
 2-methyl-, methyl ester (CA INDEX NAME)

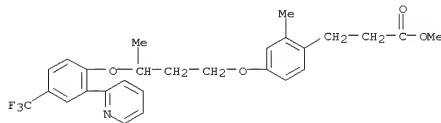
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-25-1 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(2-pyridinyl)-4-

(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)



IT 847349-29-5, 3-[2-Methyl-4-[3-[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester  
 847349-31-9, 3-[2-Ethyl-4-[3-[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester  
 847349-33-1, (R)-3-[2-Ethyl-4-[3-[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester  
 847349-40-0, 3-[4-[3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester 847349-45-5,

3-[2-Ethyl-4-[3-[2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester  
 RL: RCT (Reactant); RACT (Reactant or reagent)

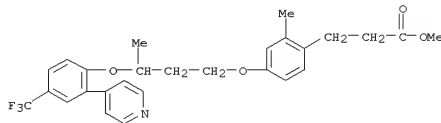
(preparation of alkoxyphenylalkanoic acids and analogs as PPAR

agonists)

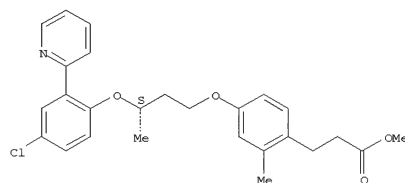
RN 847349-29-5 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(4-pyridinyl)-4-

(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)



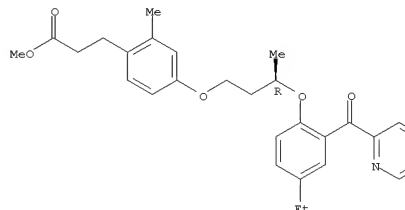
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



RN 847347-32-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



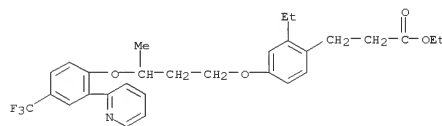
RN 847349-22-8 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 847349-31-9 CAPLUS

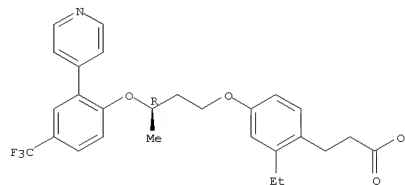
CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)



RN 847349-33-1 CAPLUS

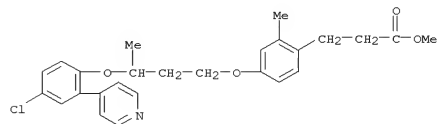
CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847349-40-0 CAPLUS

CN Benzenepropanoic acid, 4-[3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)



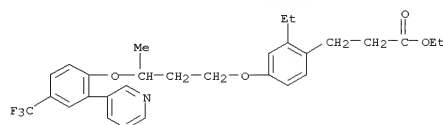
RN 847349-45-5 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

02/29/2008

10-566,291.trn

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

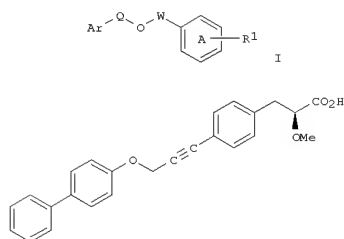
L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964313 CAPLUS  
DOCUMENT NUMBER: 138:55745  
TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions  
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrazad; Relfel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated  
SOURCE: PCT Int. Appl., 458 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449256	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A1	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
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BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
JP 2005509590	T	20050414	JP 2003-503584	20020530
NZ 529351	A	20060127	NZ 2002-529351	20020530
IN 2003KN01456	A	20060414	IN 2003-KN1456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031113
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211
PRIORITY APPLN. INFO.:			US 2001-297144P	P 20010607

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 2002-US16950 W 20020530  
US 2003-479262 A1 20031201

OTHER SOURCE(S): MARPAT 138:55745  
GI



II

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond, C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)mCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkyl nitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%).  
Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPAR $\gamma$  agonists or PPAR $\alpha$ /PPAR $\gamma$  co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertension, obesity, anorexia

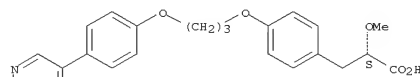
L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

IT 477982-80-2P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-3-yl)phenoxy]propoxy]phenyl]propionic acid 477982-81-3P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-4-yl)phenoxy]propoxy]phenyl]propionic acid 477982-82-4P, (2S)-2-Methoxy-3-[4-[3-[4-(quinolin-8-yl)phenoxy]propoxy]phenyl]propionic acid 477984-02-4P,

(2S)-2-Methoxy-3-[4-[2-[4-[(pyridine-3-carbonyl)amino]phenoxy]ethoxy]phenyl]propionic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

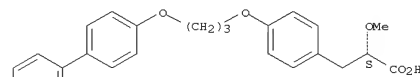
acids (PPAR modulator; preparation of substituted (phenyl) (alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)  
RN 477982-80-2 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[3-[4-(3-pyridinyl)phenoxy]propoxy]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 477982-81-3 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[3-[4-(4-pyridinyl)phenoxy]propoxy]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



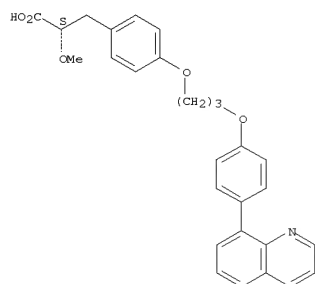
RN 477982-82-4 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[3-[4-(8-quinolinyl)phenoxy]propoxy]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

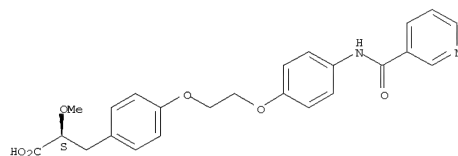
10-566,291.trn

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 477984-02-4 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[2-[4-[(3-pyridinylcarbonyl)amino]phenoxy]ethoxy]-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964190 CAPLUS  
 DOCUMENT NUMBER: 138:39272  
 TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids

and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 438 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016		
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P	P 20010607
			WO 2002-US15143	W 20020524

OTHER SOURCE(S): MARPAT 138:39272

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

GI

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-32-6P,  
 3-[4-[4-(Biphenyl-3-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-33-7P, 3-[4-[4-(4-

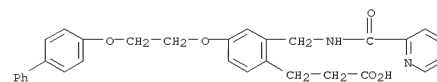
Phenoxyphenoxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-34-8P, 3-[4-[4-(3-Phenylbenzofuran-6-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-35-9P, 3-[4-[4-(6-Methoxynaphthalen-2-yloxy)butoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-39-3P,

3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-40-6P, 3-[4-[3-(Biphenyl-3-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-41-7P, 3-[4-[3-(6-Methoxynaphthalen-2-yloxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-48-4P,

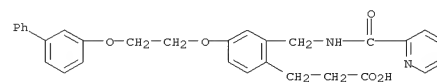
3-[4-[3-(4-Phenoxyphenoxy)propoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; prepn. of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 478546-21-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-4-yloxy)ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-22-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-3-yloxy)ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-23-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-(4-phenoxyphenoxy)ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)aryl; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un)substituted alk(en)ynylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators

(no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in

the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

IT 478546-21-3P, 3-[4-[2-(Biphenyl-4-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-22-4P,

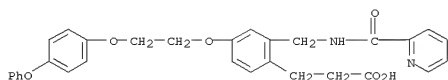
3-[4-[2-(Biphenyl-3-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-23-5P, 3-[4-[2-(4-

Phenoxyphenoxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-24-6P, 3-[4-[2-(3-Phenylbenzofuran-6-yloxy)ethoxy]-2-[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-25-7P, 3-[4-[2-(6-Methoxynaphthalen-2-yloxy)ethoxy]-2-[(2-

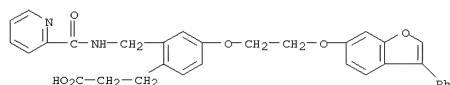
02/29/2008

10-566,291.trn

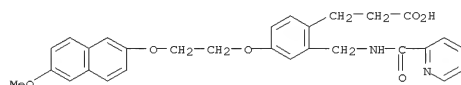
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



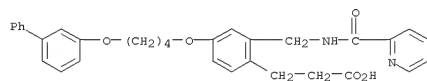
RN 478546-24-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-25-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[2-[(6-methoxy-2-naphthalenyl)oxy]ethoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

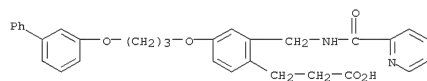


RN 478546-32-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[4-[(1,1'-biphenyl)-3-yloxy]butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

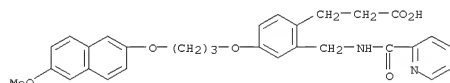


RN 478546-33-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[4-(4-phenoxyphenoxy)butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

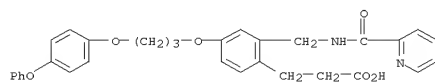
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 478546-41-7 CAPLUS  
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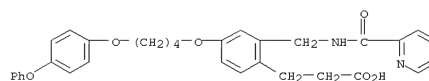
RN 478546-48-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-(4-phenoxyphenoxy)propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



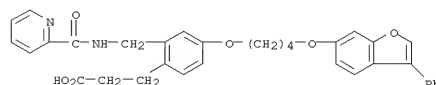
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

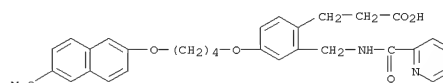
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



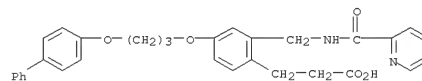
RN 478546-34-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[4-[(3-phenyl-6-benzofuranyl)oxy]butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-35-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[4-[(6-methoxy-2-naphthalenyl)oxy]butoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-39-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(1,1'-biphenyl)-4-yloxy]propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



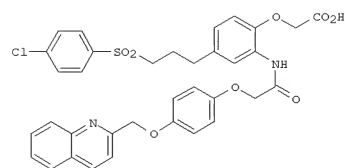
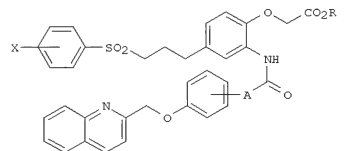
RN 478546-40-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(1,1'-biphenyl)-3-yloxy]propoxy]-2-[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:140201 CAPLUS  
 DOCUMENT NUMBER: 126:171492  
 TITLE: Preparation of phenoxyacetic acid derivatives as allergy inhibitors  
 INVENTOR(S): Tatsugami, Shinichi; Tajima, Atsumi; Koyama, Shingo  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012554	A	19970114	JP 1995-166121	19950630
PRIORITY APPLN. INFO.:				
			JP 1995-166121	19950630

OTHER SOURCE(S): MARPAT 126:171492  
 GI

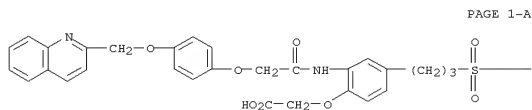


AB The title compds. [I; X = H, OH, halo, NO2, CF3, lower alkyl or alkoxy; R = H, lower alkyl; A = O(CH2)m, (CH2)m, (CH:CH)m, (CH2)mCONH(CH2)n, (CH2)mNHCO(CH2)n; m, n = 0-2] are prepared I, possessing thromboxane A2 (TXA2) and leukotriene D4 (LTD4) antagonism, are useful as allergy inhibitors for prevention and treatment of allergic inflammation diseases such as myocardial infarction, bronchial asthma, and so on. Thus,

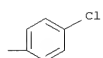
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10-566,291.trn

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-[5-[3-(4-chlorobenzenesulfonyl)propyl]-2-(hydroxy)phenyl]-2-[4-(2-quinolinylmethoxy)phenoxy]acetamide was reacted with BrCH<sub>2</sub>CO<sub>2</sub>Et in the presence of K<sub>2</sub>CO<sub>3</sub> and then treated with aq. LiOH to give the title compd. (II). II showed IC<sub>50</sub> of 1.1 + 10<sup>-9</sup> and 3.0 + 10<sup>-9</sup> M against TXA<sub>2</sub> and LTD<sub>4</sub>, resp. when tested on mouse in vitro.  
 IT 186641-30-5P 186641-32-7P 186641-34-9P 186641-36-1P 186641-37-2P 186641-38-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenoxyacetic acid derivs. as allergy inhibitors)  
 RN 186641-30-5 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[4-(2-quinolinylmethoxy)phenoxy]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

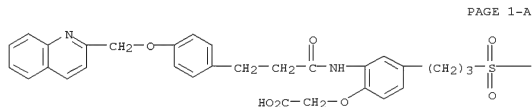


PAGE 1-A



PAGE 1-B

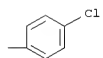
RN 186641-32-7 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



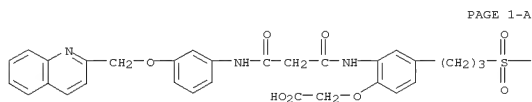
PAGE 1-A

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

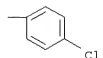


RN 186641-37-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[3-(2-quinolinylmethoxy)phenyl]amino]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

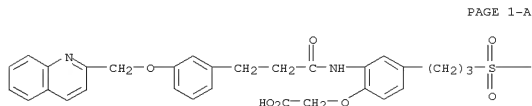


PAGE 1-A

PAGE 1-B

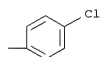


RN 186641-38-3 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[3-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



PAGE 1-A

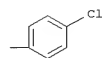
PAGE 1-B



IT 186641-42-9P 186641-45-2P 186641-48-5P 186641-51-0P 186641-54-3P 186641-57-6P

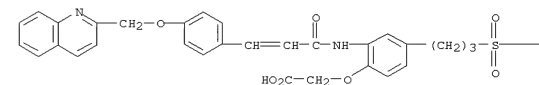
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

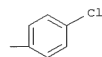


RN 186641-34-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

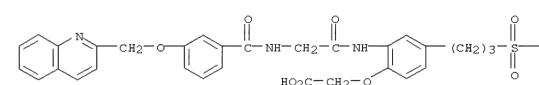


PAGE 1-B



RN 186641-36-1 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[3-(2-quinolinylmethoxy)benzoyl]amino]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

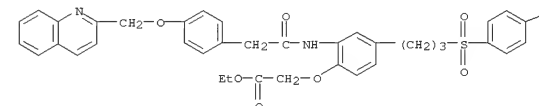
PAGE 1-A



L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)  
 RN 186641-42-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[4-(2-quinolinylmethoxy)phenyl]acetyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

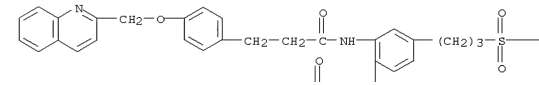


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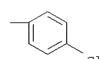


RN 186641-45-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



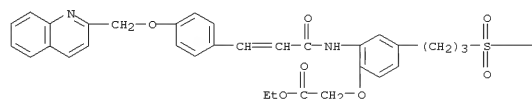
RN 186641-48-5 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

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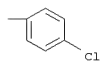
10-566,291.trn

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



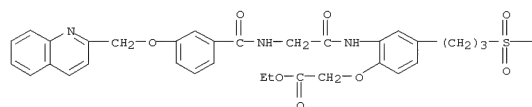
PAGE 1-B



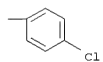
RN 186641-51-0 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[3-(2-quinolinylmethoxy)benzoyl]amino]acetyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 186641-54-3 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[[3-(2-quinolinylmethoxy)phenyl]amino]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:2234 CAPLUS

DOCUMENT NUMBER: 126:31271

TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals

INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi

PATENT ASSIGNEE(S): Terumo Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

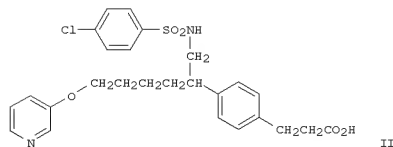
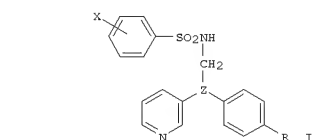
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309

PRIORITY APPLN. INFO.: JP 1995-49789 19950309

OTHER SOURCE(S): MARPAT 126:31271

GI

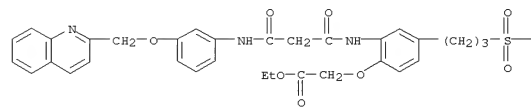
AB The title compds. I [X = H, halo, etc.; Z = O(CH<sub>2</sub>)<sub>n</sub>CH, etc.; R = (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound IIin vitro showed IC<sub>50</sub> of 0.039 x 10<sup>-6</sup> M against U-46619-induced platelet aggregation.

IT 184419-32-7P 184653-31-4P

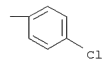
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



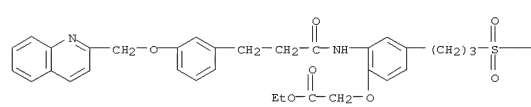
PAGE 1-B



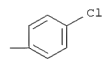
RN 186641-57-6 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[3-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

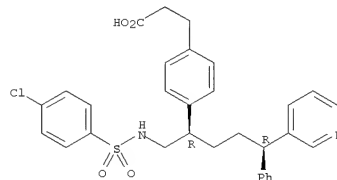
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridine moiety-contg. sulfonamide compds. as pharmaceuticals)

RN 184419-32-7 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

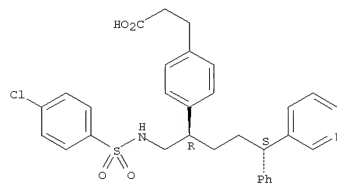
Relative stereochemistry.



RN 184653-31-4 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 184419-61-2P 184419-62-3P 184419-63-4P

184653-33-6P 184653-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

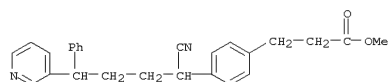
RN 184419-61-2 CAPLUS

CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

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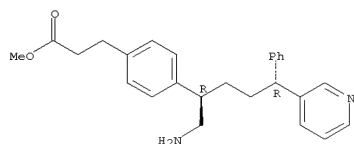
10-566,291.trn

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



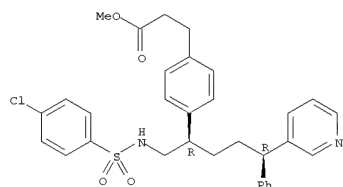
RN 184419-62-3 CAPLUS  
CN Benzenepropanoic acid,  
4-[1-((aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl)-,  
methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 184419-63-4 CAPLUS  
CN Benzenepropanoic acid, 4-[1-(((4-chlorophenyl)sulfonyl)amino)methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



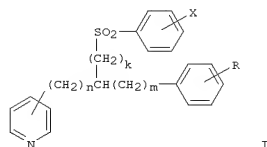
RN 184653-33-6 CAPLUS  
CN Benzenepropanoic acid,  
4-[1-((aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl)-,  
methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:509478 CAPLUS  
DOCUMENT NUMBER: 125:167791  
TITLE: Preparation of pyridylalkylphenylsulfone derivatives as antithrombotic agents and antiallergic agents  
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue;  
PATENT ASSIGNEE(S): Kasukawa, Hiroaki  
SOURCE: Terumo Kabushiki Kaisha, Japan  
PCT Int. Appl., 23 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPLN. INFO.:			JP 1994-316279	A 19941220
			WO 1995-JP2590	W 19951218

OTHER SOURCE(S): MARPAT 125:167791  
GI



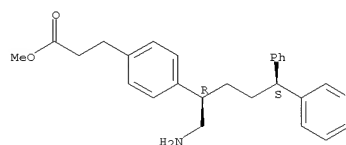
AB The title compds. I [X = H, OH, NO2, CN, CF3, halo, lower alkyl, lower alkoxy; R = O(CH2)aCO2R1, (CH2)aCO2R1, CR2:CR3CO2R1 or CR2R3CR4R5CO2R1 (R1, R2, R3, R4, R5 = H, lower alkyl; a = 0-5); h, m, n = 0-5] are prepared

A medicinal preparation containing I is also claimed. I possessing thromboxane A2 and prostaglandin H2 antagonisms and the effect of inhibiting the synthesis of thromboxane A2, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH2)2CO2H; h = 2; m = 0; n = 3] was prepared from p-HCCO6H4CH(OEt)2 in twelve steps and demonstrated a IC50 against thromboxane A2 of 0.25 μM.

IT 180153-37-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

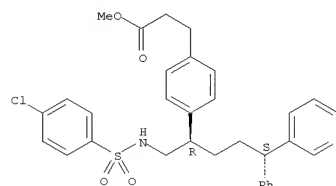
L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



RN 184653-34-7 CAPLUS  
CN Benzenepropanoic acid, 4-[1-(((4-chlorophenyl)sulfonyl)amino)methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

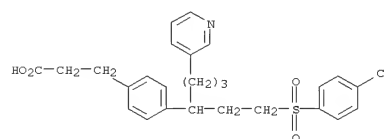
Relative stereochemistry.



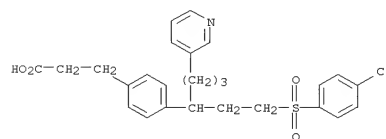
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

(Reactant or reagent); USES (Uses)  
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-37-1 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



IT 180153-38-2P 180153-39-3P 180153-40-6P  
180153-41-7P 180153-42-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)  
RN 180153-38-2 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)



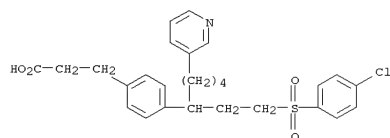
● Na

RN 180153-39-3 CAPLUS  
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

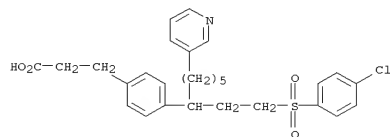
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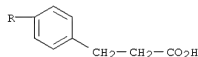
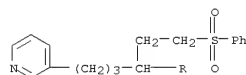
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 180153-40-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)



RN 180153-41-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



RN 180153-42-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

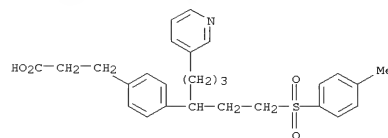
L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:457766 CAPLUS  
 DOCUMENT NUMBER: 125:114597  
 TITLE: Preparation of azole derivatives as leukotriene and thromboxane A2 antagonists  
 INVENTOR(S): Nagaoaka, Hitoshi; Yokota, Masaki; Akane, Hiroaki; Arakida, Yasuhito; Isomura, Yasuo  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 170 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

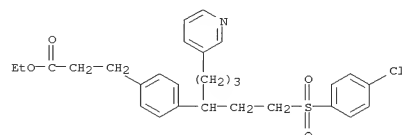
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611916	A1	19960425	WO 1995-JP2085	19951012
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2202623	A1	19960425	CA 1995-2202623	19951012
AU 9536730	A	19960506	AU 1995-36730	19951012
AU 699476	B2	19981203		
EP 786457	A1	19970730	EP 1995-934280	19951012
EP 786457	B1	20020529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1160397	A	19970924	CN 1995-195649	19951012
CN 1107059	B	20030430		
HU 77609	A2	19980629	HU 1997-2271	19951012
TW 381088	B	20000201	TW 1995-84110701	19951012
JP 3061862	B2	20000710	JP 1996-513092	19951012
RU 2161612	C2	20010110	RU 1997-107457	19951012
AT 218132	T	20020615	AT 1995-934280	19951012
FI 9701510	A	19970411	FI 1997-1510	19970411
NO 9701685	A	19970613	NO 1997-1685	19970411
NO 309268	B1	20010108		
US 5981559	A	19991109	US 1997-809466	19970815
PRIORITY APPLN. INFO.:			JP 1994-249488	A 19941014
			JP 1994-251121	A 19941018
			WO 1995-JP2085	W 19951012

OTHER SOURCE(S): MARPAT 125:114597  
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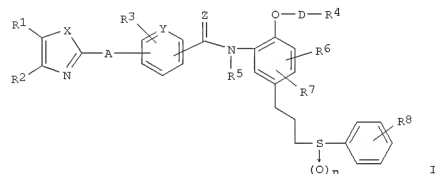
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 180153-36-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)  
 RN 180153-36-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)



L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

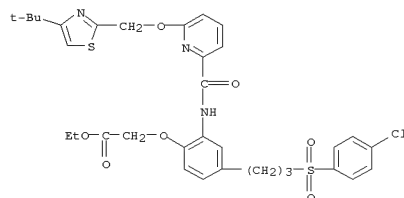


AB Thiazole- or oxazole-containing benzanilide derivs. represented by general formula [I; R1, R2 = H, cycloalkyl, (un)substituted lower alkyl, (un)substituted aryl; or R1R2 = CH:CHCH:CH or (CH2)4 to complete a condensed ring which may be substituted by optionally substituted lower alkyl, amino, etc.; R3, R6, R7, R8 = H, amino, cyano, NO2, OH, halo, lower alkoxy, (un)substituted lower alkyl; R4 = cyano, tetrazolyl, CO2H or its ester, E-NH-F-R10; wherein E = single bond, CO; F = single bond, lower alkylene; R10 = H, CONH2, mono- or dialkylcarbonyl, CO2H, lower alkoxy, carbonyl, optionally alkyl-substituted arylcarbonyl, lower alkanoyl, lower alkylsulfonyl, optionally alkyl-substituted arylsulfonyl; R5 = H or lower alkyl; D = optionally substituted lower alkylene; X, Z = O, S; Y = N, CH; A O-B, B-O, S-B, B-S or B (wherein B = lower alkylene or lower alkenylene); n = 0, 1 or 2] or pharmaceutically acceptable salts thereof, are prepared These compds. I have both of a leukotriene antagonistic effect and a thromboxane A2 antagonistic effect and are useful in preventing or treating allergic diseases (in particular, bronchial asthma, allergic rhinitis, or nettle rash), ischemic heart diseases, or ischemic brain diseases. Thus, a thiazole containing benzanilide derivative (II; R = H, R1 = Ph, A = CH:CH) (preparation given) was dissolved in DMF, treated successively with K2CO3, Bu4NBr, and Et bromoacetate, and stirred at room temperature for 12 h to give the title compound II (R = CH2CO2Et, R1 = Ph, A = CH:CH). II (R = CH2CO2H, R1 = CMe3, A = CH2O) showed IC50 of 0.055 μM for inhibiting the U-46619 (stable analog of thromboxane A2)-induced aggregation of guinea pig's platelet rich plasma. II (R = CH2CO2H, R1 = cyclobutyl, A = CH2O) at 10 mg/kg p.o. in vivo inhibited by 72% the U-46619-induced respiratory tract resistance in guinea pigs.  
 IT 179103-10-7P 179103-23-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of azole derivs. as leukotriene and thromboxane A2 antagonists for disease therapy)  
 RN 179103-10-7 CAPLUS

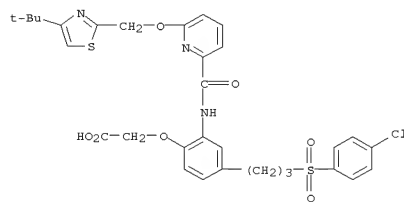
02/29/2008

10-566,291.trn

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



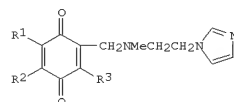
RN 179103-23-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:163998 CAPLUS  
 DOCUMENT NUMBER: 120:163998  
 TITLE: Preparation of quinolinyl group-containing phenoxyacetic acid derivatives as TXA2 and leukotriene antagonists  
 INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

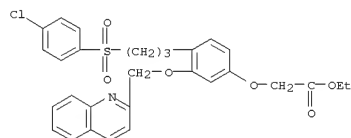
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05279336	A	19931026	JP 1992-64772	19920323
PRIORITY APPLN. INFO.:				
			JP 1992-64772	19920323

OTHER SOURCE(S): MARPAT 120:163998  
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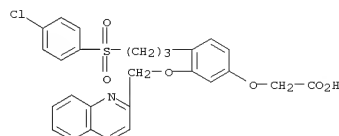


AB The title derivs. I [X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; R1 = CO2R2, tetrazolyl; R2 = H, lower alkyl; R3 = quinolin-2-ylmethyl, (2-quinolinylmethoxy)benzyl; n = 0-2] or their physiol. acceptable salts, also useful as antiallergy agents, are prepared. Tosylation of 3-(4-methoxymethoxy-2-benzoyloxy)phenyl-1-propanol and subsequent reaction with p-chlorothiophenol gave 74% 4-[3-(4-chlorophenylthio)propyl]-3-benzoyloxy-1-methoxymethoxybenzene, which was oxidized by m-chloroperbenzoic acid to give 93% sulfonyl derivative. Then, deprotection of the sulfonyl derivative by HCl gave 93% 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-benzoyloxyphenol, which was treated with BrCH2CO2Et in Me2CO to give 97% benzoyloxyphenoxyacetate derivative, debenzylatoin of which gave 73% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-hydroxyphenoxyacetate (II). II was stirred with NaH in DMF and treated with 2-chloromethylquinoline-HCl at room temperature to give 41% I (X = 4-Cl, R1 = CO2Et, R3 = 2-quinolinemethyl, n = 1), hydrolysis of which by aqueous NaOH in THF gave 67% I (R1 = CO2H). The latter compound inhibited LTD4-induced contraction of guinea pig ileum.

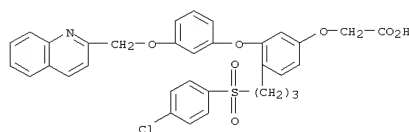
L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 at IC50 of 8.3 + 10-6 M.  
 IT 153475-32-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonist)  
 RN 153475-32-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



IT 153475-23-1P 153507-39-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonists)  
 RN 153475-23-1 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 153507-39-2 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-[3-(2-quinolinylmethoxy)phenoxy]phenoxy]- (9CI) (CA INDEX NAME)

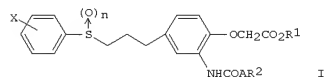


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10-566,291.trn

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:427840 CAPLUS  
 DOCUMENT NUMBER: 119:27840  
 TITLE: Preparation of phenoxyacetic acids and TXA2 antagonists containing them  
 INVENTOR(S): Maeda, Sachiko; Igarashi, Azuma; Sugizaki, Katsuyoshi;  
 PATENT ASSIGNEE(S): Suzuki, Myoshi; Ozawa, Shinji  
 SOURCE: Terumo Corp, Japan  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05032613	A	19930209	JP 1991-188730	19910729
PRIORITY APPLN. INFO.:			JP 1991-188730	19910729
OTHER SOURCE(S):		MARPAT 119:27840		
GI				



AB The title compds. I (A = Me, Ph, 2-pyridyl; R1 = H, Me, Et; R2 = H, phenyl-, pyridyl-, naphthyl-lower-alkoxy; X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; n = 0-2) or their physiol. acceptable salts, useful as therapeutic and prophylactic antiallergy agents and antithrombotics, are prepared Treatment of 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-benzoylamino-phenol (preparation given) with Et bromoacetate and K2CO3 in acetone at room temperature for 5 h gave 94% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetate, which was hydrolyzed with 2N NaOH in THF at 0° for 2.5 h to afford 95% 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetic acid. The product inhibited U-46619-induced smooth muscle contraction with IC50 of 5.7 + 10-9 M. LD50 of several phenoxyacetates was >300 mg/kg p.o. in male mice.

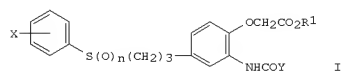
IT 148066-76-6P 148066-77-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as TXA2 antagonist)

RN 148066-76-6 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(2-pyridinylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:448108 CAPLUS  
 DOCUMENT NUMBER: 117:48108  
 TITLE: Preparation of phenoxyacetic acid compounds  
 INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko; Hirakawa, Yasuhiro; Sugisaki, Katsuyoshi; Ozawa, Shinji  
 PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan  
 SOURCE: Eur. Pat. Appl., 17 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 481891	A2	19920422	EP 1991-402768	19911016
EP 481891	A3	19920513		
EP 481891	B1	19950208		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 04154757	A	19920527	JP 1990-278727	19901016
JP 04154766	A	19920527	JP 1990-278728	19901016
JP 08032688	B	19960329		
US 5179105	A	19930112	US 1991-775571	19911015
AU 9185897	A	19920507	AU 1991-85897	19911016
AU 637938	B2	19930610		
PRIORITY APPLN. INFO.:			JP 1990-278727	A 19901016
			JP 1990-278728	A 19901016

OTHER SOURCE(S): MARPAT 117:48108  
 GI



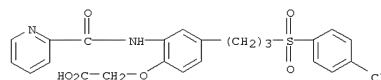
AB Title compds. I (X = H, halo, alkyl, F3C, alkoxy, HO, NC; R1 = H, Me, Et; n = 0-2; Y = quinolylmethoxyphenyl, substituted Ph) or a salt thereof, useful as thromboxane A2 and leukotriene antagonists, are prepared

4-[3-(4-Chlorobenzenesulfonyl)propyl]-2-(4-acetyl-3-hydroxy-2-(4-acetyl-3-hydroxy-2-propylphenoxyacetyl)amino)phenol (preparation given) in acetone and K2CO3 were added to BrCH2CO2Et in acetone to give the Et ester which in THF was reacted with 2N NaOH and stirred for 2 h to give after addition

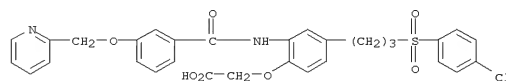
of HCl I [X = 4-Cl, R1 = H, Y = 4,3,2-(MeCO)(HO)PrC6H2OCH2, n = 2] (II). In in vitro test II showed antagonistic action to TxA2 with IC50 = 4.5 + 10-9M.

IT 142266-31-7P 142266-32-8P 142266-34-0P  
 142347-83-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as leukotriene and thromboxane antagonist)

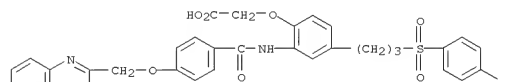
L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



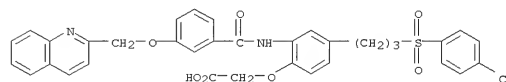
RN 148066-77-7 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-pyridinylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



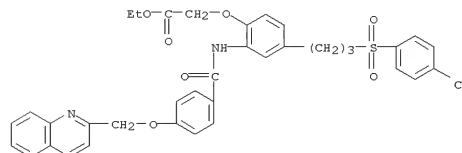
L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 142266-31-7 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(4-(2-quinolylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-32-8 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-quinolylmethoxy)benzoyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-34-0 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(4-(2-quinolylmethoxy)benzoyl)amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



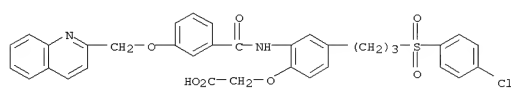
RN 142347-83-9 CAPLUS  
 CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(3-(2-quinolylmethoxy)benzoyl)amino]phenoxy]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1  
 CRN 142266-32-8  
 CMF C34 H29 Cl N2 O7 S

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10-566,291.trn

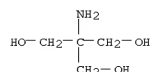
L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2

CRN 77-86-1

CMP C4 H11 N O3



L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:22564 CAPLUS

DOCUMENT NUMBER: Correction of: 1978:475314

90:22564

Correction of: 89:75314

ORIGINAL REFERENCE NO.: 90:3715a,3718a

TITLE: Substituted 2-propanol derivatives and their

nicotinic

acid esters

INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef;

Hofrichter, Gernot; Janiak, P. Stefan

PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co.,

Fed. Rep. Ger.

SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689	A1	19760701	DE 1974-2460689	19741220
DE 2460689	B2	19791018		
DE 2460689	C3	19800626		
CA 1065870	A1	19791106	CA 1975-241890	19751211
DD 123597	A5	19770105	DD 1975-190187	19751216
CH 622487	A5	19810415	CH 1975-16303	19751216
DK 7505732	A	19760621	DK 1975-5732	19751217
SE 7514271	A	19760621	SE 1975-14271	19751217
NL 7514696	A	19760622	NL 1975-14696	19751217
NL 171356	B	19821018		
NL 171356	C	19830316		
FR 2294691	A1	19760716	FR 1975-38741	19751217
FR 2294691	B1	19780728		
AU 7587623	A	19770623	AU 1975-87623	19751217
ZA 7507912	A	19761229	ZA 1975-7912	19751218
US 4073935	A	19780214	US 1975-641982	19751218
AT 7509643	A	19790315	AT 1975-9643	19751218
AT 352699	B	19791010		
BE 836870	A1	19760416	BE 1975-162937	19751219
GB 1516747	A	19780705	GB 1975-52228	19751219
HU 173345	B	19790428	HU 1975-KI732	19751219
JP 51125238	A	19761101	JP 1975-152705	19751220
PL 97422	B1	19780228	PL 1975-185748	19751220
JP 57005770	B	19820201	JP 1976-3979	19760116
GB 1531695	A	19781108	GB 1977-24008	19770608
GB 1533820	A	19781129	GB 1977-24010	19770608
US 4109013	A	19780822	US 1977-849766	19771109
US 4144351	A	19790313	US 1977-849765	19771109
AT 7802641	A	19790315	AT 1978-2641	19780414
PRIORITY APPLN. INFO.:			DE 1974-2460689	A 19741220
			AT 1975-9643	A 19751218

L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 1975-641982 A3 19751218

GB 1975-52228 A 19751219

DE 1976-2625688 A 19760608

DE 1976-2625689 A 19760608

OTHER SOURCE(S): MARPAT 90:22564

AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, CMe3; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a

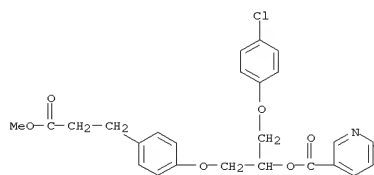
phenoxypoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R1 = CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed 63.8 ± 17.2% serum triglyceride lowering in the rat.

IT 60377-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 60377-85-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)



L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:475314 CAPLUS

DOCUMENT NUMBER: Correction of: 1976:523579

89:75314

Correction of: 85:123579

ORIGINAL REFERENCE NO.: 89:11571a,11574a

TITLE: Substituted 2-propanol derivatives and their

nicotinic

acid esters

INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef;

Hofrichter, Gernot; Janiak, P. Stefan

PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co.,

Fed. Rep. Ger.

SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX

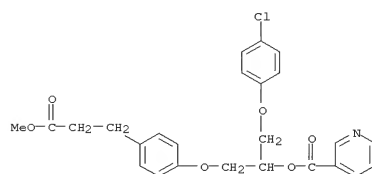
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689		19760701	DE 1974-2460689	19741220
AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, Me3C; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a				
phenoxypoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R1 = 4-CO2Me, Z = Z1 = O) (II). About 120 I were				
prepared having hypolipemic activity, e.g., II showed 63.8 ± 7.2% serum triglyceride lowering in the rat.				
IT 60377-85-7P				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and hypolipemic activity of)				
RN 60377-85-7 CAPLUS				
CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)				



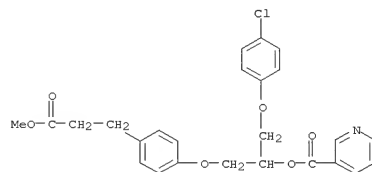
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L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1976:523579 CAPLUS  
DOCUMENT NUMBER: 85:123579  
ORIGINAL REFERENCE NO.: 85:19829a,19832a  
TITLE: Substituted 2-propanol derivatives and their  
nicotinic acid esters  
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef;  
Hofrichter, Gernot; Janiak, P. Stefan  
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co.,  
Fed. Rep. Ger.  
SOURCE: Ger. Offen., 41 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 2560689		19760701	DE 1974-2460689	19741220
AB	4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, Me3C; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxypoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 hr to give 74.4% I (R = Cl, R1 = CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., I showed $63.8 \pm 17.2\%$ serum triglyceride lowering in the rat.				
IT	60377-85-7P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	60377-85-7	CAPLUS			
CN	3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)				



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PASSWORD:

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FULL ESTIMATED COST	81.10	263.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-11.20	-11.20

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	81.58	263.83

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CA SUBSCRIBER PRICE	-11.20	-11.20

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DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

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predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

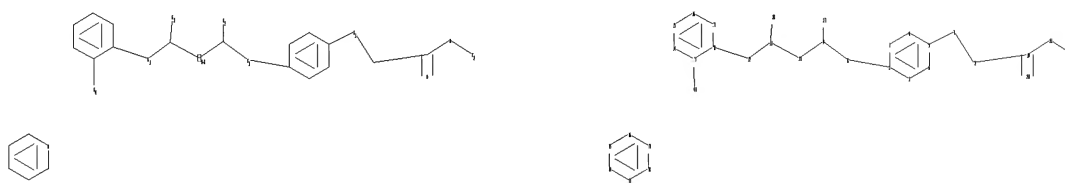
02/29/2008

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<http://www.cas.org/support/stngen/stdoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\10-566,291-1b.str



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7 8 9 10 11 12 19 20 21 23 27 28 32 40
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-40 19-21 19-20
19-32 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 13-40 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
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02/29/2008

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G1:C,O,S

G2:H,Ak

G3:C,O

G4:O,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom  
35:Atom 36:Atom 37:Atom 38:Atom 40:CLASS

L7 STRUCTURE UPLOADED

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L7 HAS NO ANSWERS

L7 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 17 sss sam

SAMPLE SEARCH INITIATED 19:01:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 105553 TO 114447

PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 sss full

FULL SEARCH INITIATED 19:01:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 110244 TO ITERATE

100.0% PROCESSED 110244 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.02

L9 2 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

02/29/2008

10-566,291.trn

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FULL ESTIMATED COST	178.82	442.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-11.20

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FILE LAST UPDATED: 24 Feb 2008 (20080224/ED)

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02/29/2008

10-566,291.trn

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182607 CAPLUS

DOCUMENT NUMBER: 142:279949

TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantio, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

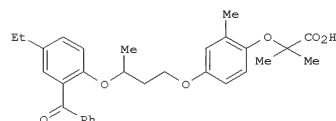
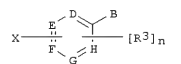
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949

GI

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
 A1 = a bond, CH2, O, S, and wherein A1 and R4 or A1 and R5 form a 3- to 6-membered carbocyclic ring when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.;

Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;

R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid

II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

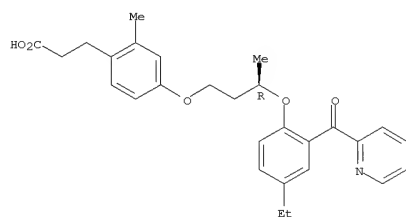
IT 847347-31-3P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

as PPAR (PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

agonists)  
 RN 847347-31-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 847347-32-4P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of alkoxyphenylalkanoic acids and analogs

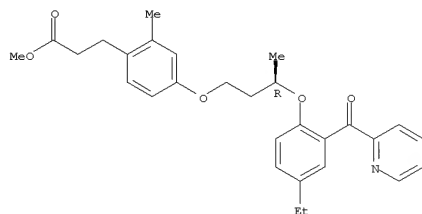
as PPAR

agonists)

RN 847347-32-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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10-566,291.trn

=>

Connecting via Winsock to STN

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PASSWORD:

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NEWS	2	OCT	02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
NEWS	7	DEC	04	LINPADOCDB now available on STN
NEWS	8	DEC	14	BEILSTEIN pricing structure to change
NEWS	9	DEC	17	USPATOLD added to additional database clusters
NEWS	10	DEC	17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC	17	DGENE now includes more than 10 million sequences
NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC	17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	15	DEC	17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN	02	STN pricing information for 2008 now available
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN	28	MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB	08	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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NEWS IPC8        For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 14:35:01 ON 26 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:35:15 ON 26 FEB 2008

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DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

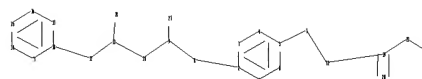
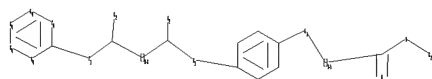
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10-566,291-1c.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-14 13-18 14-15  
15-16 16-17 17-18 19-21 19-20 19-32 21-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

G4:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1                STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 14:35:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -    233220 TO ITERATE

0.9% PROCESSED        2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*INCOMPLETE\*\*

                             BATCH    \*\*INCOMPLETE\*\*

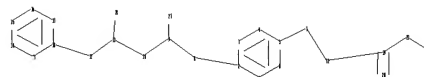
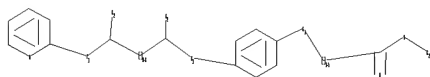
PROJECTED ITERATIONS:        4636151 TO    4692649

PROJECTED ANSWERS:                0 TO        0

L2                0 SEA SSS SAM L1

=>

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chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

02/29/2008

10-566,291.trn

L3           STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3           STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam

SAMPLE SEARCH INITIATED 14:40:23 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -     12452 TO ITERATE

16.1% PROCESSED       2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*

BATCH   \*\*COMPLETE\*\*

PROJECTED ITERATIONS:       242354 TO   255726

PROJECTED ANSWERS:           1 TO       273

L4           1 SEA SSS SAM L3

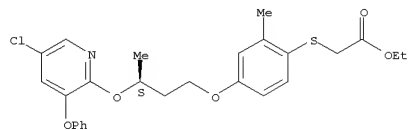
=> d scan

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10-566,291.trn

L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI)  
MF C26 H28 Cl N O5 S

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

02/29/2008

10-566,291.trn

=> s 13 sss full

FULL SEARCH INITIATED 14:40:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 249909 TO ITERATE

100.0% PROCESSED 249909 ITERATIONS

96 ANSWERS

SEARCH TIME: 00.00.03

L5 96 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

182.50

182.71

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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9

FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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=> s 15

L6 19 L5

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 19 ANSWERS - CONTINUE? Y/(N):y

02/29/2008

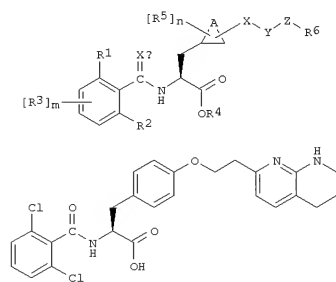
10-566,291.trn

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1420381 CAPLUS  
 DOCUMENT NUMBER: 148:55383  
 TITLE: Preparation of tyrosine derivatives, especially N-(benzoyl)-O-[2-[(pyridin-2-yl)amino]ethyl]-L-tyrosines and related compounds, as  $\alpha\beta 1$  integrin antagonists for treating solid tumors  
 INVENTOR(S): Arnould, Jean-Claude; Delouvie, Benedicte; Ducray, Richard; Lambert-Van Der Brempt, Christine Marie Paul  
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited  
 SOURCE: PCT Int. Appl., 435pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141473	A1	20071213	WO 2007-GB1697	20070510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2008045521 PRIORITY APPLN. INFO.: A1 20080221 US 2007-746892 20070510 EP 2006-300576 A 20060609 EP 2006-301245 A 20061212 EP 2007-300973 A 20070423				

OTHER SOURCE(S): MARPAT 148:55383  
 GI

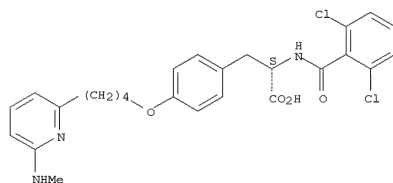
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The invention is related to tyrosine derivs. I [Xa = O, S; R1 = Br, Cl, cyclopentylmethyl, Cl-3 alkyl, etc.; R2, each R3 = independently H, halo, CN, OH, NH2, (un)substituted alk(en/yn)yl, alkanoylamino, N-alkylsulfamoyl, etc.; or R2R3 = Cl-3 alkylenedioxy; m = 0-3; R4 = H, (un)substituted heterocyclyl, heteroaryl, etc.; A = Ph, pyridinyl, thiophenyl; n = 0-4; each R5 = independently halo, OH, SH, carbamoyl, sulfamoyl, alkylsulfonyl, alkynoylamino, etc.; or 2 R5's optionally form a C1-3 alkylenedioxy; X = a bond, O, S, SO, SO2, CO, (un)substituted CH:CH, etc.; Y = (un)substituted alkylene, cycloalk(en)ylene, heterocyclyl; Z = a bond, O, S, (un)substituted alkylene, C.tplbond.C, etc.], and their pharmaceutically acceptable salts and prodrugs, to processes for preparing them, and to pharmaceutical compns. containing them for use as  $\alpha\beta 1$  integrin antagonists in the treatment in warm-blooded animals such as humans of diseases that have a significant angiogenesis or vascular component such as for treatment of solid tumors. The invention is also related to  $\alpha\beta 1$  antagonists that also exhibit appropriate selectivity profile(s) against other integrins. Thus, etherification of tert-Bu 7-(2-hydroxyethyl)-3,4-dihydro-1,8-naphthyridine-1(2H)-carboxylate with Me N-(2,6-dichlorobenzoyl)-L-tyrosinate (preparation given), cleavage of the tert-butoxycarbonyl group and saponification of the Me ester gave tyrosine derivative II. The effects of compds. I as  $\alpha\beta 1$  integrin inhibitors were tested (e.g., the invention compound II had IC50 values of 0.0004  $\mu$ M in a binding assay and 0.002  $\mu$ M in an adhesion assay).  
 IT 959988-78-4P 959990-47-7P 959990-48-8P

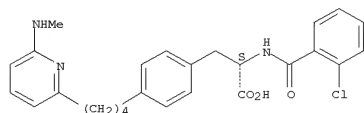
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of tyrosine derivs. as  $\alpha\beta 1$  integrin antagonists)  
 RN 959988-78-4 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



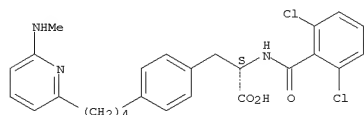
RN 959990-47-7 CAPLUS  
 CN L-Phenylalanine, N-(2-chlorobenzoyl)-4-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



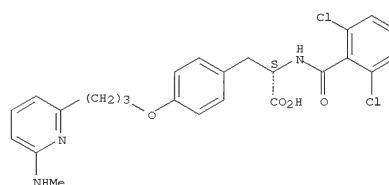
RN 959990-48-8 CAPLUS  
 CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 959991-72-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta 1$  integrin antagonists)  
 RN 959991-72-1 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-[6-(methylamino)-2-pyridinyl]propyl]- (CA INDEX NAME)

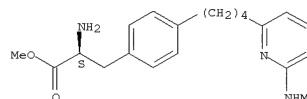
Absolute stereochemistry.



IT 959992-85-9P  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta 1$  integrin antagonists)

RN 959992-85-9 CAPLUS  
 CN L-Phenylalanine, 4-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



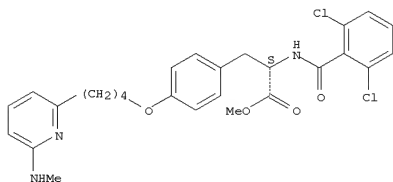
IT 959991-28-7P 959991-29-8P 959991-30-1P  
 959991-33-4P 959992-87-1P 959992-88-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of tyrosine derivs. as  $\alpha\beta 1$  integrin antagonists)  
 RN 959991-28-7 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

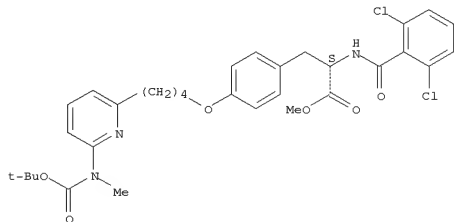
10-566,291.trn

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959991-29-8 CAPLUS  
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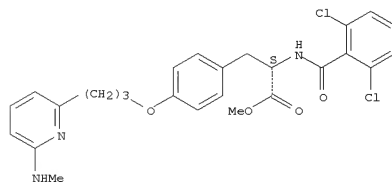
Absolute stereochemistry.



RN 959991-30-1 CAPLUS  
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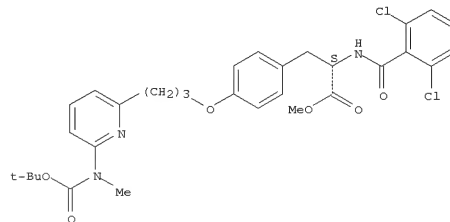
Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959991-33-4 CAPLUS  
 CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-[6-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-pyridinyl]propyl]-, methyl ester (CA INDEX NAME)

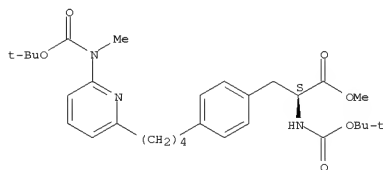
Absolute stereochemistry.



RN 959992-87-1 CAPLUS  
 CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4-[4-[6-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

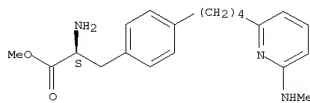
Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959992-88-2 CAPLUS  
 CN L-Phenylalanine, 4-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester, hydrochloride (1:3) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L6 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

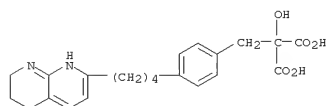
ACCESSION NUMBER: 2005:452778 CAPLUS  
 DOCUMENT NUMBER: 143:145781  
 TITLE: Preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: Evidence for increased hydroxyapatite affinity  
 AUTHOR(S): Hauze, Diane B.; Kees, Kenneth L.; Mann, Charles W.; Fletcher, Horace, III; Murrills, Richard; Matteo, Jeanne; Bex, Frederick; Bhat, Bheem; Coleburn, Valerie  
 CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426-3930, USA  
 SOURCE: Letters in Drug Design & Discovery (2005), 2(3), 201-204  
 CODEN: LDDDAW; ISSN: 1570-1808  
 PUBLISHER: Bentham Science Publishers Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of tartronic acid analogs of a non-peptide RGD mimetic were prepared and evaluated both for antagonism of the vitronectin receptor and

for affinity to hydroxyapatite, the main inorg. component of bone matrix. The hydroxy bis acid unit was found to be optimal for both receptor binding and hydroxyapatite affinity, while the N-terminus affected only receptor binding affinity.

IT 860297-91-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: evidence for increased hydroxyapatite affinity)

RN 860297-91-2 CAPLUS  
 CN Propanedioic acid, hydroxy[[4-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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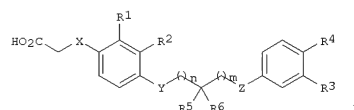
10-566,291.trn

L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:300386 CAPLUS  
 DOCUMENT NUMBER: 142:373549  
 TITLE: Preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR- $\delta$  agonists for the treatment of dyslipidemia  
 INVENTOR(S): Kuo, Gee-hong; Zhang, Rui; Wang, Aihua; Deangelis, Alan R.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.  
 SOURCE: PCT Int. Appl., 134 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030694	A1	20050407	WO 2004-US30188	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004276231	A1	20050407	AU 2004-276231	20040916
CA 2539403	A1	20050407	CA 2004-2539403	20040916
US 2005107469	A1	20050519	US 2004-942563	20040916
EP 1670744	A1	20060621	EP 2004-784146	20040916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004014534	A	20061107	BR 2004-14534	20040916
CN 1882524	A	20061220	CN 2004-80033738	20040916
JP 2007505907	T	20070315	JP 2006-526992	20040916
MX 2006PA03180	A	20061120	MX 2006-PA3180	20060320
IN 2006KN00642	A	20070803	IN 2006-KN642	20060320
NO 2006001711	A	20060619	NO 2006-1711	20060419
PRIORITY APPLN. INFO.:			US 2003-504089P	P 20030919
			WO 2004-US30188	W 20040916

OTHER SOURCE(S): CASREACT 142:373549; MARPAT 142:373549  
 GI

L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

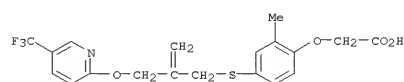


AB Title compds. I [X = bond, S, O; Y = S, O; Z = O, CH2, provided when Y = O, Z = O; R1-2 = H, alkyl, alkoxy, etc.; R3-4 = H, halo, CN, etc.; R5-6 = halo, Ph, alkyl, alkoxy, etc.; n, m = 0-2] are prepared For instance,

[2-Methyl-4-[[2-(4-trifluoromethylphenoxy)methyl]allyl]sulfanyl]phenoxy]acetic acid (II) is prepared in 5 steps from Et (2-methylphenoxy)acetate, 4-trifluoromethylphenol and 2-methylene-1,3-propanediol. II has EC50 = 13.2-34.1 nM for PPAR- $\delta$ . I are useful for the treatment of, for example, dyslipidemia.

IT 849441-77-6P  
 RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR- $\delta$  agonists for treatment of dyslipidemia)

RN 849441-77-6 CAPLUS  
 CN Acetic acid, [2-methyl-4-[[2-[[5-(trifluoromethyl)-2-pyridinyl]oxy]methyl]-2-propenyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



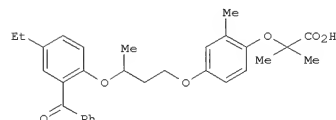
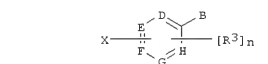
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schultenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 603 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949  
 GI

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
 A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclic ring when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.;  
 Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;  
 R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid  
 II. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu$ M for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid 847345-75-9P, 3-[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-81-7P, 3-[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

methylphenyl]propionic acid 847345-88-4P, [[4-[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-90-8P, 3-[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P, [[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-95-3P, 3-[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847345-98-6P, [[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid 847346-00-3P, 3-[2-Ethyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847346-05-8P, 3-[4-[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid 847346-09-2P 847346-10-5P 847346-11-6P, 3-[2-Methyl-4-[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid 847346-14-9P, 3-[4-[(S)-3-[(5-Chloro-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]-2-methylphenyl]propionic acid 847346-17-2P, 3-[2-Ethyl-4-[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid 847348-12-3P, (R)-3-[4-[3-(5-Chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-00-5P, (R)-3-[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-01-6P, (R)-[[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-02-7P, (R)-3-[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-03-8P, (R)-[[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-04-9P, (R)-3-[4-[3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]propionic acid 847352-05-0P, (R)-3-[4-[3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]propionic acid 847352-06-1P, (R)-[[4-[3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-07-2P, (R)-3-[2-Methyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-08-3P, (R)-3-[2-Ethyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-09-4P, 3-[4-[3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methylphenyl]propionic acid trifluoroacetate 847352-19-6P

RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

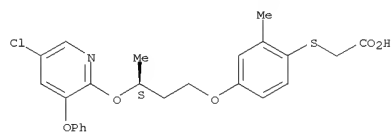
(PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-17-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

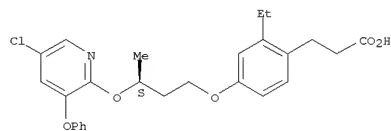
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-81-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

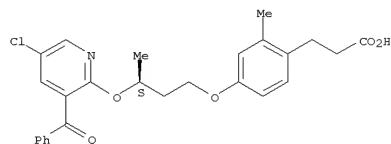
Absolute stereochemistry.



RN 847345-84-0 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

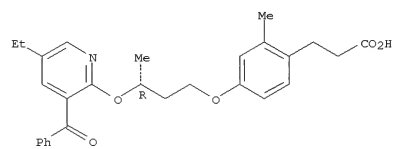


RN 847345-88-4 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

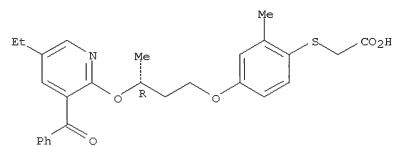
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-23-7 CAPLUS

CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

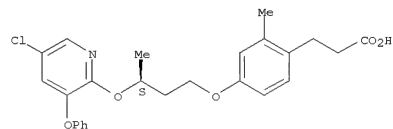
Absolute stereochemistry.



RN 847345-75-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

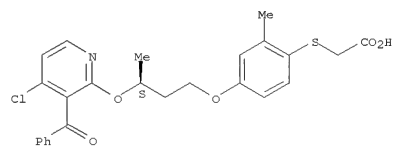


RN 847345-79-3 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

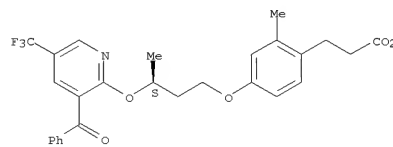
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-90-8 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

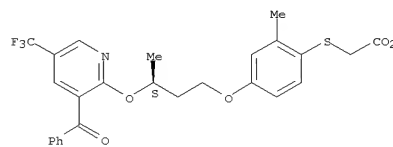
Absolute stereochemistry.



RN 847345-93-1 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-95-3 CAPLUS

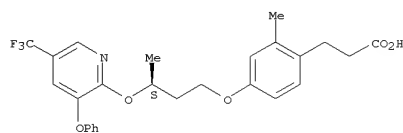
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

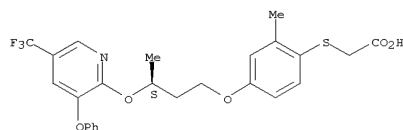
10-566,291.trn

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



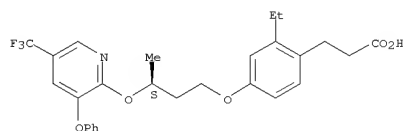
RN 847345-98-6 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



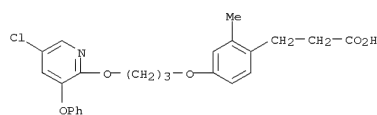
RN 847346-00-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



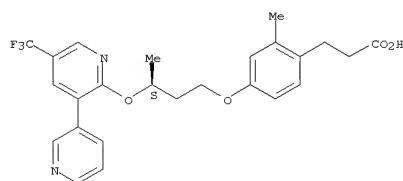
RN 847346-05-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



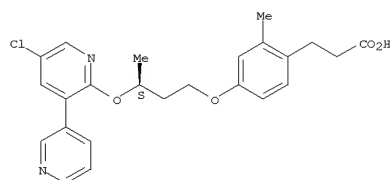
RN 847346-11-6 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-14-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[[5-chloro[3,3'-bipyridin]-2-yl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

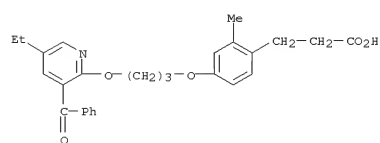
Absolute stereochemistry.



RN 847346-17-2 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

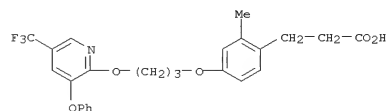
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847346-09-2 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1  
 CMF C25 H24 F3 N O5



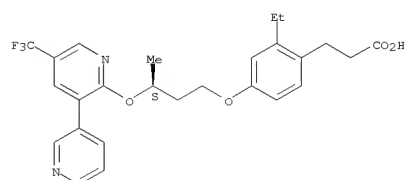
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



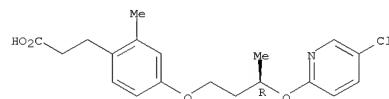
RN 847346-10-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



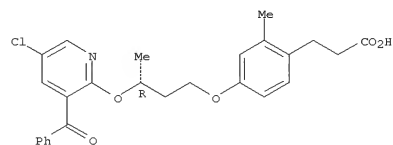
RN 847348-12-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[5-chloro-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-00-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-chloro-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



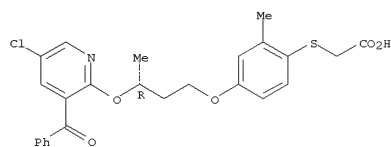
RN 847352-01-6 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[[3-benzoyl-5-chloro-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

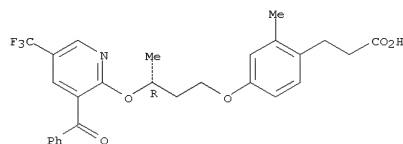
10-566,291.trn

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



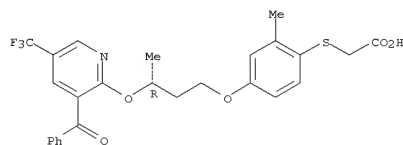
RN 847352-02-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-03-8 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

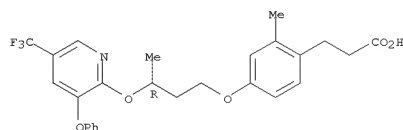
Absolute stereochemistry.



RN 847352-04-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

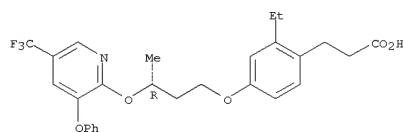
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-08-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

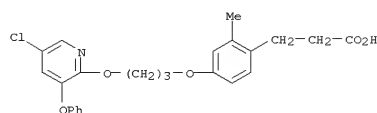
Absolute stereochemistry.



RN 847352-09-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

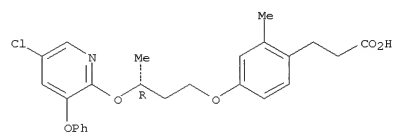
CRN 847346-10-5  
 CMF C24 H24 Cl N O5



CM 2

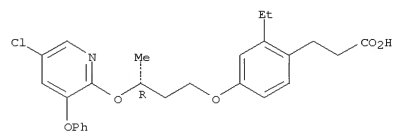
CRN 76-05-1  
 CMF C2 H F3 O2

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



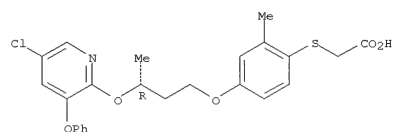
RN 847352-05-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-06-1 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-07-2 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

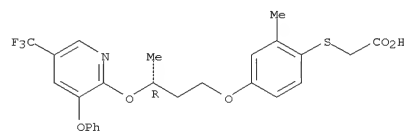
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-19-6 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847345-22-6P, 3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid methyl ester 847345-24-8P, [[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-78-2P, 3-[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-80-6P, [[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-82-8P, 3-[4-[[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid ethyl ester 847345-87-3P, 3-[4-[[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-89-5P, [[4-[[[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-92-0P, 3-[4-[[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-94-2P, [[4-[[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-97-5P, 3-[2-Methyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid methyl ester 847345-99-7P, [[2-Methyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid ethyl ester 847346-01-4P, 3-[2-Ethyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester

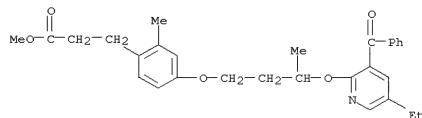
847346-06-9P, 3-[4-[[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid methyl ester 847346-13-8P, 3-[2-Methyl-4-[[[(S)-3-[(5-trifluoromethyl-3,3')bipyridinyl-2-yl]oxy]butyl]oxy]phenyl]propionic acid methyl ester 847346-16-1P, 3-[4-[[[(S)-3-[(5-Chloro-3,3')bipyridinyl-2-yl]oxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847346-18-3P, 3-[2-Ethyl-4-[[[(S)-3-[(5-trifluoromethyl-3,3')bipyridinyl-2-yl]oxy]butyl]oxy]phenyl]propionic acid ethyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

02/29/2008

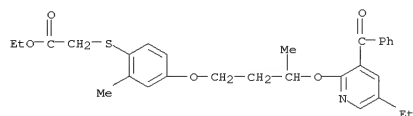
10-566,291.trn

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (Reactant or reagent)  
 (intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as  
 PPAR agonists)

RN 847345-22-6 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-  
 methyl-, methyl ester (CA INDEX NAME)

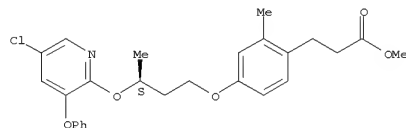


RN 847345-24-8 CAPLUS  
 CN Acetic acid, [[4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-  
 methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



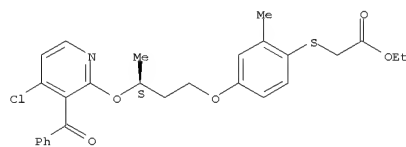
RN 847345-78-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-  
 pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



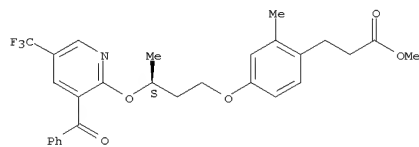
RN 847345-80-6 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-  
 methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



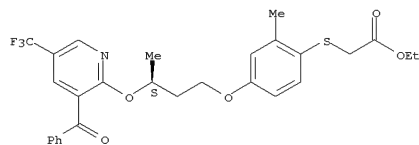
RN 847345-92-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-  
 pyridinyl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-94-2 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-  
 pyridinyl]oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

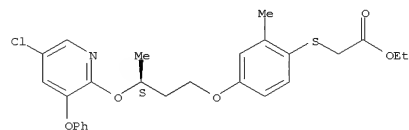


RN 847345-97-5 CAPLUS  
 CN Benzenepropanoic acid,  
 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-  
 2-pyridinyl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

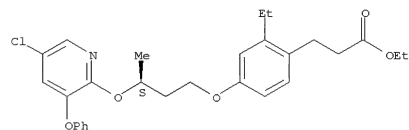
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



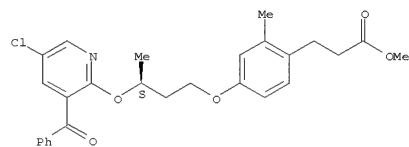
RN 847345-82-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-  
 pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



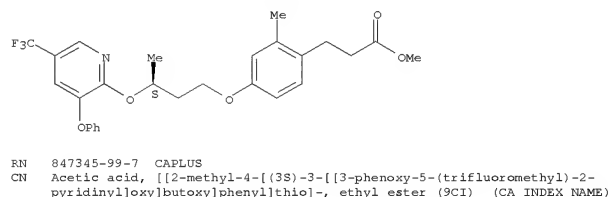
RN 847345-87-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-  
 pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



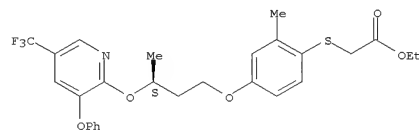
RN 847345-89-5 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-  
 methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



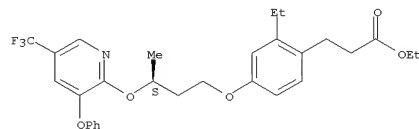
RN 847345-99-7 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-  
 pyridinyl]oxy]butoxy]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-01-4 CAPLUS  
 CN Benzenepropanoic acid,  
 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-  
 pyridinyl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

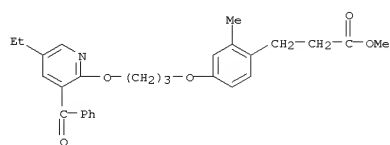


RN 847346-06-9 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-  
 methyl-, methyl ester (CA INDEX NAME)

02/29/2008

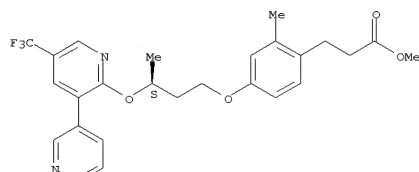
10-566,291.trn

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



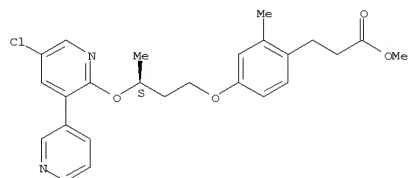
RN 847346-13-8 CAPLUS  
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-16-1 CAPLUS  
CN Benzenepropanoic acid, 4-[(3S)-3-[[5-chloro[3,3'-bipyridin]-2-yl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:511824 CAPLUS  
DOCUMENT NUMBER: 139:94263  
TITLE: Radiopharmaceuticals for imaging infection and inflammation  
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Liu, Shuang; Rajopadhye, Millind;  
PATENT ASSIGNEE(S): Sworin, Michael  
SOURCE: USA  
U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S. 6,416,733.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003124053	A1	20030703	US 2002-151663	20020520
US 6416733	B1	20020709	US 1997-943659	19971003
WO 2003099810	A2	20031204	WO 2003-US16008	20030520
WO 2003099810	A3	20040429		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003237903	A1	20031212	AU 2003-237903	20030520
PRIORITY APPLN. INFO.:			US 1996-27955P	P 19961007
			US 1997-943659	A2 19971003
			US 2002-151663	A 20020520
			WO 2003-US16008	W 20030520

OTHER SOURCE(S): MARPAT 139:94263  
GI

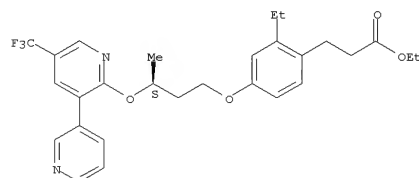
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Claimed are compds. capable of direct transformation into a radiopharmaceutical having a binding affinity for the LTB4 receptor of <1000 nm. The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 847346-18-3 CAPLUS  
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

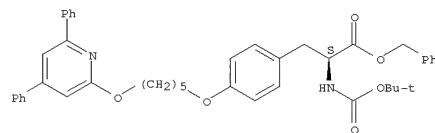
L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assoc. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricline) (TPPTS) (4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models. Also, indium-111 complexes, e.g., of DOTA deriv. II (R = CH2CH2CO2H), were prepd. as claimed radiopharmaceuticals.

IT 206266-68-4P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester  
206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- 206266-71-9P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate)  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate for preparation of leukotriene antagonist ligands and

their 99mTc complexes for imaging and treatment of infection and inflammation)

RN 206266-68-4 CAPLUS  
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



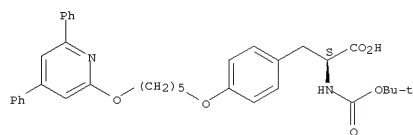
RN 206266-69-5 CAPLUS  
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

10-566,291.trn

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



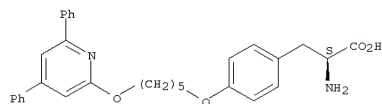
RN 206266-71-9 CAPLUS  
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8

CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 206263-48-1P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[(2-sulphophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation as leukotriene antagonist ligands for imaging and treatment of

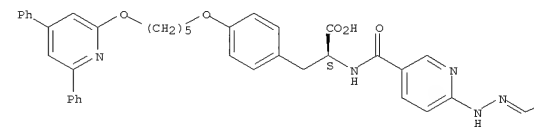
L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

infection and inflammation)

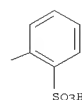
RN 206263-48-1 CAPLUS

CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[(2-sulphophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



PAGE 1-A



PAGE 1-B

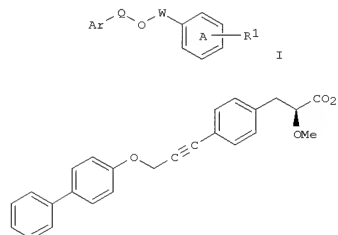
L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964313 CAPLUS  
DOCUMENT NUMBER: 138:55745  
TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions  
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrazad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Petelra, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated  
SOURCE: PCT Int. Appl., 458 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449256	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A1	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
HU 2004000280	A3	20060130		
JP 2005509590	T	20050414	JP 2003-503584	20020530
NZ 529351	A	20060127	NZ 2002-529351	20020530
IN 2003RN01456	A	20060414	IN 2003-RN1456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031113
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211
PRIORITY APPLN. INFO.:			US 2001-297144P	P 20010607
			WO 2002-US16950	W 20020530
			US 2003-479262	A1 20031201

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 138:55745  
GI



II

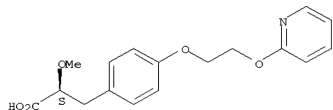
AB Title compds. I [wherein Ar = (un)substituted aryl; O = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkyl nitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%).  
Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPAR agonists or PPARw/PPARy co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).  
IT 477984-04-6P, (2S)-2-Methoxy-3-[4-[2-(pyridin-2-

02/29/2008

10-566,291.trn

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 yloxy]ethoxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (PPAR modulator; prepn. of substituted (phenyl)(alkoxy)propanoic acids  
 and analogs as PPAR modulators for treatment of diabetes and related  
 conditions)  
 RN 477984-04-6 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -methoxy-4-[2-(2-pyridinyloxy)ethoxy]-,  
 ( $\alpha$ S)- (CA INDEX NAME)

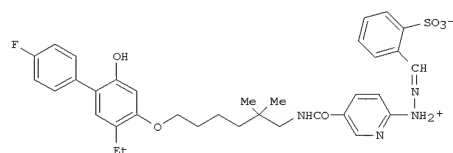
Absolute stereochemistry.



L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:516582 CAPLUS  
 DOCUMENT NUMBER: 137:87495  
 TITLE: Radiopharmaceuticals for imaging infection and  
 inflammation  
 INVENTOR(S): Barrett, John A.; Cheesman, Edward H.; Harris, Thomas  
 D.; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael  
 Bristol-Myers Squibb Pharma Company, USA  
 PATENT ASSIGNEE(S):  
 SOURCE: U.S., 128 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6416733	B1	20020709	US 1997-943659	19971003
US 2003007927	A1	20030109	US 2002-109374	20020327
US 2003124053	A1	20030703	US 2002-151663	20020520
PRIORITY APPLN. INFO.:			US 1996-27955P	P 19961007
			US 1997-943659	A1 19971003

OTHER SOURCE(S): MARPAT 137:87495  
 GI

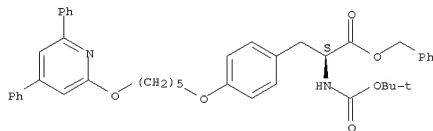


AB The present invention provides novel radiopharmaceuticals useful for the  
 diagnosis of infection and inflammation, reagents and kits useful for  
 preparing the radiopharmaceuticals, methods of imaging sites of infection  
 and/or inflammation in a patient, and methods of diagnosing diseases  
 associated with infection or inflammation in patients in need of such  
 diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4  
 (LTB4) receptor on the surface of leukocytes which accumulate at the site  
 of infection and inflammation. The reagents provided by this invention  
 are also useful for the treatment of diseases associated with infection  
 and inflammation. Thus, the leukotriene antagonist (I) was prepared and  
 shown  
 to be active in an LTB4 human neutrophil (PMN) binding assay. Compound I  
 was used to prepare  
 99mTc(tricline) (TPPTS) (4-ethyl-2-(4-fluorophenyl)-[5-[5,5-

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol)  
 (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to  
 detect inflammation/infection in guinea pig and rabbit focal infection  
 models.  
 IT 206266-68-4P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-  
 [(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester  
 206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-  
 [(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- 206266-71-9P,  
 L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-,  
 mono(trifluoroacetate)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (Intermediate for preparation of leukotriene antagonist ligands and  
 their

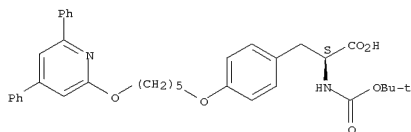
99mTc complexes for imaging and treatment of infection and  
 inflammation)  
 RN 206266-68-4 CAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-  
 pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-69-5 CAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-  
 pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.



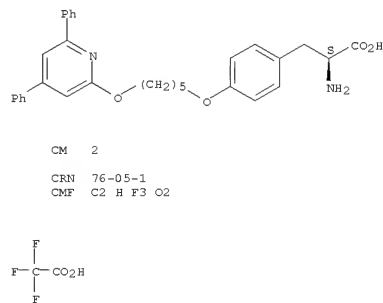
RN 206266-71-9 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-,  
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
 CMF C31 H32 N2 O4

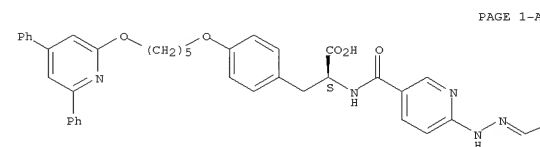
L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



IT 206263-48-1F, L-Tyrosine, O-[5-[(4,6-diphenyl-2-  
 pyridinyl)oxy]pentyl]-N-[[6-[(2-sulfofenyl)methylene]hydrazino]-3-  
 pyridinyl]carbonyl]-  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (Preparation as leukotriene antagonist ligands for imaging and  
 treatment of  
 infection and inflammation)  
 RN 206263-48-1 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[(2-  
 sulfofenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



PAGE 1-A

02/29/2008

10-566,291.trn

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:353325 CAPLUS  
DOCUMENT NUMBER: 136:362949  
TITLE: Technetium-99m and indium-111 complexes for simultaneous dual isotope imaging of perfusion and inflammation  
INVENTOR(S): Carpenter, Alan P., Jr.  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA  
SOURCE: PCT Int. Appl., 439 pp.  
CODEN: FIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036173	A2	20020510	WO 2001-US46153	20011102
WO 2002036173	A3	20020926		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2427911	A1	20020510	CA 2001-2427911	20011102
AU 2002030576	A	20020515	AU 2002-30576	20011102
US 2003003049	A1	20030102	US 2001-2359	20011102
US 6770259	B2	20040803		
EP 1347784	A2	20031001	EP 2001-990810	20011102
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004512382	T	20040422	JP 2002-538982	20011102
HU 2004000758	A2	20040728	HU 2004-758	20011102
HU 2004000758	A3	20050228		
US 2004247523	A1	20041209	US 2004-865457	20040610
PRIORITY APPLN. INFO.:			US 2000-245554P	P 20001103
			US 2001-2359	A3 20011102
			WO 2001-US46153	W 20011102

OTHER SOURCE(S): MARPAT 136:362949  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention provides novel diagnostic compns., e.g., <sup>99m</sup>Tc complex of I or II in complex of II, comprising a radiolabeled LTB<sub>4</sub>

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

binding agent and a radiolabeled perfusion imaging agent, wherein the radiolabeled agents have spectrally separable energies, diagnostic kits comprising such compns., and methods of concurrent imaging in a mammal comprising administering a radiolabeled LTB<sub>4</sub> binding agent and a radiolabeled perfusion imaging agent, and concurrently detecting the radiolabeled LTB<sub>4</sub> binding agent bound at the LTB<sub>4</sub> receptor and the radiolabeled perfusion imaging agent. The method is for use in concurrent

imaging sites of inflammation and organ perfusion.

IT 206266-68-4P 206266-69-5P 206266-71-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

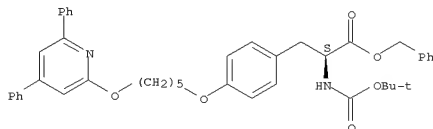
(Intermediate for preparation of leukotriene antagonist ligands and their

99mTc complexes for simultaneous dual isotope imaging of perfusion and inflammation)

RN 206266-68-4 CAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

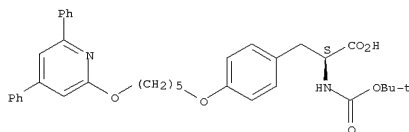
Absolute stereochemistry.



RN 206266-69-5 CAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-71-9 CAPLUS

CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

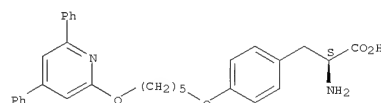
CM 1

CRN 206266-70-8

CMF C31 H32 N2 O4

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 206263-48-1P

RL: BSU (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation);

USES

(Uses)

(preparation as leukotriene antagonist ligands for simultaneous dual

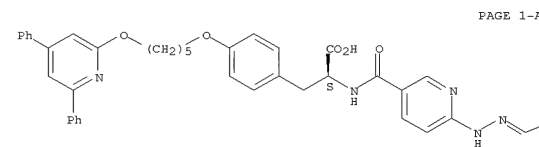
isotope imaging of perfusion and inflammation)

RN 206263-48-1 CAPLUS

CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[6-[[[2-sulphophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



PAGE 1-A

02/29/2008

10-566,291.trn

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

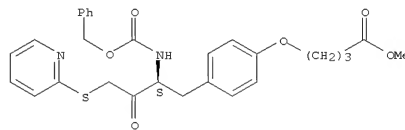
PAGE 1-B



L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:893596 CAPLUS  
 DOCUMENT NUMBER: 136:167655  
 TITLE: Polymer-supported approach for solution-phase synthesis of cysteine trap protease inhibitors: procedure for straightforward optimization of the P1-P1' pocket  
 AUTHOR(S): Yadav-Bhatnagar, Neerja; Desjonqueres, Nicolas; Mauger, Jacques  
 CORPORATE SOURCE: Automated Synthesis & New Technologies, Aventis Pharma, Romainville, F-93325, Fr.  
 SOURCE: Journal of Combinatorial Chemistry (2002), 4(1), 49-55  
 CODEN: JCCHFF; ISSN: 1520-4766  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:167655  
 AB Peptide-based reversible and irreversible cysteine proteases inhibitors are well reported in the literature. Many of these compds. have an electrophilic carbonyl group as a cysteine trap in the place of a scissile amide moiety of the natural substrate. As a common mechanism strategy, we have designed a probe library of a cysteine trap for rapid optimization of P1-P1' pockets of different cysteine proteases. The synthesis of this library using a straightforward methodol. based on polymer-supported reagents and scavengers to avoid tedious purification steps has been achieved.  
 For the selective monobromination of diazo ketones, preparation of a new supported reagent, piperidinoaminomethylpolystyrene hydrobromide, is also described.  
 IT 396727-15-4P  
 RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREF (Preparation)  
 (synthesis of library of amino acid derivs. as cysteine trap protease inhibitors by nucleophilic substitution and using polymer-supported reagents)  
 RN 396727-15-4 CAPLUS  
 CN Butanoic acid, 4-[4-[(2S)-3-oxo-2-[(phenylmethoxy)carbonyl]amino]-4-(2-pyridinylthio)butyl]phenoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:833317 CAPLUS  
 DOCUMENT NUMBER: 135:358164  
 TITLE: Preparation of amino acid derivatives as novel vitronectin receptor antagonists  
 INVENTOR(S): Demasse, Jacques; Gourvest, Jean-Francois; Ruxer, Jean-Marie; Weston, John Bernard; Lefrancois, Jean-Michel  
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.  
 SOURCE: PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085729	A1	20011115	WO 2001-FR1357	20010504
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, ME, SD, SL, SZ, TG, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2808798	A1	20011116	FR 2000-5859	20000509
CA 2408293	A1	20011115	CA 2001-2408293	20010504
EP 1282621	A1	20030212	EP 2001-931789	20010504
EP 1282621	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532732	T	20031105	JP 2001-582330	20010504
AT 304012	T	20050915	AT 2001-931789	20010504
ES 2249431	T3	20060401	ES 2001-931789	20010504
US 2004225111	A1	20041111	US 2002-275409	20021104
US 6838453	B2	20050104		
MX 2002PA11043	A	20030310	MX 2002-PA11043	20021108
PRIORITY APPLN. INFO.:			FR 2000-5859	A 20000509
			WO 2001-FR1357	W 20010504

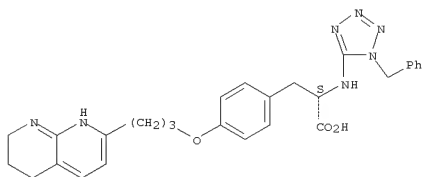
OTHER SOURCE(S): MARPAT 135:358164  
 AB Vitronectin receptor (VnR) antagonist compds. R1-Y-A-B-D-E-F-G [R1 is R3C(:NR2)NR2, R2R3NC(:NR2), R2R3NC(:NR2)NR2 (R2, R3 = H, alkyl, haloalkyl, cycloalkyl, aryl, NH2, etc.); Y is a bond or NR2; A is a bond, alkylene, NR2CONR2, NR2CO2, NR2C(O)S, NR2C(S)NR2, cycloalkylene, C.tplbond.C, arylene-C(O)NR2, O, SO, SO2, arylene-CO, etc., which may be substituted by alkylene; B is a bond, alkylene, CR2:CR3 or C.tplbond.C, which may be substituted by alkylene; D, F are a bond, alkylene, O, NR2, CONR2, NR2CO, NR2CONR2, S, C.tplbond.C, CH(OH), etc., which may be substituted by alkylene; E is a mono- or polycyclic ring system; G is CR4(NHR5)(CH2)qR6 (q = 0 or 1; R4 is H, F, alkyl, etc.; R5 is a mono- or polycyclic ring system; R6 is C(O)R9, C(S)R9, S(O)NR9, P(O)R9n, where n = 1 or 2 and R9 = OH, alkoxy, aryloxy, etc., or a heterocyclic ring)] or their physiol. acceptable salts and prodrugs were prepared for use in pharmaceutical compns. Thus,  
 N-(1-benzyl-1H-tetrazol-5-yl)-O-[3-(5,6,7,8-tetrahydro-1,8-

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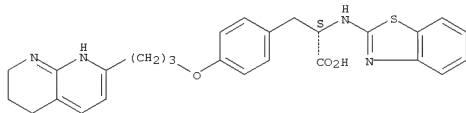
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 naphthyridin-2-yl)propyl]-L-tyrosine, prep. by a multistep procedure  
 from N-(benzyloxycarbonyl)-L-tyrosine Et ester,  
 2-(3-bromopropyl)-2-methyl-1,3-  
 dioxolane, 2-amino-3-pyridinecarboxaldehyde, and 1-benzyl-5-fluoro-1H-  
 tetrazole, showed K/VnR IC50 = 9 nM.  
 IT 372135-88-1P 372135-89-2P 372135-90-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amino acid derivs. as novel vitronectin receptor  
 antagonists)  
 RN 372135-88-1 CAPLUS  
 CN L-Tyrosine,  
 N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-  
 1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372135-89-2 CAPLUS  
 CN L-Tyrosine,  
 N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-  
 yl)propyl]- (9CI) (CA INDEX NAME)

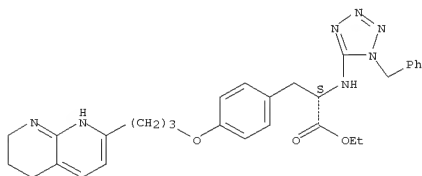
Absolute stereochemistry.



RN 372135-90-5 CAPLUS  
 CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-  
 yl)propyl]- (9CI) (CA INDEX NAME)

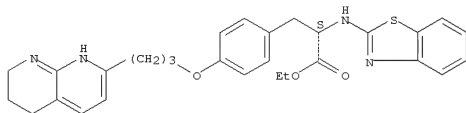
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 372136-41-9 CAPLUS  
 CN L-Tyrosine,  
 N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-  
 1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



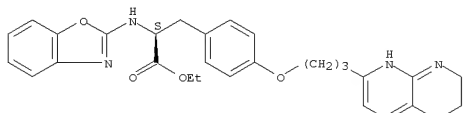
RN 372136-42-0 CAPLUS  
 CN L-Tyrosine,  
 N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-  
 yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



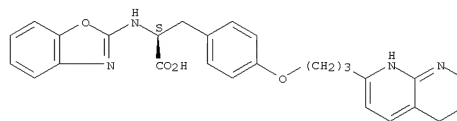
RN 372136-43-1 CAPLUS  
 CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-  
 yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



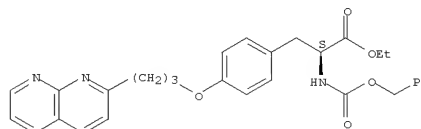
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



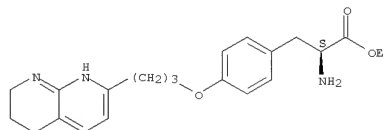
IT 372136-39-5P 372136-40-8P 372136-41-9P  
 372136-42-0P 372136-43-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of amino acid derivs. as novel vitronectin receptor  
 antagonists)  
 RN 372136-39-5 CAPLUS  
 CN L-Tyrosine, O-[3-(1,8-naphthyridin-2-yl)propyl]-N-  
 [(phenylmethoxy)carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 372136-40-8 CAPLUS  
 CN L-Tyrosine, O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-,  
 ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

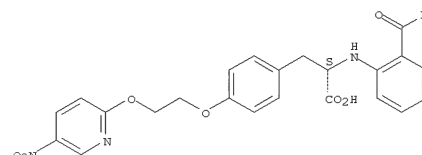
02/29/2008

10-566,291.trn

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:713389 CAPLUS  
DOCUMENT NUMBER: 130:104774  
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPAR $\gamma$  Agonists.  
2. Structure-Activity Relationship and Optimization  
of the Phenyl Alkyl Ether Moiety  
AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G.  
Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad  
R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.;  
Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen;  
Lenhard, James M.; Orband-Miller, Lisa A.;  
Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong,  
Wei-Qin  
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research  
Triangle Park, NC, 27709, USA  
SOURCE: Journal of Medicinal Chemistry (1998), 41(25),  
5037-5054  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB We previously reported the identification of  
(2S)-((2-benzoylphenyl)amino)-  
3-(4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl)propanoic acid (I)  
(PPAR $\gamma$  pKi = 8.94, PPAR $\gamma$  pEC50 = 9.47) as a potent and  
selective PPAR $\gamma$  agonist. We now report the expanded  
structure-activity relationship around the Ph alkyl ether moiety by  
pursuing both a classical medicinal chemical approach and a solid-phase  
chemical approach for analog synthesis. The solution-phase strategy focused on  
evaluating the effects of oxazole and Ph ring replacements of the  
2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several  
replacements providing potent and selective PPAR $\gamma$  agonists with  
improved aqueous solubility. Specifically, replacement of the Ph ring of  
the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-  
benzoylphenyl)amino)-3-(4-[2-(5-methyl-2-pyridin-4-yl)ethoxy]phenyl)propionic acid (PPAR $\gamma$  pKi = 8.85, PPAR $\gamma$  pEC50  
= 8.74) or a 4-methylpiperazine to give  
(2S)-((2-benzoylphenyl)amino)-3-(4-  
(2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-  
yl]ethoxy]phenyl)propionic acid (PPAR $\gamma$  pKi = 8.66, PPAR $\gamma$  pEC50  
= 8.89) provided two potent and selective PPAR $\gamma$  agonists with  
increased solubility in pH 7.4 phosphate buffer and simulated gastric  
fluid as compared to I. The second strategy took advantage of the speed and ease  
of parallel solid-phase analog synthesis to generate a more diverse set  
of Ph alkyl ethers which led to the identification of a number of novel,  
high-affinity PPAR $\gamma$  ligands (PPAR $\gamma$  pKi's 6.98-8.03). The  
combined structure-activity data derived from the two strategies provide  
valuable insight on the requirements for PPAR $\gamma$  binding, functional

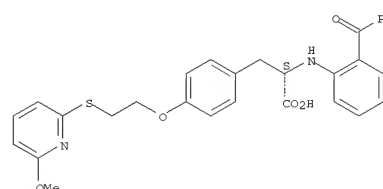
L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
activity, selectivity, and aq. soly.  
IT 196809-64-0P 196809-76-4P 219597-80-5P  
RI: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
(preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine  
analogues as PPAR $\gamma$  agonists)  
RN 196809-64-0 CAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 196809-76-4 CAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]-  
(CA INDEX NAME)

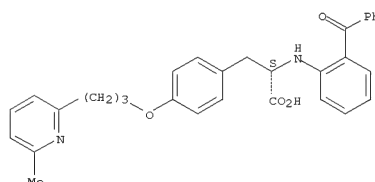
Absolute stereochemistry.



RN 219597-80-5 CAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(6-methyl-2-pyridinyl)propyl]- (CA  
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:239130 CAPLUS  
DOCUMENT NUMBER: 128:303347  
TITLE: Radiopharmaceuticals for imaging infection and  
inflammation  
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister;  
Harris, Thomas David; Rajopadhye, Milind  
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA  
SOURCE: PCT Int. Appl., 352 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

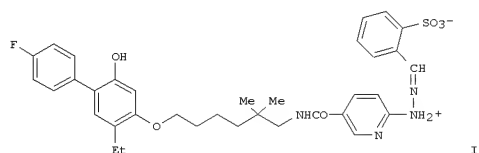
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815295	A2	19980416	WO 1997-US18096	19971006
WO 9815295	A3	19980827		
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2267767	A1	19980416	CA 1997-2267767	19971006
AU 9852381	A	19980505	AU 1998-52381	19971006
AU 736481	B2	20010726		
BR 9712281	A	19990831	BR 1997-12281	19971006
CN 1239895	A	19991229	CN 1997-180342	19971006
EP 999856	A2	20000517	EP 1997-947259	19971006
EP 999856	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 2000001167	A2	20000628	HU 2000-1167	19971006
HU 2000001167	A3	20020328		
NZ 335539	A	20010629	NZ 1997-335539	19971006
JP 2001525796	T	20011211	JP 1998-517680	19971006
EP 1293214	A2	20030319	EP 2002-79932	19971006
EP 1293214	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 240123	T	20030515	AT 1997-947259	19971006
ES 2198010	T3	20040116	ES 1997-947259	19971006
ZA 9708956	A	19990416	ZA 1997-8956	19971007
KR 2000048922	A	20000725	KR 1999-702953	19990406
MX 9903234	A	20001130	MX 1999-3234	19990407
AU 758249	B2	20030320	AU 2001-48113	20010530
US 1996-726507	A	19961007		
PRIORITY APPLN. INFO.:				
			AU 1998-52381	A3 19971006
			EP 1997-947259	A3 19971006
			WO 1997-US18096	W 19971006

OTHER SOURCE(S): MARPAT 128:303347  
GI

02/29/2008

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L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



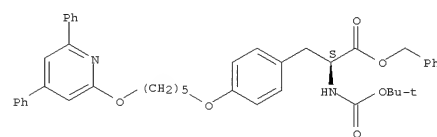
AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases associated with infection and inflammation. Thus, the leukotriene antagonist (I) was prepared and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compound I was used to prepare 99mTc(tricline) (TPPTS) (4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT 206266-68-4P 206266-69-5P 206266-71-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate for preparation of leukotriene antagonist ligands and 99mTc complexes for imaging and treatment of infection and inflammation)

RN 206266-68-4 CAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

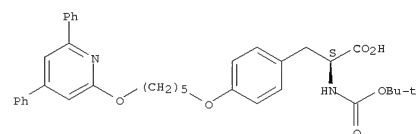
Absolute stereochemistry.

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 206266-69-5 CAPLUS  
 CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

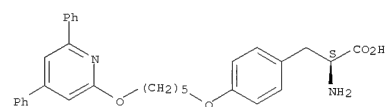


RN 206266-71-9 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8  
 CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

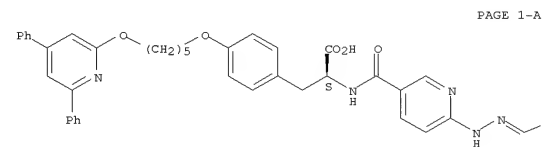
L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



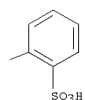
IT 206263-48-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

RN 206263-48-1 CAPLUS  
 CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[(2-sulphophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



PAGE 1-B



L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS  
 DOCUMENT NUMBER: 127:278064  
 TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma  
 INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: PCT Int. Appl., 157 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY AC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226
AU 9720935	A	19970916	AU 1997-20935	19970226
AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226
EP 888317	A1	19990107	EP 1997-906130	19970226
EP 888317	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1218460	A	19990602	CN 1997-193988	19970226
CN 1093124	B	20021023		
BR 9707786	A	19990727	BR 1997-7786	19970226
JP 2000507216	T	20000613	JP 1997-530586	19970226
JP 3255930	B2	20020212		
NZ 331381	A	20000623	NZ 1997-331381	19970226
HU 2000004845	A2	20010528	HU 2000-4845	19970226
HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226
AT 205485	T	20010915	AT 1997-906130	19970226
ES 2163125	T3	20020116	ES 1997-906130	19970226
PT 888317	T	20020328	PT 1997-906130	19970226
SK 282753	B6	20021203	SK 1998-1163	19970226
HR 970110	B1	20030630	HR 1997-110	19970226
IN 1997DE00491	A	20050311	IN 1997-DE491	19970226
CZ 295383	B6	20050713	CZ 1998-2750	19970226
PL 191118	B1	20060331	PL 1997-328871	19970226
TW 391958	B	20000601	TW 1997-86102826	19970307
US 6294580	B1	20010925	US 1998-125750	19980825
NO 9803940	A	19981027	NO 1998-3940	19980827
NO 311516	B1	20011203		
HK 1015369	A1	20020215	HK 1999-100498	19990205
PRIORITY APPLN. INFO.:			GB 1996-4242	A 19960228

02/29/2008

10-566,291.trn

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 1997-EP916 W 19970226

OTHER SOURCE(S): MARPAT 127:278064

AB Comps. 4-(A-B-O)C6H4-Q-CH2CO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylphenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl

L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give

3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

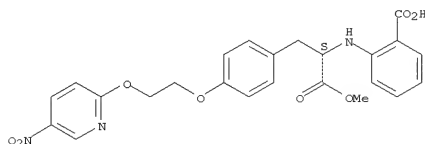
IT 196809-03-7P 196809-04-8P 196809-65-1P  
196809-77-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196809-03-7 CAPLUS

CN L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-,  $\alpha$ -methyl ester (9CI) (CA INDEX NAME)

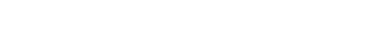
Absolute stereochemistry.



RN 196809-04-8 CAPLUS

CN L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-,  $\alpha$ -methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

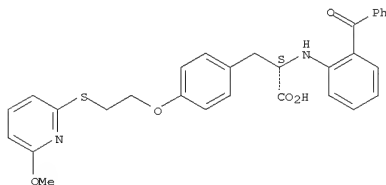
L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 196809-76-4

CMF C30 H28 N2 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 196811-82-2P 196811-84-4P

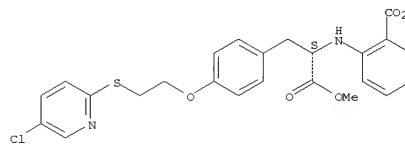
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or Reagent) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196811-82-2 CAPLUS

CN L-Tyrosine, N-[2-(methoxycarbonyl)phenyl]-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196809-65-1 CAPLUS

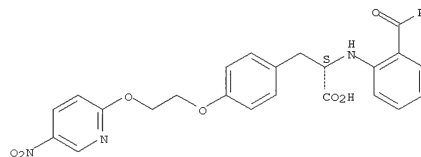
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 196809-64-0

CMF C29 H25 N3 O7

Absolute stereochemistry.



CM 2

CRN 76-05-1

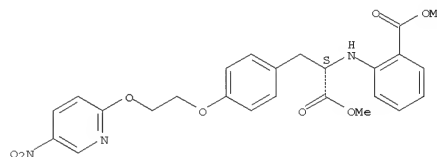
CMF C2 H F3 O2



RN 196809-77-5 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

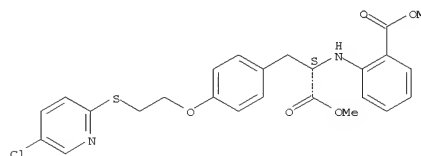
L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196811-84-4 CAPLUS

CN L-Tyrosine, N-[2-(methoxycarbonyl)phenyl]-O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-N-[2-(methoxycarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



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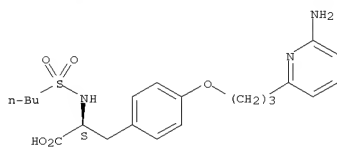
10-566,291.trn

L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:547298 CAPLUS  
 DOCUMENT NUMBER: 127:149074  
 TITLE: Pyridine derivatives and analogs useful as  
 vitronectin receptor antagonists  
 INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 SOURCE: PCT Int. Appl., 123 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

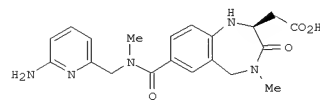
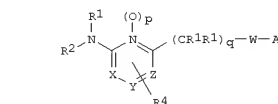
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724122	A1	19970710	WO 1996-US20744	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241724	A1	19970710	CA 1996-2241724	19961220
AU 9713538	A	19970728	AU 1997-13538	19961220
EP 895475	A1	19990210	EP 1996-945085	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1209060	A	19990224	CN 1996-180099	19961220
BR 9612378	A	19990713	BR 1996-12378	19961220
JP 2000502708	T	20000307	JP 1997-524556	19961220
HU 9901116	A2	20000328	HU 1999-1116	19961220
ZA 9610855	A	19971124	ZA 1996-10855	19961223
NO 9803002	A	19980826	NO 1998-3002	19980626
US 2001034445	A1	20011025	US 2001-769125	20010124
PRIORITY APPLN. INFO.:			US 1995-9532P	P 19951229
			WO 1996-US20744	W 19961220
			US 1998-91936	B1 19981203

OTHER SOURCE(S): MARPAT 127:149074  
 GI

L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



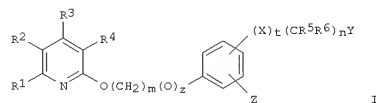
AB Title compds. I [A = fibrinogen antagonist template; W = (CHR3)nU(CHR3)mV; X, Y, Z = N or CR4, provided that at most one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = R1, COR1, CO2R1; R3 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R4 = H, halo, OR3, SR3, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR3R3, S, SO, SO2, O, NR3, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 μM, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include preps. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate derivative, and saponification of the product with LiOH in aqueous THF, gave title compound II.  
 IT 193469-96-4P  
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridine derivs. and analogs as vitronectin receptor antagonists)  
 RN 193469-96-4 CAPLUS  
 CN L-Tyrosine, O-[3-(6-amino-2-pyridinyl)propyl]-N-(butylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:997533 CAPLUS  
 DOCUMENT NUMBER: 124:175842  
 TITLE: Preparation of substituted pyridine leukotriene B4 antagonists  
 INVENTOR(S): Cohen, Noal; Lee, Ferdinand Kwo-Chen; Yagaloff, Keith Alan  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528386	A1	19951026	WO 1995-EP1262	19950406
W: AU, BR, CA, CN, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2186252	A1	19951026	CA 1995-2186252	19950406
AU 9522569	A	19951110	AU 1995-22569	19950406
AU 690258	B2	19980423		
ZA 9502859	A	19960104	ZA 1995-2859	19950406
EP 755381	A1	19970129	EP 1995-915853	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1145619	A	19970319	CN 1995-192521	19950406
JP 09505605	T	19970603	JP 1995-526671	19950406
JP 2866202	B2	19990308		
BR 9507459	A	19971111	BR 1995-7459	19950406
PRIORITY APPLN. INFO.:			US 1994-228246	A 19940413
			US 1995-395092	A 19950306
			WO 1995-EP1262	W 19950406

OTHER SOURCE(S): MARPAT 124:175842  
 GI



AB The title compds. [I; X = O, CO; Y = CN, S(O)uR8, NR5SO2R8, OR9, R10, etc.; Z = (O)y(CR5R6)sR10, (O)y(CR5R6)vOR9, R10; R1, R3 = (un)substituted aryl, heteroaryl, alkyl, aralkyl; R2 = H, lower alkyl, halogen, lower alkoxy; R4 = H, lower alkyl; R5, R6 = H, lower alkyl; R7 = hydroxy, lower alkoxy, NR5R6; R8 = lower alkyl, (un)substituted aryl or aralkyl; R9 = H, lower alkyl, (un)substituted aryl, aralkyl, lower alkanoyl or aryl; R10 =

02/29/2008

10-566,291.trn

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 COR7, CONHSO2R8, 1H-tetrazol-5-yl; m = 3-8; n, s = 1-12; t = 0, 1; u = 0-2; v = 2-12; yr = 0, 1; z = 0, 1; etc.], which are leukotriene B4 antagonists useful in the treatment of inflammatory diseases (no data), asthma (no data), allergies (no data), arthritis (no data), etc. (no data), are prepd. and I-contg. formulations presented. Thus,

2-(3-carboxypropoxy)-6-[6-[(4,6-diphenyl-2-pyridinyl)oxy]hexyl]benzenepropanoic acid was prepd. and demonstrated, in guinea pigs at 0.1 mg/kg, an 86% remission of leukotriene B4-induced bronchoconstriction.

IT 173839-23-1P 173839-24-2P 173839-25-3P

173839-26-4P 173839-27-5P 173839-28-6P

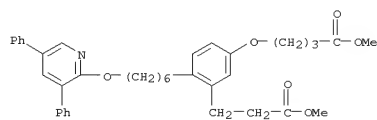
RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyridine leukotriene B4 antagonists)

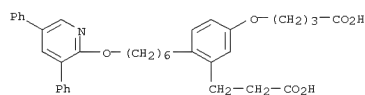
RN 173839-23-1 CAPLUS

CN Benzenepropanoic acid, 2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)



RN 173839-24-2 CAPLUS

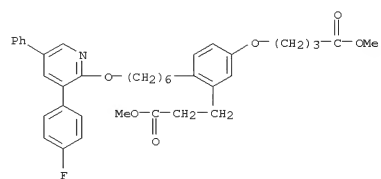
CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]- (CA INDEX NAME)



RN 173839-25-3 CAPLUS

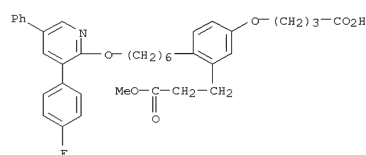
CN Benzenepropanoic acid, 2-[6-[(3-(4-fluorophenyl)-5-phenyl-2-pyridinyl)oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 173839-26-4 CAPLUS

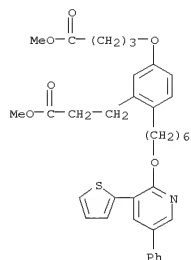
CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[(3-(4-fluorophenyl)-5-phenyl-2-pyridinyl)oxy]hexyl]-, alpha-methyl ester (9CI) (CA INDEX NAME)



RN 173839-27-5 CAPLUS

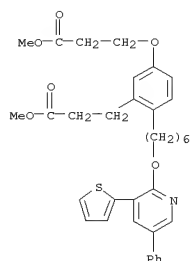
CN Benzenepropanoic acid, 5-(4-methoxy-4-oxobutoxy)-2-[6-[(5-phenyl-3-(2-thienyl)-2-pyridinyl)oxy]hexyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 173839-28-6 CAPLUS

CN Benzenepropanoic acid, 5-(3-methoxy-3-oxopropoxy)-2-[6-[(5-phenyl-3-(2-thienyl)-2-pyridinyl)oxy]hexyl]-, methyl ester (CA INDEX NAME)



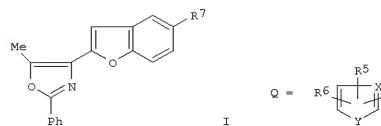
L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:737270 CAPLUS  
 DOCUMENT NUMBER: 123:143628  
 TITLE: Preparation of 3-aryl-2-hydroxypropionates and analogs  
 INVENTOR(S): as hypoglycemic and hypocholesteremic agents  
 Hulín, Bernard  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S., 20 pp. Cont.-in-part of PCT Ser. No. WO91US 3858.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5306726	A	19940426	US 1992-980404	19921124
US 5089514	A	19920218	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2084898	19910531
HU 65603	A2	19940728	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19951231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
US 5438074	A	19950801	US 1993-163781	19931206
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		

PRIORITY APPLN. INFO.: US 1990-537673 A2 19900614  
 US 1992-980404 A3 19921124

OTHER SOURCE(S): CASREACT 123:143628; MARPAT 123:143628  
 GI

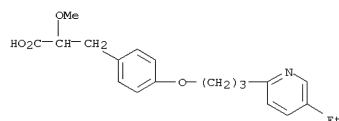


AB R1(CH2)mACHR3CHR4CH(X1R)COY1 [m = 1 and A = (2,3-dihydro)benzofuran-2,5-diyl, benzoxazole-2,5-diyl, etc.; m = 0-2 and A = ZZ1; R = (cyclo)alkyl, alkanoyl, Ph, etc.; R1 = cyclic group Q; R3,R4 = H; R3R4 = bond; R5 = H, NH2, (cyclo)alkyl, Ph, etc.; R6 = H or alkyl; X = S, O, NH, CH:CH, CH:N, etc.; X1 = O, SOO-2; Y = CH or N; Y1 = OH, alkoxy, OPh, OCH2Ph, NH2, etc.; Z = O, CO, CH2, CH(OH), CH:CH; Z1 = 1,4-C6H4] were prepared as hypoglycemic

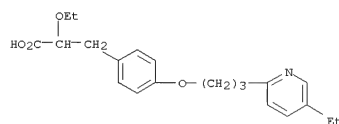
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L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
and hypocholesteremic agents (no data). Thus, 4-bromoacetyl-5-methyl-2-phenyloxazole was cyclocondensed with 5-nitrosalicylaldehyde and the product reduced in 2 steps to give oxazolylmethylbenzofuran I (R7 = NH2) which was condensed with CH2:CHCN and the product converted in 2 steps to I [R7 = CH2CH(SPr)CO2Et].  
IT 140129-83-5P 140129-84-6P 140129-85-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 3-aryl-2-hydroxypropionates and analogs as hypoglycemic and hypocholesteremic agents)  
RN 140129-83-5 CAPLUS  
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -methoxy- (CA INDEX NAME)



RN 140129-84-6 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]- (CA INDEX NAME)



RN 140129-85-7 CAPLUS  
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -propoxy- (CA INDEX NAME)

L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:426552 CAPLUS  
DOCUMENT NUMBER: 117:26552  
TITLE: Preparation of 3-(hetero)aryl-2-hydroxypropionic acids and analogs as hypoglycemic and hypocholesteremic agents  
INVENTOR(S): Hulin, Bernard  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: PCT Int. Appl., 83 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

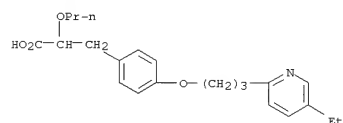
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9119702	A1	19911226	WO 1991-US3858	19910531
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5089514	A	19920218	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2084898	19910531
AU 9179956	A	19920107	AU 1991-79956	19910531
AU 646052	B2	19940203		
EP 533781	A1	19930331	EP 1991-911285	19910531
EP 533781	B1	19970226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507920	T	19931111	JP 1991-510864	19910531
JP 07005513	B	19950125		
HU 65603	A2	19940728	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19951231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
NO 9204799	A	19921214	NO 1992-4799	19921211
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		
PRIORITY APPLN. INFO.:			US 1990-537673	A2 19900614
			WO 1991-US3858	A 19910531

OTHER SOURCE(S): MARPAT 117:26552  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I and II [A = A1, A2; n = 0, 1; dotted line = optional bond].  
R = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, Ph, phenylalkyl, alkanoyl; X = S, O, NR2, CH:CH, CH:N, N:CH; R2 = H, alkyl, Ph, CH2Ph; Y = CH, N; Z = H, alkyl, cycloalkyl, (un)substituted Ph; X1 = O, S, SO, SO2; Y1 = OH, (un)substituted alkoxy, OPh, OCH2Ph, NH2; Z1 = H, alkyl; W = O, CO, CH2, CH(OH), CH:CH; m = 0, 1, 2] were prepared as hypoglycemic and hypocholesteremic agents (no data). For example, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]benzaldehyde was condensed with rhodanine in the

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

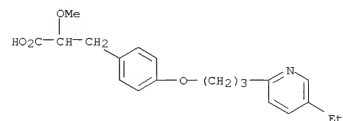


L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
presence of piperidine, and the resultant benzylidenerhodanine deriv. was hydrolyzed by 15% NaOH and S-methylated with MeI to give title compd.

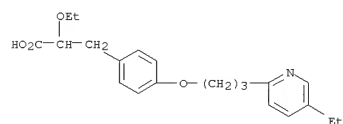
III.  
Example syntheses (26) are given and numerous addnl. I and II are listed.  
IT 140129-83-5P 140129-84-6P 140129-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as hypoglycemic and hypocholesteremic)  
RN 140129-83-5 CAPLUS

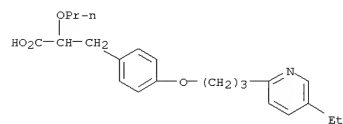
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -methoxy- (CA INDEX NAME)



RN 140129-84-6 CAPLUS  
CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]- (CA INDEX NAME)



RN 140129-85-7 CAPLUS  
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]- $\alpha$ -propoxy- (CA INDEX NAME)



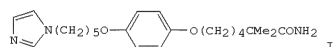
02/29/2008

10-566,291.trn

L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclylalkyl ethers and sulfides as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro; Numasaki, Yoso; Takahashi, Koichiro  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 56 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774	A 19860724
			US 1987-74290	A3 19870716

OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967  
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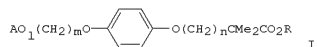


AB A(CH<sub>2</sub>)<sub>p</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>m</sub>X<sub>2</sub>BX<sub>3</sub>Y(CH<sub>2</sub>)<sub>n</sub>CR<sub>1</sub>R<sub>2</sub>R<sub>3</sub> [I; A = biphenyl, (un)substituted 5- or 6-membered heterocyclyl, 9- or 10-membered bicyclic heterocyclyl, each containing 1-4 N and, optionally, O, S; X<sub>1</sub> = bond, X<sub>2</sub>; X<sub>3</sub> = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidinyl, pyridazindyl, R<sub>1</sub>, R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, OH, cyano, (un)modified CO<sub>2</sub>H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors. 4-HOCC<sub>6</sub>H<sub>4</sub>O(CH<sub>2</sub>)<sub>4</sub>CM<sub>2</sub>CONH<sub>2</sub> was etherified with Br(CH<sub>2</sub>)<sub>5</sub>Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:169040 CAPLUS  
 DOCUMENT NUMBER: 106:169040  
 TITLE: Anti-tumor imidazolylalkoxy- and pyridylalkoxyalkoxyphenoxalkanoates, their preparation, and pharmaceutical compositions containing them  
 INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

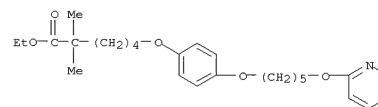
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890208		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4758580	A	19880719	US 1986-874547	19860616
AU 8659128	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19900105		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880714		
US 4886818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901	A 19850626
			US 1986-874547	A1 19860616

OTHER SOURCE(S): MARPAT 106:169040  
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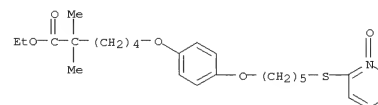


AB Comps. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m, n = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypropyl cellulose 15, starch 25, and Mg stearate 5 mg.  
 IT 107831-15-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)

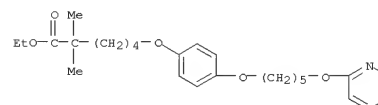
L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-decyl-2,2-dimethyl-6-[4-[(5-midazol-1-ylpentyl)oxy]phenoxy]hexanamide 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch 25, and Mg stearate 5 mg.  
 IT 107831-15-2P 114545-66-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)  
 RN 107831-15-2 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(2-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 114545-66-3 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-[(1-oxido-2-pyridinyl)thio]pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 107831-15-2 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(2-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



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=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

107.87

290.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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FILE 'REGISTRY' ENTERED AT 14:46:27 ON 26 FEB 2008

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DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

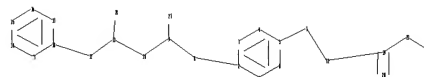
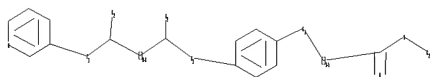
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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\10-566,291-1e.str



chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

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L7           STRUCTURE UPLOADED

=> s l7 sss sam

SAMPLE SEARCH INITIATED 14:46:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -       9987 TO ITERATE

20.0% PROCESSED       2000 ITERATIONS                               2 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*  
                          BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:       193751 TO   205729

PROJECTED ANSWERS:           10 TO       388

L8           2 SEA SSS SAM L7

=> s l7 sss full

FULL SEARCH INITIATED 14:47:06 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -   199069 TO ITERATE

100.0% PROCESSED   199069 ITERATIONS                               54 ANSWERS  
SEARCH TIME: 00.00.03

L9           54 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	178.36	468.94
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-15.20

FILE 'CAPLUS' ENTERED AT 14:47:19 ON 26 FEB 2008

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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9  
FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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<http://www.cas.org/infopolicy.html>

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L10 15 L9

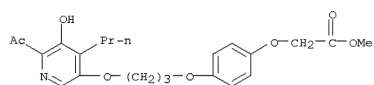
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YOU HAVE REQUESTED DATA FROM 15 ANSWERS - CONTINUE? Y/(N):y

02/29/2008

10-566,291.trn

L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2006:757659 CAPLUS  
DOCUMENT NUMBER: 145:327662  
TITLE: Studies towards the conception of new selective PPAR $\beta$ / $\delta$  ligands  
AUTHOR(S): Ekambome Bassene, Carine; Suzenet, Franck; Hennuyer, Nathalie; Staels, Bart; Caignard, Daniel-Henri; Dacquet, Catherine; Renard, Pierre; Guillaumet, Gerald  
CORPORATE SOURCE: Institut de Chimie Organique et Analytique (I.C.O.A.), UMR-CNRS 6005, FR CNRS 2708, Universite d'Orleans, Orleans, 45067, Fr.  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(17), 4528-4532  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 145:327662  
AB In order to define new PPAR $\beta$ / $\delta$  ligands, SAR study on the selective PPAR $\beta$ / $\delta$  activator L-165,041 led to the identification of one key functional group for selective PPAR $\beta$ / $\delta$  activation. Furthermore, taking advantage of SAR studies done elsewhere on the most selective PPAR $\beta$ / $\delta$  ligand GW501516, the conception of new ligands showing good affinity for PPAR $\beta$ / $\delta$  is reported. Finally, synthesis and biol. evaluation of pyridine analogs have shown the beneficial effect of the pyridine ring on the PPAR $\beta$ / $\delta$  subtype selectivity.  
IT 910032-78-9P 910032-97-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
RN (development of new selective PPAR $\beta$ / $\delta$  ligands)  
CN 910032-78-9 CAPLUS  
Acetic acid, [4-[3-[(6-acetyl-5-hydroxy-4-propyl-3-pyridinyl)oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



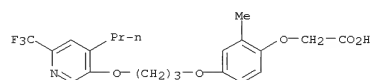
RN 910032-97-2 CAPLUS  
CN Acetic acid, [2-methyl-4-[3-[[4-propyl-6-(trifluoromethyl)-3-pyridinyl]oxy]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:182607 CAPLUS  
DOCUMENT NUMBER: 142:279949  
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Wimmeroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schultenburg  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 603 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

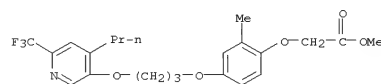
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RU, RO, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GM, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949  
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L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

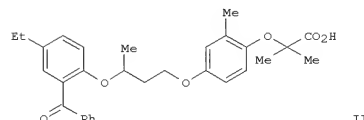
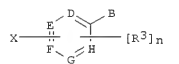


IT 910033-02-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(development of new selective PPAR $\beta$ / $\delta$  ligands)  
RN 910033-02-2 CAPLUS  
CN Acetic acid, [2-methyl-4-[3-[[4-propyl-6-(trifluoromethyl)-3-pyridinyl]oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



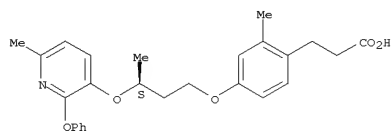
AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.;  
Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl, or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;  
R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid  
II. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu$ M for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.  
IT 847346-02-5P, 3-[2-Methyl-4-[[[(S)-3-(6-methyl-2-phenoxy)pyridin-3-yloxy]butyl]oxy]phenyl]propionic acid 847352-11-8P, (R)-3-[2-Methyl-4-[[[(S)-3-(6-methyl-2-phenoxy)pyridin-3-yloxy]butoxy]phenyl]propionic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

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10-566,291.trn

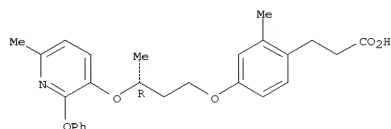
L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 847346-02-5 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-11-8 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



IT 847346-04-7P, 3-[2-Methyl-4-[(S)-3-(6-methyl-2-phenoxy-3-pyridinyl)oxy]phenyl]propionic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of alkoxyphenylalkanoic acids and analogs

as PPAR agonists)

RN 847346-04-7 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

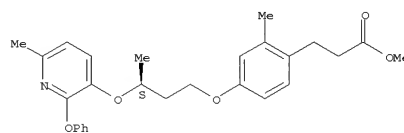
L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:868218 CAPLUS  
 DOCUMENT NUMBER: 136:694  
 TITLE: Thromboxane inhibitors, compositions, and methods for therapeutic use  
 INVENTOR(S): Saenz de Tejada, Inigo  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001089519	A1	20011129	WO 2001-US16318	20010522
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MG, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001064729	A5	20011203	AU 2001-64729	20010522
US 2003050305	A1	20030313	US 2002-285620	20021101
PRIORITY APPLN. INFO.:			US 2000-205536P	P 20000522
			WO 2001-US16318	W 20010522

OTHER SOURCE(S): MARPAT 136:694

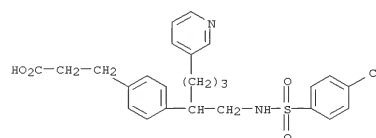
AB The invention describes methods for treating or preventing sexual dysfunctions in males and females, and for enhancing sexual responses in males and females, by administering a therapeutically effective amount of at least one thromboxane inhibitor, and, optionally, at least one compound that donates, transfers, or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The male or female may preferably be diabetic. The invention also provides comps. comprising at least one thromboxane inhibitor, and, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and, optionally, at least one therapeutic agent, such as, vasoactive agents, nonsteroidal antiinflammatory compound (NSAIDs), selective cyclooxygenase-2 (COX-2) inhibitors, anticoagulants, angiotensin converting enzymes (ACE) inhibitors, angiotensin II receptor antagonists, renin inhibitors, and mixts. thereof. The invention further provides methods for treating or preventing ischemic heart disorders, myocardial infarction, angina pectoris, stroke, migraine, cerebral hemorrhage, cardiac fatalities, transient ischemic attacks, complications following organ transplants, coronary artery bypasses, angioplasty, endarterectomy, atherosclerosis, pulmonary embolism, bronchial asthma,

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 bronchitis, pneumonia, circulatory shock of various organs, nephritis, graft rejection, cancerous metastases, pregnancy-induced hypertension, preeclampsia, eclampsia, thrombotic and thromboembolic disorders, intrauterine growth, gastrointestinal disorders, renal diseases and disorders, disorders resulting from elevated uric acid levels, and dysmenorrhea, and for inhibiting platelet aggregation or platelet adhesion or relaxing smooth muscles. The comps. and/or comps. of the present invention can also be provided in the form of a pharmaceutical kit.  
 IT 172283-12-4  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (thromboxane inhibitors, comps., and methods for therapeutic use)  
 RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

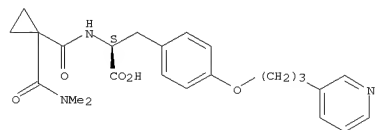
02/29/2008

10-566,291.trn

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:380546 CAPLUS  
 DOCUMENT NUMBER: 134:367194  
 TITLE: Preparation of novel phenylalanine derivatives as  $\alpha$ 4-integrin inhibitors  
 INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Ei-ji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro  
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
 SOURCE: PCT Int. Appl., 155 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

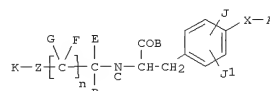
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001014165	A	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
EP 1233013	B1	20070228		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
AT 355269	T	20060315	AT 2000-976347	20001120
US 2003149083	A1	20030807	US 2002-150067	20020520
US 6855706	B2	20050215		
US 2005070485	A1	20050331	US 2004-986829	20041115
US 7160874	B2	20070109		
PRIORITY APPLN. INFO.:				
			JP 1999-328468	A 19991118
			JP 2000-197139	A 20000629
			WO 2000-JP8152	W 20001120
			US 2002-150067	A1 20020520
OTHER SOURCE(S):				
GI			MARPAT 134:367194	

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 yl) carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl) carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin $\alpha$ 4 $\beta$ 7 with IC50 of  $\pm$ 0.02  $\mu$ mol/L.  
 IT 340718-11-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of novel phenylalanine derivs. as  $\alpha$ 4-integrin inhibitors)  
 RN 340718-11-8 CAPLUS  
 CN L-Tyrosine, N-[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]-O-[3-(3-pyridinyl)propyl]- (CA INDEX NAME)  
 Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-containing heterocycle such as aromatic-fused pyrimidinone or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally containing 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepared These derivs. and analogs thereof show an  $\alpha$ 4 integrin inhibitory activity and are usable as remedies for various diseases relating to  $\alpha$ 4 integrin, such as inflammatory diseases related to  $\alpha$ 4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjogren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAT, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temperature for 16 h, washed with DMF five times, and condensed with pyrrolidine using HOAT, DIC, and NMP, followed by oxidation with OsO4 in dioxane at room temperature for 16 and resin-cleavage in aqueous CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrrolidin-1-

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:324824 CAPLUS  
 DOCUMENT NUMBER: 129:27961  
 TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa  
 INVENTOR(S): Mills, Stuart Dennett  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK  
 SOURCE: U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

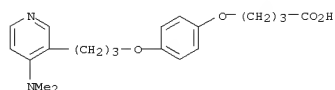
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	US 1996-658097	19960604
PRIORITY APPLN. INFO.:			GB 1993-6451	A 19930329
			GB 1993-25610	A 19931215
			US 1994-218174	A2 19940328
			GB 1993-6453	A 19930329
			GB 1993-25605	A 19931215
			GB 1995-18188	A 19950907

AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an aromatic heterocyclic group containing N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromacetophenoxycetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-(2-[4-(4-pyridyl)piperazin-1-yl]acetophenoxycetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.  
 IT 166952-49-4P 207850-32-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)  
 RN 166952-49-4 CAPLUS  
 CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]- (CA INDEX NAME)

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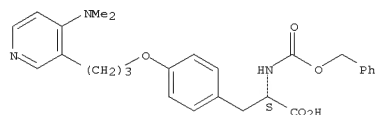
10-566,291.trn

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



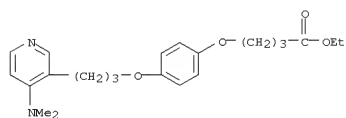
RN 207850-32-6 CAPLUS  
 CN L-Tyrosine, O-[3-[4-(dimethylamino)-3-pyridinyl]propyl]-N-[(phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 166954-62-7P 207908-36-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)  
 RN 166954-62-7 CAPLUS  
 CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 207908-36-9 CAPLUS  
 CN L-Tyrosine, O-[3-[4-(dimethylamino)-3-pyridinyl]propyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

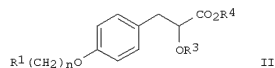
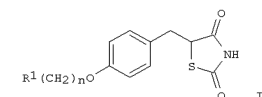
L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:174985 CAPLUS  
 DOCUMENT NUMBER: 126:199564  
 TITLE: Preparation of benzylthiazolidine derivatives by cyclocondensation of phenyllactic acid derivatives with thiourea  
 INVENTOR(S): Morita, Hikari; Mori, Hiroyuki; Furubayashi, Yoshimasa  
 PATENT ASSIGNEE(S): Nitto Chemical Industry Co Ltd, Japan; Mitsubishi Rayon Co., Ltd.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09025273	A	19970128	JP 1995-200268	19950714
JP 3567024	B2	20040915		

PRIORITY APPLN. INFO.: JP 1995-200268 19950714

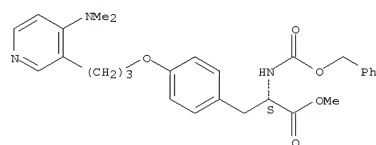
OTHER SOURCE(S): CASREACT 126:199564  
 GI



AB Claimed is a process for preparation of the title compds. [I; R1 = H, (un)substituted Ph or heterocycle; n = 0-3] by cyclocondensation of β-phenyllactic acid derivs. [II; R2 = H, MeSO2, p-toluenesulfonyl, (un)substituted Ph or heterocycle; n = 0-3; R3 = MeSO2, p-toluenesulfonyl; R4 = lower alkyl] with thiourea and hydrolysis. I, useful as intermediates in the production of drugs and pesticides, are prepared in an industrial manner efficiently and easily. Thus, II (R2 = H, n = 1, R3 = MeSO2, R4 = Me) was reacted with thiourea and then treated with 6N HCl to give I (R1 = H, n = 1).  
 IT 187143-04-0P  
 RL: IMP (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of benzylthiazolidine derivs. by cyclocondensation of

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

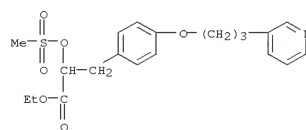
Absolute stereochemistry.



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

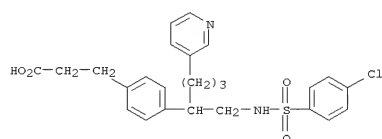
phenyllactic acid derivs. with thiourea)  
 RN 187143-04-0 CAPLUS  
 CN Benzenepropanoic acid, α-[(methylsulfonyl)oxy]-4-[3-(3-pyridinyl)propoxy]-, ethyl ester (CA INDEX NAME)



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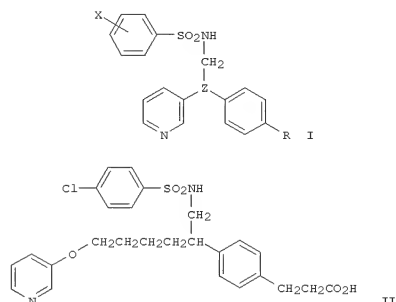
L10 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997,30177 CAPLUS  
 DOCUMENT NUMBER: 126,98688  
 TITLE: TER-930180. Antithrombotic, TxA2 synthase/receptor dual inhibitor  
 AUTHOR(S): Kasukawa, Hiroaki; Ohnishi, Hiroyuki  
 CORPORATE SOURCE: Terumo Corporation RandD Center, Kanagawa, 259-01, Japan  
 SOURCE: Drugs of the Future (1996), 21(1), 33-36  
 CODEN: DRFUD4; ISSN: 0377-8282  
 PUBLISHER: Prous  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review, with 22 refs., describing the synthesis and the pharmacol. actions of the title drug.  
 IT 172283-12-4P, TER 930180  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and pharmacol. of)  
 RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997,2234 CAPLUS  
 DOCUMENT NUMBER: 126,31271  
 TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals  
 INVENTOR(S): Tatsugami, Shinichi; Onishi, Hiroyuki; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

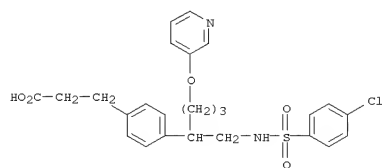
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309

PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S): MARPAT 126:31271  
 GI

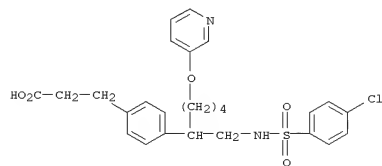


AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed IC50 of 0.039 x 10<sup>-6</sup> M against U-46619-induced platelet

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 aggregation.  
 IT 184419-22-5P 184419-23-6P 184419-24-7P  
 184419-32-7P 184419-35-0P 184653-31-4P  
 184653-32-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-22-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyloxy)butyl]- (CA INDEX NAME)

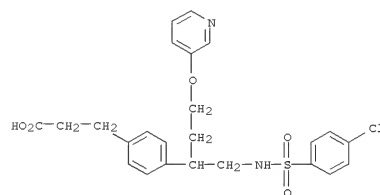


RN 184419-23-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyloxy)pentyl]- (CA INDEX NAME)



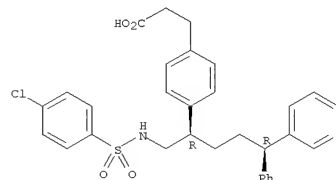
RN 184419-24-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-3-(3-pyridinyloxy)propyl]- (CA INDEX NAME)

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-32-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



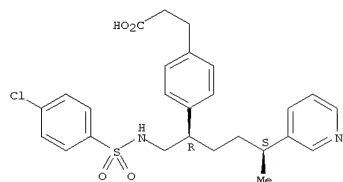
RN 184419-35-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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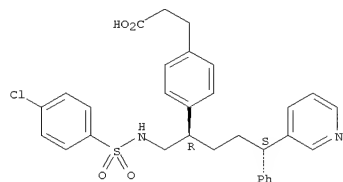
10-566,291.trn

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-31-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

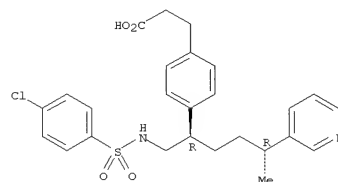
Relative stereochemistry.



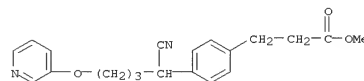
RN 184653-32-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

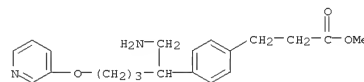
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 184419-52-1P 184419-53-2P 184419-54-3P  
 184419-61-2P 184419-62-3P 184419-63-4P  
 184419-67-8P 184419-68-9P 184653-33-6P  
 184653-34-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-52-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

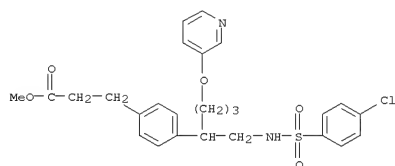


RN 184419-53-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

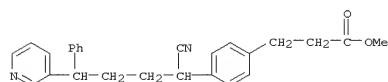


RN 184419-54-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

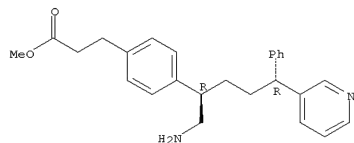


RN 184419-61-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

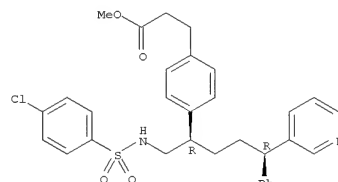
Relative stereochemistry.



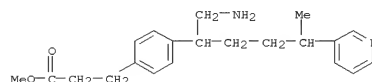
RN 184419-63-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

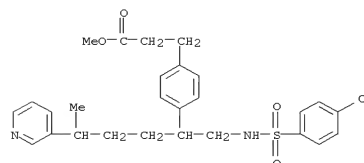
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-67-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)pentyl]-, methyl ester (CA INDEX NAME)



RN 184419-68-9 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, methyl ester (CA INDEX NAME)



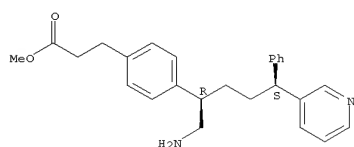
RN 184653-33-6 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

02/29/2008

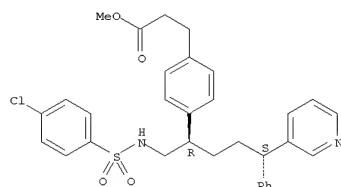
10-566,291.trn

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-34-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

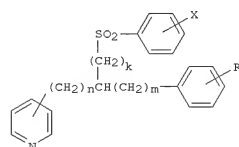
Relative stereochemistry.



L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1996:509478 CAPLUS  
 DOCUMENT NUMBER: 125:167791  
 TITLE: Preparation of pyridylalkylphenylsulfone derivatives as antithrombotic agents and antiallergic agents  
 INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue;  
 PATENT ASSIGNEE(S): Kasukawa, Hiroaki  
 SOURCE: Terumo Kabushiki Kaisha, Japan  
 PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPLN. INFO.:			JP 1994-316279	A 19941220
			WO 1995-JP2590	W 19951218

OTHER SOURCE(S): MARPAT 125:167791  
 GI

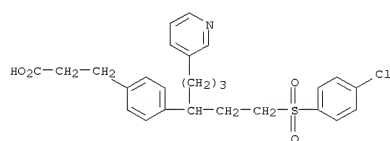


AB The title comps. I [X = H, OH, NO<sub>2</sub>, CN, CF<sub>3</sub>, halo, lower alkyl, lower alkoxy; R = O(CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>R<sub>1</sub>, (CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>R<sub>1</sub>, CR<sub>2</sub>:CR<sub>3</sub>CO<sub>2</sub>R<sub>1</sub> or CR<sub>2</sub>R<sub>3</sub>CR<sub>4</sub>CO<sub>2</sub>R<sub>1</sub> (R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> = H, lower alkyl; a = 0-5); h, m, n = 0-5] are prepared  
 A medicinal preparation containing I is also claimed. I possessing thromboxane A<sub>2</sub> and prostaglandin H<sub>2</sub> antagonisms and the effect of inhibiting the synthesis of thromboxane A<sub>2</sub>, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>H; h = 2; m = 0; n = 3] was prepared from p-HCOC<sub>6</sub>H<sub>4</sub>CH(OEt)<sub>2</sub> in twelve steps and demonstrated a IC<sub>50</sub> against thromboxane A<sub>2</sub> of 0.25 μM.  
 IT 180153-37-1P

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

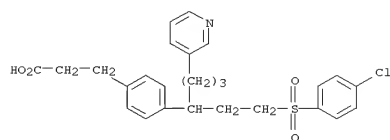
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A<sub>2</sub> inhibitors)

RN 180153-37-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



IT 180153-38-2P 180153-39-3P 180153-40-6P  
 180153-41-7P 180153-42-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A<sub>2</sub> inhibitors)

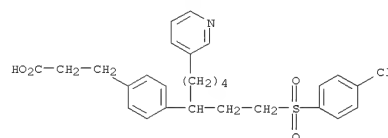
RN 180153-38-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)



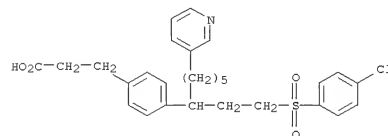
● Na

RN 180153-39-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

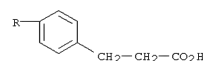
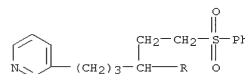
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 180153-40-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)



RN 180153-41-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

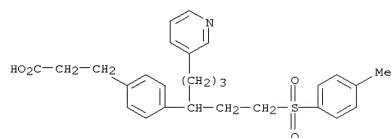


RN 180153-42-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

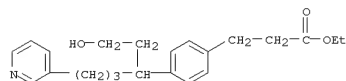
02/29/2008

10-566,291.trn

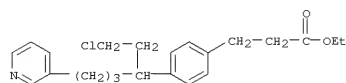
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 180153-34-8P 180153-35-9P 180153-36-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2  
 inhibitors)  
 RN 180153-34-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-hydroxyethyl)-4-(3-pyridinyl)butyl]-,  
 ethyl  
 ester (CA INDEX NAME)



RN 180153-35-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-chloroethyl)-4-(3-pyridinyl)butyl]-, ethyl  
 ester (CA INDEX NAME)



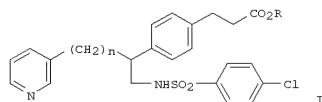
RN 180153-36-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-  
 pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:28120 CAPLUS  
 DOCUMENT NUMBER: 124:86821  
 TITLE: Preparation of 3-[4-[2-(p-chlorobenzenesulfonamido)-  
 ω-(3-pyridyl)-2-alkyl]phenyl]propionic acid as  
 antithrombotics and allergy inhibitors  
 ONISHI, Hiroyuki; Tatsugami, Shinichi; Kasukawa,  
 Hiroaki; Kitamura, Harue; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07233149	A	19950905	JP 1994-22494	19940221
PRIORITY APPLN. INFO.:				
			JP 1994-22494	19940221

OTHER SOURCE(S): MARPAT 124:86821  
 GI

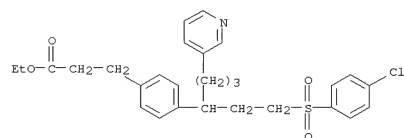


AB The title compds. (I; n = 3,4; R = H, Cl-4 alkyl), which are useful as  
 inhibitors of thromboxane A2 synthesis, thromboxane A2 antagonists, and  
 prostaglandin H2 antagonists, are prepared Thus, Et 3-[4-[1-cyano-5-(3-  
 pyridyl)pentyl]phenyl]propionate was hydrogenated in the presence of  
 Raney  
 nickel under H atm in ethanolic NH3 at room temperature overnight to  
 give  
 Et  
 3-[4-[1-amino-6-(3-pyridyl)hexan-2-yl]phenyl]propionate which was  
 condensed with p-chlorobenzenesulfonyl chloride in the presence of Et3N  
 in  
 CH2Cl2 at room temperature for 2 days to give, after saponification with  
 a mixt. of 2 n  
 aqueous NaOH and MeOH and acidification with dilute HCl, I (n = 4, R =  
 H). The  
 latter compound showed IC50 of 4.2 + 10-8 M for inhibiting the U-46619  
 (stable derivative of PGG2/H2)-induced blood platelet aggregation in  
 human  
 platelet rich plasma.

IT 172283-12-4P 172283-13-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  
 [[(p-chlorobenzenesulfonamido)pyridylalkyl]phenyl]propionic

Page 69

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 180153-34-8P 180153-35-9P 180153-36-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2  
 inhibitors)  
 RN 180153-34-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-hydroxyethyl)-4-(3-pyridinyl)butyl]-,  
 ethyl  
 ester (CA INDEX NAME)



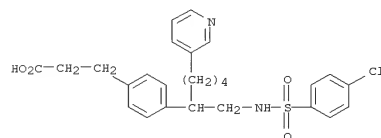
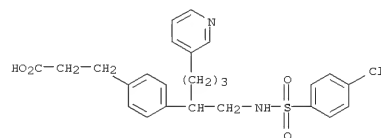
RN 180153-35-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(2-chloroethyl)-4-(3-pyridinyl)butyl]-, ethyl  
 ester (CA INDEX NAME)



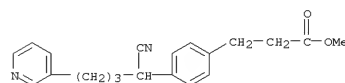
RN 180153-36-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-  
 pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

acids as antithrombotics and allergy inhibitors)  
 RN 172283-12-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-  
 pyridinyl)butyl]- (CA INDEX NAME)  
 HO2C-CH2-CH2-  
 (CH2)3  
 CH-CH2-NH-SO2-  
 Cl  
 RN 172283-13-5 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-  
 pyridinyl)pentyl]- (CA INDEX NAME)



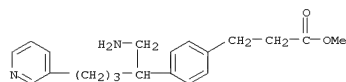
IT 172283-15-7P 172283-16-8P 172283-17-9P  
 172283-23-7P 172283-24-8P 172283-26-0P  
 172283-27-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of  
 [[(p-chlorobenzenesulfonamido)pyridylalkyl]phenyl]propionic  
 acids as antithrombotics and allergy inhibitors)  
 RN 172283-15-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyl)butyl]-, methyl ester  
 (CA INDEX NAME)



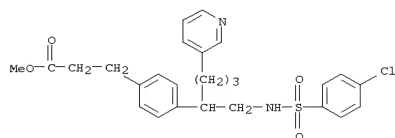
02/29/2008

10-566,291.trn

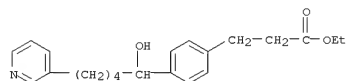
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 172283-16-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 172283-17-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

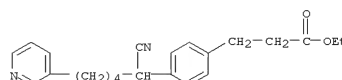


RN 172283-23-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-hydroxy-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

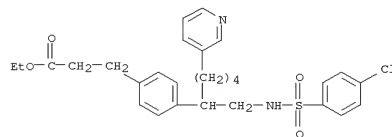


RN 172283-24-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

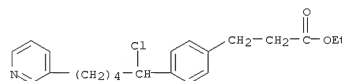
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 172283-26-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)



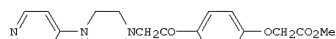
RN 172283-27-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-chloro-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:758624 CAPLUS  
 DOCUMENT NUMBER: 123:169654  
 TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors  
 INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner,  
 John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney  
 PATENT ASSIGNEE(S): Zeneca Ltd., UK  
 SOURCE: PCT Int. Appl., 236 pp.  
 DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION:

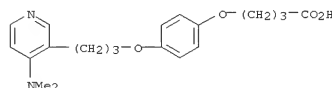
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328
WO 9422835	A3	19941222		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2155307	A1	19941013	CA 1994-2155307	19940328
AU 9462890	A	19941024	AU 1994-62890	19940328
AU 692439	B2	19980611		
EP 690847	A1	19960110	EP 1994-910495	19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, JP 08509967	T	19961022	JP 1994-521811	19940328
JP 3088016	B2	20000918		
US 5750754	A	19980512	US 1996-658097	19960604
PRIORITY APPLN. INFO.:			GB 1993-6451	A 19930329
			GB 1993-25610	A 19931215
			GB 1993-6453	A 19930329
			GB 1993-25605	A 19931215
			WO 1994-GB648	W 19940328
			GB 1995-18188	A 19950907

OTHER SOURCE(S): MARPAT 123:169654  
 GI



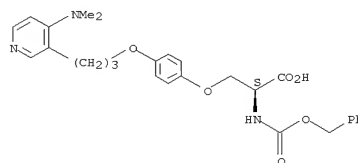
I

L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide derivative, sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepared Me 4-(bromocetyl)phenoxyacetate in MeCN  
 was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.  
 IT 166952-49-4P 166952-50-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclic compds. as platelet aggregation inhibitors)  
 RN 166952-49-4 CAPLUS  
 CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N- (CA INDEX NAME)



RN 166952-50-7 CAPLUS  
 CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N- (phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



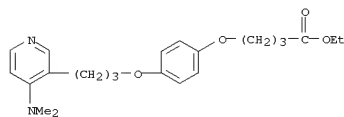
● HCl

IT 166954-62-7P 166954-63-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of heterocyclic compds. as platelet aggregation inhibitors)  
 RN 166954-62-7 CAPLUS

02/29/2008

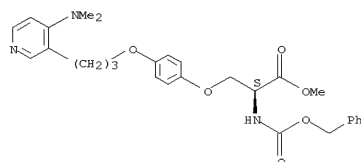
10-566,291.trn

L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 166954-63-8 CAPLUS  
 CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

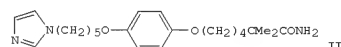
Absolute stereochemistry.



L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclalkyl ethers and sulfides as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro; Numasaki, Yoso; Takahashi, Koichiro  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 56 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

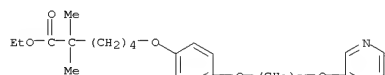
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774	A 19860724
			US 1987-74290	A3 19870716

OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967  
 GI



AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenyl, (un)substituted 5- or 6-membered heterocyclalkyl, 9- or 10-membered bicyclic heterocyclalkyl, each containing 1-4 N and, optionally, O, S; X1 = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidinyl, pyridazinyl, R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors.  
 4-HOC6H4O(CH2)4CMe2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

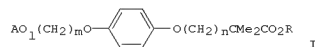
L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-decyl-2,2-dimethyl-6-[4-[(5-imidazol-1-ylpentyl)oxy]phenoxy]hexanamide 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch 25, and Mg stearate 5 mg.  
 IT 114545-58-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)  
 RN 114545-58-3 CAPLUS  
 CN Hexanoic acid, 2,2-dimethyl-6-[4-[(5-(3-pyridinyloxy)pentyl)oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:169040 CAPLUS  
 DOCUMENT NUMBER: 106:169040  
 TITLE: Anti-tumor imidazolylalkoxy- and pyridylalkoxyalkoxyalkanoates, their preparation, and pharmaceutical compositions containing them  
 INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890208		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4758580	A	19880719	US 1986-874547	19860616
AU 8659128	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19901005		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880714		
US 4886818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901	A 19850626
			US 1986-874547	A1 19860616

OTHER SOURCE(S): MARPAT 106:169040  
 GI

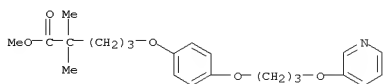


AB Compds. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m, n = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypropyl cellulose 15, starch 25, and Mg stearate 5 mg.  
 IT 95923-50-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as neoplasm inhibitor)

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L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 95923-50-5 CAPLUS  
 CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyloxy)propoxy]phenoxy]-, methyl ester (CA INDEX NAME)

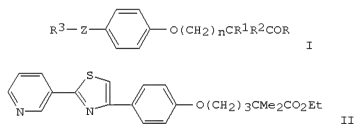


L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1985:166457 CAPLUS  
 DOCUMENT NUMBER: 102:166457  
 ORIGINAL REFERENCE NO.: 102:26161a,26164a  
 TITLE: Phenoxyalkanoate esters.  
 INVENTOR(S): Kojima, Tadao; Kageyama, Shunji; Okada, Minoru; Ohata, Isao  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 98 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 130077	A2	19850102	EP 1984-304292	19840625
EP 130077	A3	19870520		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60006667	A	19850114	JP 1983-113988	19830624
JP 63047710	B	19880926		
JP 60094974	A	19850528	JP 1983-201639	19831027
JP 60136563	A	19850720	JP 1983-248928	19831226
DK 8403058	A	19841225	DK 1984-3058	19840622
SU 1428197	A3	19880930	SU 1984-3753901	19840622
EP 320501	A2	19890614	EP 1989-200049	19840625
EP 320501	A3	19891206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542804	A3	19851216	ES 1985-542804	19850503
SU 1380609	A3	19880307	SU 1985-3947151	19850826
SU 1422998	A3	19880907	SU 1985-3943999	19850826
SU 1530093	A3	19891215	SU 1985-3947357	19850826
US 4795753	A	19890103	US 1986-913513	19860930
US 4798338	A	19890117	US 1986-913722	19860930
US 4794113	A	19881227	US 1987-203	19870102
US 4942242	A	19900717	US 1988-261552	19881021
PRIORITY APPLN. INFO.:			JP 1983-113988	A 19830624
			JP 1983-201639	A 19831027
			JP 1983-248928	A 19831226
			US 1978-892534	19780403
			US 1984-623174	A3 19840621
			EP 1984-304292	P 19840625
			US 1987-203	A3 19870102

OTHER SOURCE(S): CASREACT 102:166457; MARPAT 102:166457  
 GI

L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Phenoxyalkanoic acids and derivs. I [R = OH, amino, alkoxy; R1 and R2 are H, alkyl; n = 0, 1, 2, 3, 4, 5, 6; Z = direct bond, thiazole, diyl, (CH2)mO,

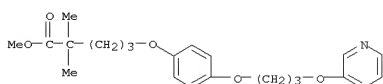
(CH2)mCONH(CH2)p, or (CH2)mNR4 (m = 1, 2, 3, 4, 5, 6; p = 0, 1, 2, 3, 4, 5; R4 = alkyl); R3 = imidazolyl, pyridyl, pyridyloxy, oxochromenyloxy, alkyl, amino, carbamoyl] were prepared, and they showed anticholesteremic activity and inhibited blood platelet aggregation. Thus,

thionicotinamide was stirred with 4-(BrCH2CO)C6H4O(CH2)3CMe2CO2Et in MeOH to give ester

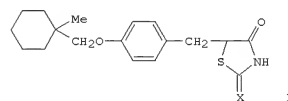
II.  
 IT 95923-50-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 95923-50-5 CAPLUS

CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyloxy)propoxy]phenoxy]-, methyl ester (CA INDEX NAME)

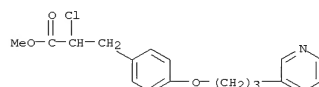


L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:125945 CAPLUS  
 DOCUMENT NUMBER: 98:125945  
 ORIGINAL REFERENCE NO.: 98:19187a,19190a  
 TITLE: Studies on antidiabetic agents. II. Synthesis of 5-[4-(1-methylcyclohexylmethoxy)benzyl]thiazolidine-2,4-dione (ADD-3878) and its derivatives.  
 AUTHOR(S): Sohda, Takashi; Mizuno, Katsutoshi; Imamiya, Eiko; Sugiyama, Yasuo; Fujita, Takeshi; Kawamatsu, Yutaka  
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(10), 3580-600  
 CODEN: CPBTAL; ISSN: 0009-2363  
 Journal  
 DOCUMENT TYPE: English  
 LANGUAGE: English  
 GI



AB More than 100 5-substituted thiazolidine-2,4-diones were prepared and their hypoglycemic and hypolipidemic activities were evaluated with genetically obese and diabetic mice, yellow KK. Thus, 2-chloro-3-[4-(1-methylcyclohexylmethoxy)phenyl]propionate was cyclized with H2NCSNH2 to give the thiazolidinone I (X = NH), which was hydrolyzed to give I (X = O). The structure-activity relationship study showed that the 5-(4-oxybenzyl) moiety is essential for substantial activity. Among these compds., 5-(4-cyclohexylmethoxy)benzylthiazolidine-2,4-dione I (X = O) and 5-[4-[2-(3-pyridyl)ethoxy]benzyl]thiazolidine exhibited the most favorable properties in terms of activity and toxicity.

IT 85003-47-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cyclization with thiourea, thiazolidinone derivative from)  
 RN 85003-47-0 CAPLUS  
 CN Benzenepropanoic acid, α-chloro-4-[3-(3-pyridinyl)propoxy]-, methyl ester (CA INDEX NAME)



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L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

02/29/2008

10-566,291.trn

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DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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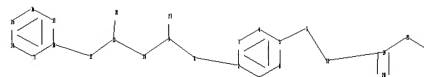
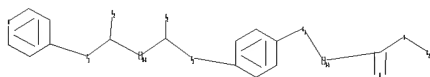
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chain nodes :

7 8 9 10 11 12 19 20 21 23 27 28 32

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18

chain bonds :

2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32  
21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

exact/norm bonds :

2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23

exact bonds :

9-10 10-11 19-32

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

isolated ring systems :

containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

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L11        STRUCTURE UPLOADED

=> d l11

L11 HAS NO ANSWERS

L11                STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l11 sss sam

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SAMPLE SCREEN SEARCH COMPLETED -     11431 TO ITERATE

17.5% PROCESSED        2000 ITERATIONS                                0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        222213 TO     235027  
PROJECTED ANSWERS:                0 TO        0

L12                0 SEA SSS SAM L11

=> s l11 sss full

FULL SEARCH INITIATED 14:49:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -    228464 TO ITERATE

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SEARCH TIME: 00.00.03

L13                10 SEA SSS FUL L11

=> fil capl

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	ENTRY	SESSION
FULL ESTIMATED COST	178.82	730.95
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
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L14 11 L13

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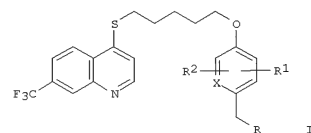
02/29/2008

10-566,291.trn

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:80940 CAPLUS  
DOCUMENT NUMBER: 146:184375  
TITLE: Preparation of substituted quinolines for treatment of  
of  
INVENTOR(S): amyloid- $\beta$ -peptide related disorders  
Leblond, Bertrand; Beausoleil, Eric; Taverne,  
Thierry;  
Desire, Laurent; Schweighoffer, Fabien  
PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.  
SOURCE: Eur. Pat. Appl., 38pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1746092	A1	20070124	EP 2005-291576	20050722
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 2007027146	A1	20070201	US 2005-190070	20050727
WO 2007034329	A2	20070329	WO 2006-1B3242	20060721
WO 2007034329	A3	20070830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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WO 2007031878	A2	20070322	WO 2006-1B3503	20060726
WO 2007031878	A3	20070907		
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PRIORITY APPLN. INFO.:			EP 2005-291576	A 20050722
			US 2005-190070	A 20050727

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
OTHER SOURCE(S): MARPAT 146:184375  
GI



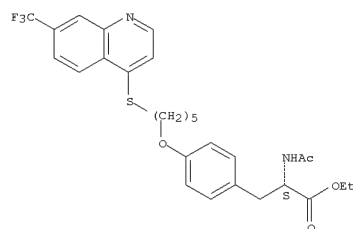
AB The title compds. I [X = CH or N; R1, R2 = H, halo, alkyl, etc.; R = H, OH, piperidino, morpholino, etc.], useful for the treatment of Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of I.3HCl [X = CH; R1, R2 = H; R = piperazino], starting from 7-trifluoromethyl-4-quinolinethiol and 1,5-dibromopentane, was given. More specifically the inventive compds. I modulate (in particular, inhibit) the level of amyloid- $\beta$  peptide (A $\beta$ ) exhibited by cells or tissues (A $\beta$  peptide is a major component of the amyloid plaques found in the brains of Alzheimer's sufferers). Exemplified compds. I were tested for inhibition of A $\beta$  40 production in HEK-293 cells overexpressing swAPP751 (data given for representative compds. I). This invention also relates to the use of these inhibitors to prevent, treat or ameliorate the symptoms of Alzheimer's disease or any Amyloid- $\beta$ -Peptide Related Disorder. Pharmaceutical composition comprising the compound I is also disclosed.

IT 921609-63-4p 921609-78-1P  
R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted quinolines for treatment and prevention of amyloid- $\beta$ -peptide related disorders)

RN 921609-63-4 CAPLUS  
CN L-Tyrosine,  
N-acetyl-O-[5-[[7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-  
ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

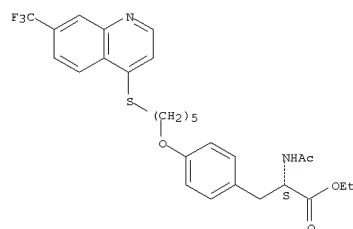
L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 921609-78-1 CAPLUS  
CN L-Tyrosine,  
N-acetyl-O-[5-[[7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-  
ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:24174 CAPLUS  
DOCUMENT NUMBER: 146:266404  
TITLE: Synthesis, in vitro and in silico evaluation of L-tyrosine containing PPAR $\alpha$ /y dual agonists

AUTHOR(S): Kumar, Rakesh; Ramchandran, Uma; Khanna, Smriti; Bharatam, Prasad V.; Raichur, Suryaprakash; Chakrabarti, Ranjan

CORPORATE SOURCE: Department of Pharmaceutical Technology, National Institute of Pharmaceutical Education and Research (NIPER), S. A. S. Nagar, 160 062, India

SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(3), 1547-1555  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 146:266404

AB A novel series of L-tyrosine derivs. have been reported with potential PPAR $\alpha$ /y dual agonistic activity. In vitro cell based PPAR $\alpha$ /y transactivation studies have shown compound 4a and compound 4f to be the most potent PPAR $\gamma$  and PPAR $\alpha$  activators, resp. Mol. docking studies performed on these series of compds. have complemented the exptl. results and have led to interesting inferences.

IT 927407-72-5P  
R2: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(L-tyrosine-containing PPAR $\alpha$ /y dual agonists preparation and evaluation as potential antidiabetic agents)

RN 927407-72-5 CAPLUS  
CN L-Tyrosine, O-[2-[[2,8-bis(trifluoromethyl)-4-quinolinyl]oxy]ethyl]-N-(1-methyl-3-oxo-3-phenyl-1-propen-1-yl)- (CA INDEX NAME)

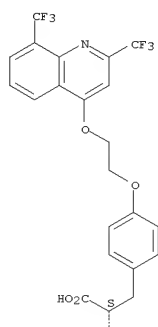
Absolute stereochemistry.  
Double bond geometry unknown.

02/29/2008

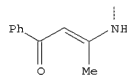
10-566,291.trn

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



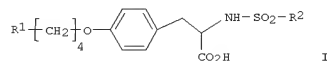
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:626952 CAPLUS  
DOCUMENT NUMBER: 143:153704  
TITLE: Preparation of O-substituted sulfonyl tyrosine derivative  
INVENTOR(S): Xiong, Chuanhui; Yang, Yong; Yang, Wenbin  
PATENT ASSIGNEE(S): Peop. Rep. China  
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.  
CODEN: CNXXEV  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

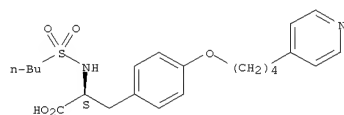
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1415606	A	20030507	CN 2002-146177	20021101
PRIORITY APPLN. INFO.:			CN 2002-146177	20021101

OTHER SOURCE(S): CASREACT 143:153704; MARPAT 143:153704  
GI



AB Title compds. I (R1 = pyridyl, piperidinyl, etc.; R2 = aryl, alkyl, aralkyl) and their hydrochloride salt are prepared by alkylating di-Et malonate with R3-CH=CH2 (R3 = pyridyl, etc.) in the presence of EtONa, saponifying with NaOH, neutralizing with HCl, and decarboxylating under heating to obtain R3-(CH2)3COOH HCl; reducing with LiAlH4 in THF, chlorinating with HCl under refluxing for 24 h and neutralizing with Na2CO3 to obtain R3-(CH2)4Cl; chlorinating L-tyrosine with SOCl2 and esterifying with MeOH to obtain L-tyrosine Me ester HCl; neutralizing with pyridine and sulfonylating with butanesulfonyl chloride in Ar ambient to obtain N-butylsulfonyl-L-tyrosine Me ester; saponifying with LiOH in water-DMSO at room temperature for 3 h, and etherifying with R3-(CH2)4Cl in the presence of KI catalyst. N-(Butylsulfonyl)-O-[4-(4-piperidinyl)butyl]-L-tyrosine or its hydrochloride are prepared  
IT 149490-61-9P  
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of O-substituted sulfonyl tyrosine derivative)  
RN 149490-61-9 CAPLUS  
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)  
Absolute stereochemistry.

L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:569049 CAPLUS  
DOCUMENT NUMBER: 143:97277  
TITLE: Acidic quinolines as antihyperglycemics and their preparation  
INVENTOR(S): Molinet, Gerard; Correc, Jean Claude; Arbellot De Vacqueur, Annick  
PATENT ASSIGNEE(S): Merck Sante, Fr.  
SOURCE: Fr. Demande, 61 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

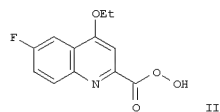
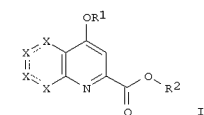
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2864535	A1	20050701	FR 2003-15402	20031224
FR 2864535	B1	20061222		
AU 2004308578	A1	20050714	AU 2004-308578	20041201
CA 2551227	A1	20050714	CA 2004-2551227	20041201
WO 2005063244	A1	20050714	WO 2004-EP13662	20041201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1696924	A1	20060906	EP 2004-803419	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1893949	A	20070110	CN 2004-80037584	20041201
BR 2004017140	A	20070221	BR 2004-17140	20041201
JP 2007516986	T	20070628	JP 2006-545961	20041201
IN 2006KN01222	A	20070427	IN 2006-KN1222	20060510
MX 2006PA07082	A	20060823	MX 2006-PA7082	20060620
US 2007149566	A1	20070628	US 2006-584151	20060622
PRIORITY APPLN. INFO.:			FR 2003-15402	A 20031224
			WO 2004-EP13662	W 20041201

OTHER SOURCE(S): MARPAT 143:97277  
GI

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10-566,291.trn

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The invention is related to the use of quinolines I [wherein X = independently N, O, S, or (un)substituted C; R1, R2 = independently H, (un)substituted alk(en/yn)yl; hetero/aryl, hetero/cycloalkyl, etc.] and their tautomers, enantiomers, diastereomers, and epimers, and their pharmaceutically acceptable salts for treating hyperglycemia-related disorders. For example, II (m.p. = 207°) was prepared in 4 steps by reacting 4-fluoroaniline with di-Me acetylenedicarboxylate, cyclization, O-alkylation with iodoethane, and saponification II showed 172% insulin

secretion at 10-5 M. II, when administered orally to NOSTZ rats, reduced glycemia by 27%. Thus, I and their compns. are used for treating hyperglycemia, diabetes, obesity, dyslipidemia, and microvascular and macrovascular complications arising from diabetes.

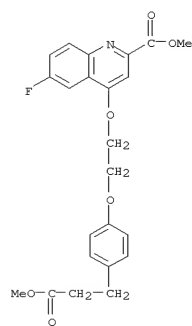
IT 856685-39-7F 856685-90-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antidiabetic agent; preparation of quinolines for treating hyperglycemia-related disorders)

RN 856685-39-7 CAPLUS

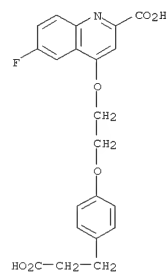
CN 2-Quinolinecarboxylic acid, 6-fluoro-4-[2-[4-(3-methoxy-3-oxopropyl)phenoxy]ethoxy]-, methyl ester (CA INDEX NAME)

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 856685-90-0 CAPLUS

CN 2-Quinolinecarboxylic acid, 4-[2-[4-(2-carboxyethyl)phenoxy]ethoxy]-6-fluoro- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:713389 CAPLUS

DOCUMENT NUMBER: 130:104774

TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARy Agonists.  
 2. Structure-Activity Relationship and Optimization

of

AUTHOR(S): the Phenyl Alkyl Ether Moiety  
 Collins, Jon L.; Blanchard, Steven G.; Boswell, G.  
 Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad  
 R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.;

Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen;  
 Lenhard, James M.; Orband-Miller, Lisa A.;

Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong,  
 Wei-Qin

CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research  
 Triangle Park, NC, 27709, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(25),  
 5037-5054

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We previously reported the identification of

(2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propanoic acid (I) (PPARy pKi = 8.94, PPARy pEC50 = 9.47) as a potent and selective PPARy agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase

chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPARy agonists with improved aqueous solubility. Specifically, replacement of the Ph ring of

the

phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-[4-[2-(5-methyl-2-pyridin-4-yloxazol-4-yl)ethoxy]phenyl]propionic acid (PPARy pKi = 8.85, PPARy pEC50 = 8.74) or a 4-methylpiperazine to give

(2S)-((2-benzoylphenyl)amino)-3-(4-((2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl]ethoxy]phenyl)propionic acid (PPARy pKi = 8.66, PPARy pEC50 = 8.89) provided two potent and selective PPARy agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric

fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set

of

Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPARy ligands (PPARy pKi's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPARy binding, functional activity, selectivity, and aqueous solubility

IT 219597-77-0P

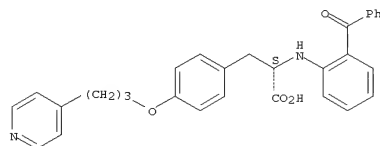
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

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L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
analogs (prepn., optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine  
as PPAR $\gamma$  agonists)  
RN 219597-77-0 CAPLUS  
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(4-pyridinyl)propyl]- (CA INDEX  
NAME)

Absolute stereochemistry.



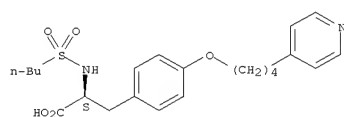
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1996:371857 CAPLUS  
DOCUMENT NUMBER: 125:67716  
TITLE: Sustained-release preparations for delivery of  
water-soluble physiologically active substances  
Takada, Shigeyuki; Kurokawa, Tomofumi; Iwasa, Susumu  
Takeda Chemical Industries, Ltd., Japan  
SOURCE: Eur. Pat. Appl., 18 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 709085	A1	19960501	EP 1995-115568	19951002
EP 709085	B1	20010131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08151321	A	19960611	JP 1995-250818	19950928
JP 3790567	B2	20060628		
CA 2159552	A1	19960331	CA 1995-2159552	19950929
EP 1022020	A2	20000726	EP 2000-106329	19951002
EP 1022020	A3	20010425		
EP 1022020	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 198981	T	20010215	AT 1995-115568	19951002
AT 231390	T	20030215	AT 2000-106329	19951002
PRIORITY APPLN. INFO.:			JP 1994-236846	A 19940930
			EP 1995-115568	A3 19951002

OTHER SOURCE(S): MARPAT 125:67716  
AB A microcapsule comprising an amorphous water-soluble physiol. active  
substance and a polymer and a process for producing a microcapsule, which  
comprises dispersing an amorphous water-soluble physiol. active  
substance in a solution of a polymer in an organic solvent into an aqueous phase to  
prepare an emulsion and subjecting the emulsion to a rapid drying process, are  
described. The invention provides a microcapsule that has a high  
entrapment of a water-soluble drug and causes a small initial release.  
An antiplatelet aggregation agent S-4-[(4-amidinobenzoyl)glycyl]-3-  
methoxycarbonylmethyl-2-oxopiperazine-1-acetic acid in amorphous form was  
dispersed in a solution of glycolic acid-lactic acid copolymer. The  
drug in the dispersion was pulverized to microparticles in a 0.2% PVA solution  
containing 2.7% NaCl. The microcapsules were freeze-dried to obtain powdery  
microcapsules.  
IT 149490-61-9  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained-release microcapsules containing water-soluble physiol.  
active

L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
substances and polymers)  
RN 149490-61-9 CAPLUS  
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)  
Absolute stereochemistry.



L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1994:457996 CAPLUS  
DOCUMENT NUMBER: 121:57996  
TITLE: Process for preparing tyrosine derivatives useful as  
fibrinogen receptor antagonists  
Chung, John Y. L.; Bughes, David L.; Zhao, Dalian  
Merck and Co., Inc., USA  
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 843,690,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

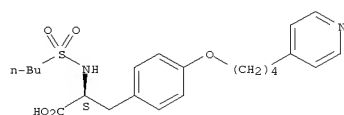
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5312923	A	19940517	US 1993-17922	19930216
RU 2113432	C1	19980620	RU 1994-41212	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
PRIORITY APPLN. INFO.:			US 1992-843690	B2 19920228

OTHER SOURCE(S): CASREACT 121:57996; MARPAT 121:57996  
AB The invention is a highly efficient synthesis of tyrosine derivs.  
4-[R1(CH2)mO]C6H4CH2CH(NHSO2R4)CO2H [I; R1 = 6-membered (un)satd  
heterocyclic ring containing 1-2 heteroatoms selected from N, NH, or  
alkylimino; m = 2-6; R4 = aryl, C1-10 alkyl, or C4-10 aralkyl]. The  
method involves (1) lithiation of Me heterocycles R1CH3 with BuLi and  
reaction with Br(CH2)m-1OR (R = tetrahydropyranyl) to give R1(CH2)mOR;  
(2) deprotection of the latter with HCl/EtOH, then neutralization with  
Et3N/THF, to give R1(CH2)mOH; (3) Mitsunobu reaction of these alcs. with  
N-sulfonylated tyrosine Me esters, followed by ester hydrolysis, to give  
I, and optional addnl. selective hydrogenation of unsatd. heterocyclic  
groups R1 in I. For example, 4-picoline underwent lithiation by BuLi,  
coupling with Br(CH2)3OR (R = 2-tetrahydropyranyl), deprotection, and  
neutralization to give 40% 4-(4-pyridinyl)butanol. This underwent  
Mitsunobu reaction with N-(n-butanesulfonyl)-L-tyrosine Me ester using  
PPh3 and iso-PrO2CN:CO2Pr-iso, followed by hydrolysis of the Me ester  
with LiOH in aqueous MeOH/THF, to give 55% L-I (R1 = 4-pyridyl, m = 4,  
R4 = Bu). Hydrogenation of this over Pd/C gave 86% L-I (R1 = 4-piperidinyl, m  
= 4, R4 = Bu), which inhibited ADP-stimulated aggregation of human  
platelets in vitro with an IC50 of 0.015  $\mu$ M.  
IT 149490-61-9P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-  
tyrosine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenation of)  
RN 149490-61-9 CAPLUS  
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)  
Absolute stereochemistry.

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L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

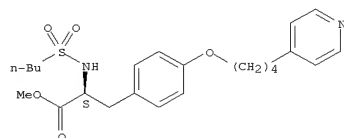


IT 151414-73-2P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 151414-73-2 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

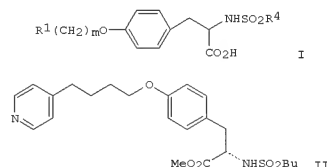


L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:8480 CAPLUS  
 DOCUMENT NUMBER: 120:8480  
 TITLE: Preparation of O-[4-(4-piperidinyl)butyl]tyrosine via the Mitsunobu reaction  
 INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316994	A1	19930902	WO 1993-US1621	19930224
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337313	A	19930913	AU 1993-37313	19930224
HU 70545	A2	19951030	HU 1994-2462	19930224
HU 223578	B1	20040928		
CZ 282770	B6	19971015	CZ 1994-2056	19930224
RU 2113432	C1	19980620	RU 1994-41212	19930224
SK 280164	B6	19990910	SK 1994-1024	19930224
RO 115724	B1	20000530	RO 1994-1434	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
FI 9403934	A	19941005	FI 1994-3934	19940826
FI 106024	B1	20001115		
PRIORITY APPLN. INFO.:			US 1992-843690	A1 19920228
			WO 1993-US1621	A 19930224

OTHER SOURCE(S): CASREACT 120:8480; MARPAT 120:8480  
 GI



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

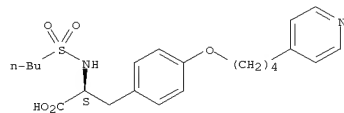
AB The title comps. I [R1 = 6-membered (un)saturated heterocyclic ring containing 1 or 2 heteroatoms; R4 = aryl, C1-10 alkyl, C4-10 arylalkyl; m = 2-6], useful as fibrinogen receptor antagonists (no data), are prepared in high yield and from inexpensive starting materials by reacting R1Me with BuLi and Br(CH2)mOR (R = tetrahydropyran) forming R1(CH2)mOR, cleaving the ether to an alc. with HCl, and then coupling the ether with a tyrosinesulfonamide Me ester in the presence of Ph3P and iso-PrO2CN:CO2Pr-iso (Mitsunobu reaction). Thus, (L)-tyrosine Me ester hydrochloride was condensed with N-butanesulfonyl chloride and the intermediate coupled with 4-(4-pyridinyl)butanol via the Mitsunobu reaction, producing II.

IT 149490-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of fibrinogen receptor antagonists)

RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.

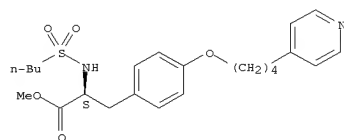


IT 151414-73-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of fibrinogen receptor antagonists)

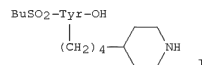
RN 151414-73-2 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:650418 CAPLUS  
 DOCUMENT NUMBER: 119:250418  
 TITLE: A practical synthesis of fibrinogen receptor antagonist MK-383. Selective functionalization of (S)-tyrosine  
 AUTHOR(S): Chung, John Y. L.; Zhao, Dalian; Hughes, David L.; Grabowski, Edward J. J.  
 CORPORATE SOURCE: Dep. Process Res., Merck and Co., Inc., Rahway, NJ, 07065, USA  
 SOURCE: Tetrahedron (1993), 49(26), 5767-76  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:250418  
 GI

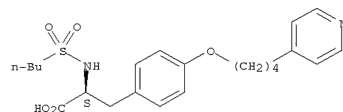


AB A practical 4-step synthesis of fibrinogen receptor antagonist MK-383 (I.HCl), is accomplished in 48% overall yield from (S)-tyrosine. Highlights include: (1) the dual use of 4-picoline as a masked form of piperidine, and as a nucleophile precursor for a 3-carbon homologation with 3-bromo-1-chloropropane; (2) the use of trimethylsilyl groups for temporary protection of phenolic and carboxylate oxygens of (S)-tyrosine that enable selective N-sulfonylation to be carried out in high yield; (3) the selective phenolic O-alkylation of the tyrosine derivative in high yield with no racemization using aqueous KOH/DMSO; and (4) the selective hydrogenation of the pyridine ring in the presence of the tyrosine ring using Pd/C in acetic acid.

IT 149490-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 149490-61-9 CAPLUS  
 CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



02/29/2008

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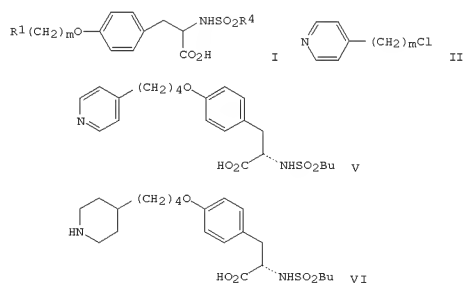
L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1993:539778 CAPLUS  
DOCUMENT NUMBER: 119:139778  
TITLE: Process for preparing fibrinogen receptor antagonists  
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian  
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
SOURCE: U.S., 8 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5206373	A	19930427	US 1992-843658	19920228
EP 558139	A1	19930901	EP 1993-200486	19930220
EP 558139	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE	A2	19961023	EP 1996-202049	19930220
EP 738714	A3	19961120		
EP 738714	B1	20010502		
R: ES, GR				
AT 156118	T	19970815	AT 1993-200486	19930220
ES 2105069	T3	19971016	ES 1993-200486	19930220
ES 2156255	T3	20010616	ES 1996-202049	19930220
WO 9316995	A1	19930902	WO 1993-US1646	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337322	A	19930913	AU 1993-37322	19930223
HU 70537	A2	19951030	HU 1994-2467	19930223
CZ 283485	B6	19980415	CZ 1994-2033	19930223
RU 2114105	C1	19980627	RU 1996-107890	19930223
HU 217959	B	20000528	HU 1996-658	19930223
RO 116016	B1	20000929	RO 1994-1433	19930223
SK 281250	B6	20010118	SK 1994-1022	19930223
JP 06009557	A	19940118	JP 1993-36896	19930225
CA 2090509	A1	19930829	CA 1993-2090509	19930226
CA 2090509	C	19970225		
AU 9333836	A	19930902	AU 1993-33836	19930226
AU 657199	B2	19950302		
CN 1076441	A	19930922	CN 1993-102136	19930227
CN 1050832	B	20000329		
FI 9403933	A	19941004	FI 1994-3933	19940826
FI 106023	B1	20001115		
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FI 107255	B1	20010629	FI 1998-2545	19981124
GR 3035827	T3	20010831	GR 2001-400122	20010503
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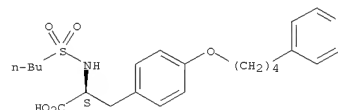
L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
WO 1993-US1646 A 19930223  
FI 1994-3933 A 19940826

OTHER SOURCE(S): CASREACT 119:139778; MARPAT 119:139778  
GI



AB Tyrosine derivs. I (R1 = 4-piperidinyl, 4-pyridyl; m = 2-6; R4 = aryl, Cl-10 alkyl, aralkyl), useful as fibrinogen receptor antagonists (no data), were prepared by N-sulfonylating tyrosine with R4SO2Cl mediated by N,O-bis(trimethylsilyl)trifluoroacetamide (BSTFA) and O-alkylating the resulting R4SO2-Tyr-OH with pyridylalkyl chlorides II in aqueous alkaline hydride in a highly polar aprotic solvent. When R1 = 4-piperidinyl is desired for I, the corresponding 4-pyridyl derivative can be selectively hydrogenated over Pd/C in acetic acid. II was prepared by treating 4-picoline with BuLi and then chloroalkylating with Br(CH2)mCl. Thus, a suspension of L-tyrosine and BSTFA in MeCN was heated at 85° for 2 h and the resulting solution of O,O'-bis(trimethylsilyl)L-tyrosine was cooled to 40° and then pyridine and BuSO2Cl were added over 30 min. The reaction mixture was aged at 70° for 3 h and then at room temperature for 14 h.. Almost all the solvent was removed in a batch concentrator and the oily residue was treated with 15% KHSO4 and stirred for 1 h to give 84% BuSO2-L-Tyr-OH (III). 4-Picoline was treated with BuLi in THF and the resulting 4-picolyl lithium was treated with Br(CH2)3Cl to give 92% II (m = 4) (IV). III was treated with IV in DMSO and 3N aqueous KOH to give pyridylbutyl ether V, which was hydrogenated over Pd/C in acetic acid to give 4-piperidinyl ether VI.

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
IT 149490-61-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and selective hydrogenation of)  
RN 149490-61-9 CAPLUS  
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)  
Absolute stereochemistry.



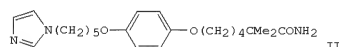
02/29/2008

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L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:422967 CAPLUS  
 DOCUMENT NUMBER: 109:22967  
 TITLE: Preparation of heterocyclalkyl ethers and sulfides  
 as antitumor agents  
 INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro;  
 Numasaki, Yoso; Takahashi, Koichiro  
 Yamanouchi Pharmaceutical Co., Ltd., Japan  
 PATENT ASSIGNEE(S): Eur. Pat. Appl., 56 pp.  
 SOURCE: CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

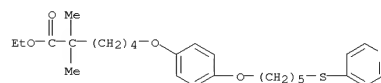
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EP 254590	A3	19881109		
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US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774	A 19860724
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OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967  
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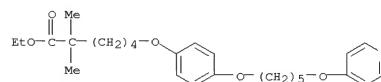


AB A(CH<sub>2</sub>)pXl(CH<sub>2</sub>)mX2BX3Y(CH<sub>2</sub>)nCR1R2R3 [I; A = biphenyl, (un)substituted 5- or 6-membered heterocycl, 9- or 10-membered bicyclic heterocycl, each containing 1-4 N and, optionally, O, S; Xl = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidindyl, pyridazindyl; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO<sub>2</sub>H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors.  
 4-HOC6H4O(CH<sub>2</sub>)4CMe<sub>2</sub>CONH<sub>2</sub> was etherified with Br(CH<sub>2</sub>)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 N-decyl-2,2-dimethyl-6-[4-[[5-(4-midazol-1-ylpentyl)oxy]phenoxy]hexanamide  
 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch  
 25, and Mg stearate 5 mg.  
 IT 114545-62-9P 114545-83-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as neoplasm inhibitor)  
 RN 114545-62-9 CAPLUS  
 CN Hexanoic acid,  
 2,2-dimethyl-6-[4-[[5-(4-pyridinylthio)pentyl]oxy]phenoxy]-  
 , ethyl ester (CA INDEX NAME)



RN 114545-83-4 CAPLUS  
 CN Hexanoic acid,  
 2,2-dimethyl-6-[4-[[5-(4-pyridinylthio)pentyl]oxy]phenoxy]-,  
 ethyl ester (CA INDEX NAME)



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NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
NEWS	7	DEC	04	LINPADOCDB now available on STN
NEWS	8	DEC	14	BEILSTEIN pricing structure to change
NEWS	9	DEC	17	USPATOLD added to additional database clusters
NEWS	10	DEC	17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC	17	DGENE now includes more than 10 million sequences
NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC	17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	15	DEC	17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN	02	STN pricing information for 2008 now available
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN	28	MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB	08	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements

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DICTIONARY FILE UPDATES: 28 FEB 2008 HIGHEST RN 1005771-38-9

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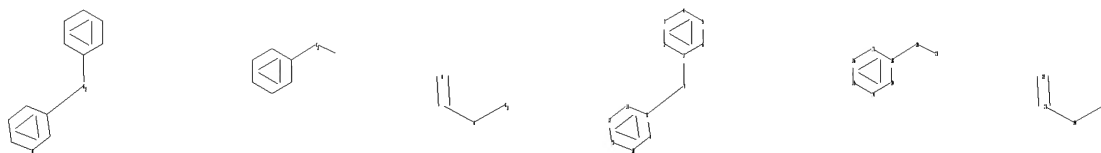
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ring nodes :
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containing 1 : 8 : 14 :

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G1:H,Ak

G2:C,O,S

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Match level :
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20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS

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02/29/2008

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L1        STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

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TOTAL

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FILE LAST UPDATED: 28 Feb 2008 (20080228/ED)

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02/29/2008

10-566,291.trn

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02/29/2008

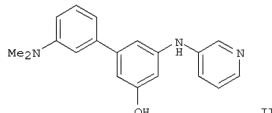
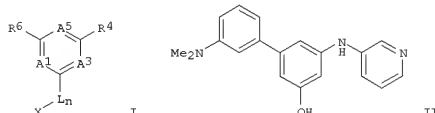
10-566,291.trn

L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1256681 CAPLUS  
 DOCUMENT NUMBER: 146:7835  
 TITLE: Preparation of heterocyclic anticancer agents and  
 uses  
 INVENTOR(S): Kelly, Martha; Lee, Younghee; Liu, Bin; Fujimoto,  
 Ted;  
 Freundlich, Joel; Dorsey, Bruce D.; Flynn, Gary A.;  
 Husain, Arifa  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 69pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
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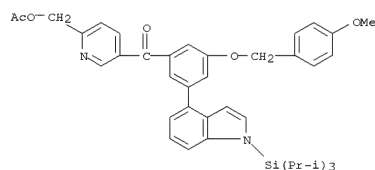
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006270686	A1	20061130	US 2004-928401	20040830
WO 2008008059	A1	20080117	WO 2006-US26935	20060712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,  
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,  
 MW, MX, ME, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,  
 SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,  
 US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
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 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
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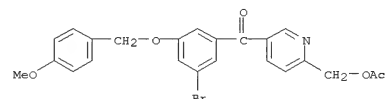
OTHER SOURCE(S): MARPAT 146:7835  
 GI



L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB The present invention is in the area of novel compds. and salts thereof,  
 their syntheses, and their use as anti-cancer agents. The compds.  
 include  
 compds. of formula I and solvates, hydrates and pharmaceutically-  
 acceptable salts thereof, wherein A1 = N or CR1; A3 = N or CR3; A5 = N or  
 CR5; R1, R3, R5, R6 = H, halo, hydroxy, alkyl, etc.; R4 = (un)substituted  
 1-indolyl or 1-indazolyl; adamantyl, etc.; L = a linker; n = 0 or 1; and  
 X  
 = (un)substituted aryl group having 6-10 carbons in the ring portion,  
 (un)substituted 6-membered heteroaryl group having 1-3 nitrogens, etc.  
 They are effective against a broad range of cancers, especially leukemia,  
 non-small cell lung and colon. Preparation of I is exemplified. For  
 example,  
 II was prepared in three steps from an initial reaction between  
 3-bromo-N,N-dimethylaniline and tri-Me borate vis intermediates  
 3-dimethylaminophenylboronic acid and 3-benzyloxy-3'-dimethylamino-5-  
 (pyridin-3-ylamino)biphenyl. In a cell viability assay using Jurkat and  
 HeLa cells, II had IC50 values in the range of 1-30 μM.  
 IT 915411-89-1P 915411-90-4P, Acetic acid  
 [5-[3-[(4-methoxybenzyl)oxy]-5-[1-(triisopropylsilyl)-1H-indol-4-  
 yl]benzoyl]pyridin-2-yl]methyl ester  
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of heterocyclic anticancer agents and uses thereof)  
 RN 915411-89-1 CAPLUS  
 CN Methanone, [6-[(acetyloxy)methyl]-3-pyridinyl][3-bromo-5-[(4-  
 methoxyphenyl)methoxy]phenyl]- (CA INDEX NAME)



RN 915411-90-4 CAPLUS  
 CN Methanone, [6-[(acetyloxy)methyl]-3-pyridinyl][3-[(4-  
 methoxyphenyl)methoxy]-5-[1-(triisopropylsilyl)-1H-indol-4-  
 yl]phenyl]- (CA INDEX NAME)

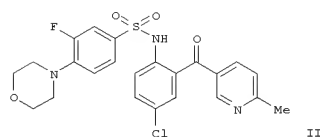
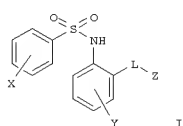
L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:493928 CAPLUS  
 DOCUMENT NUMBER: 144:467901  
 TITLE: Preparation of aryl sulfonamides as antagonists of  
 CKR9 receptor  
 INVENTOR(S): Ungashe, Solomon; Wright, John J.; Pennell, Andrew;  
 Wei, Zheng; Melikian, Anita  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 163 pp., Cont.-in-part of U.S.  
 Ser. No. 846,241.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006111351	A1	20060525	US 2005-255163	20051020
US 2004171654	A1	20040902	US 2003-716170	20031117
US 6939885	B2	20050906		
EP 1798223	A2	20070620	EP 2007-4318	20031117
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR CN 101077867	A	20071128	CN 2007-10127011	20031117
CA 2505590	A1	20041007	CA 2003-2505590	20031118
AU 2003303942	A1	20041018	AU 2003-303942	20031118
AU 2003303942	B2	20080103		
EP 1567486	A2	20050831	EP 2003-816012	20031118
EP 1567486	B1	20080116		
R: AT, BE, BG, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006510724	T	20060330	JP 2004-569975	20031118
US 2005137193	A1	20050623	US 2004-846241	20040513
US 2005165067	A1	20050728	US 2005-46565	20050127
MX 2005PA05296	A	20051214	MX 2005-PA5296	20050518
IN 2005CN00962	A	20070810	IN 2005-CN962	20050518
KR 780905	B1	20071130	KR 2005-708974	20050518
JP 2007077166	A	20070329	JP 2006-311085	20061117
AU 2007205711	A1	20070830	AU 2007-205711	20070809
PRIORITY APPLN. INFO.:			US 2002-427670P	P 20021118
<--			US 2003-716170	A2 20031117

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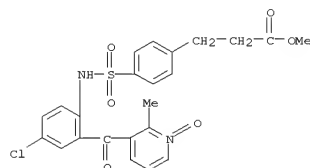
10-566,291.trn

L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 US 2004-846241 A2 20040513  
 AU 2003-298661 A3 20031117  
 CN 2003-80103335 A3 20031117  
 EP 2003-796416 A3 20031117  
 JP 2004-553842 A3 20031117  
 WO 2003-US37035 W 20031118  
 OTHER SOURCE(S): MARPAT 144:467901  
 GI

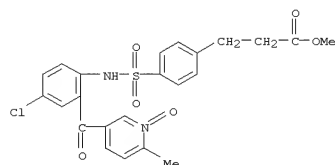


AB Title comps. I [X = 1-5 substituents independently selected from CN, NO<sub>2</sub>, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO<sub>2</sub>, etc.; L = linker selected from CO, S, SO, or SO<sub>2</sub>; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle ] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Numerous comps. of the invention were determined to possess IC50 values of less than 1000 nM in either chemotaxis assays and/or calcium mobilization assays. Compound II is claimed.  
 IT 698395-36-7P 698395-48-1P

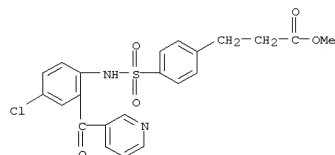
L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



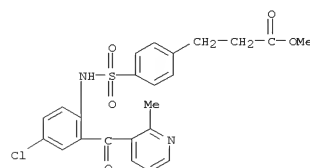
RN 698395-53-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



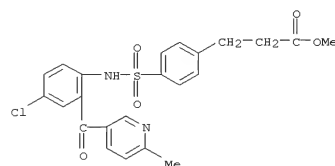
RN 698395-85-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of aryl sulfonamides as antagonists of CCR9 receptor)  
 RN 698395-36-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-48-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



IT 698395-41-4P 698395-53-8P 698395-85-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aryl sulfonamides as antagonists of CCR9 receptor)  
 RN 698395-41-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

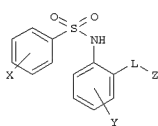
L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1259748 CAPLUS  
 DOCUMENT NUMBER: 144:22718  
 TITLE: Preparation of aryl sulfonamides as antagonists of CCR9 receptor  
 INVENTOR(S): Ungashe, Solomon; Wright, John Jessen; Pennell, Andrew; Wei, Zheng; Melikian, Anita  
 PATENT ASSIGNEE(S): Chemocentryx, USA  
 SOURCE: PCT Int. Appl., 312 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112925	A1	20051201	WO 2005-US16815	20050513
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005137193	A1	20050623	US 2004-846241	20040513
<--				
AU 2007205711	A1	20070830	AU 2007-205711	20070809
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PRIORITY APPLN. INFO.:			US 2004-846241	A 20040513
<--			US 2002-427670P	P 20021118
<--			AU 2003-298661	A3 20031117
<--			US 2003-716170	A1 20031117
OTHER SOURCE(S):			CASREACT 144:22718; MARPAT 144:22718	
GI				

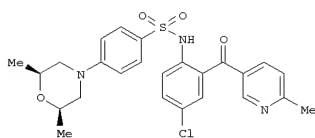
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L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

AB Title compds. I [X = 1-5 substituents independently selected from CN, NO<sub>2</sub>, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO<sub>2</sub>, etc.; L = linker selected from CO, S, SO, or SO<sub>2</sub>; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle ] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Thus, e.g., II was prepared by substitution of

4-bromo-N-[4-chloro-2-(6-methylpyridine-3-carbonyl)phenyl]benzenesulfonamide (preparation given) with cis-2,6-dimethylmorpholine. Numerous compds. of

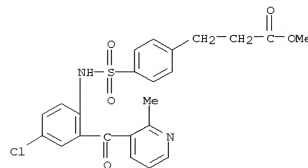
the invention were determined to possess IC<sub>50</sub> values of less than 1000 nM in

either chemotaxis assays and/or calcium mobilization assays. The compds. are generally aryl sulfonamide derivs. and are useful in pharmaceutical compns., methods for the treatment of CCR9-mediated diseases, and as controls in assays for the identification of CCR9 antagonists.

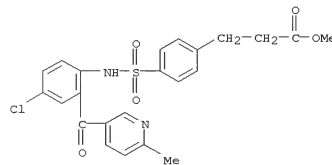
IT 698395-36-7P 698395-48-1P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of aryl sulfonamides as antagonists of CCR9 receptor)

RN 698395-36-7 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-

L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

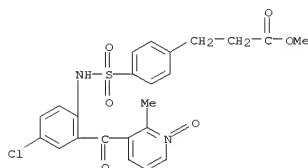


RN 698395-48-1 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

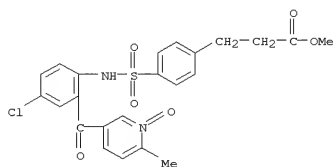


IT 698395-41-4P 698395-53-8P 698395-85-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl sulfonamides as antagonists of CCR9 receptor)  
RN 698395-41-4 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

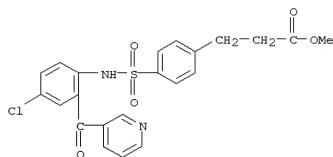
L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-53-8 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-85-6 CAPLUS  
CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:1089525 CAPLUS  
DOCUMENT NUMBER: 143:367209  
TITLE: Preparation of aralkyl amino acid derivatives as PPAR agonists with potent antihyperglycemic and antihyperlipidemic activity  
INVENTOR(S): Lu, Xianping; Li, Zhibin; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tuo  
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Limited, Peop. Rep. China  
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 49 pp.  
CODEN: CNXKEV  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:  
PATENT NO. KIND DATE APPLICATION NO. DATE  
-----  
CN 1562970 A 20050112 CN 2003-126974 20030617  
<--  
PRIORITY APPLN. INFO.: CN 2003-126974 20030617  
<--  
OTHER SOURCE(S): CASREACT 143:367209; MARPAT 143:367209  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

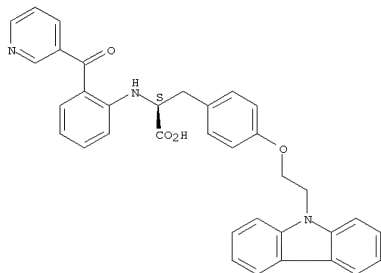
AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH, O, S, (un)substituted amino; R<sub>1</sub> = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R<sub>2</sub> = H, (heteroaryl)alkyl, (heteroaryl), etc.; R<sub>3</sub> = H, alkyl, aralkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, alkyl, alkenyl, heteroaryloxy, etc.; Alk1 = Cl-6 alkylene; Alk2 = Cl-2 alkylene; Ar1 = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar2 = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof]  
were prepared as peroxisome proliferator-activated receptors (PPAR) agonist  
that activates RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers. For example, condensation of 2-(4-fluorobenzoyl)cyclohexanone with L-tyrosine Me ester (48%), followed by O-alkylation with 1,2-dibromoethane (38%) and N-alkylation with carbazole (36%), gave II (CS 038). I showed comparative activation of RXR/PPAR- $\alpha$ , - $\delta$  and - $\gamma$ , and illustrated in vivo glucose lowering effect, etc. Thus, I and their pharmaceutical compns. are useful  
for as selective agonists activating PPAR, in particularly the RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved

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10-566,291.trn

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
side effects profile commonly assocd. with conventional PPAR- $\gamma$  agonists.  
IT 866218-00-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aralkyl amino acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)  
RN 866218-00-0 CAPLUS  
CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of aralkyl amino acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)  
RN 702639-94-9 CAPLUS  
CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

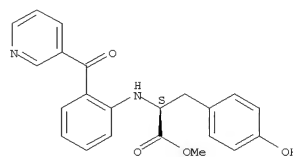
Absolute stereochemistry.

L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:588861 CAPLUS  
DOCUMENT NUMBER: 143:115446  
TITLE: Preparation of biphenyl aminoalcohols as  $\beta$ 3 adrenergic agonists for treatment of pollakiurea or urinary incontinence  
INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito, Shinji; Washizuka, Kenichi; Araki, Takano; Sakurai, Minoru; Tanabe, Daisuke  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Astellas Pharma Inc  
SOURCE: PCT Int. Appl., 174 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061433	A2	20050707	WO 2004-JP19495	20041220
WO 2005061433	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2551167	A1	20050707	CA 2004-2551167	20041220
EP 1697301	A2	20060906	EP 2004-807850	20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1906154	A	20070131	CN 2004-80041150	20041220
JP 2007516211	T	20070621	JP 2006-520478	20041220
MX 2006PA07173	A	20060823	MX 2006-PA7173	20060622
IN 2006CN02292	A	20070608	IN 2006-CN2292	20060623
KR 2007019678	A	20070215	KR 2006-714417	20060718
PRIORITY APPLN. INFO.:				
WO 2004-JP19495 W 20041220				

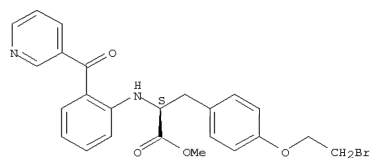
OTHER SOURCE(S): MARPAT 143:115446  
GI

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

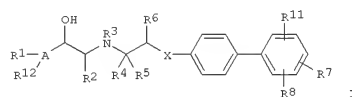


RN 702639-97-2 CAPLUS  
CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

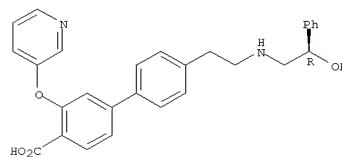


AB Title compds. [I; A = Ph, pyridyl; X = bond, CH<sub>2</sub>, O, NH; R<sub>1</sub>, R<sub>12</sub> = H, OH, halo, alkyl, etc.; R<sub>2</sub> = H, (substituted) alkyl; R<sub>3</sub> = H, protecting group; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, (substituted) alkyl; R<sub>7</sub> = ZR<sub>13</sub>; Z = bond, (CH<sub>2</sub>)<sub>n</sub>, OCH<sub>2</sub>; n = 1-4; R<sub>13</sub> = carboxy, alkoxycarbonyl, alkylsulfonylcarbamoyl, alkanoylsulfamoyl; R<sub>8</sub> = YR<sub>9</sub>; Y = bond, CH<sub>2</sub>, O, S, etc.; R<sub>9</sub> = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R<sub>11</sub> = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared Thus,  
3-cyclohexyloxy-4'-[2-[[ (2R)-2-hydroxy-2-(3-pyridyl)ethyl]amino]ethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at  
0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravesical pressure.

IT 855480-30-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of biphenyl aminoalcs. as  $\beta$ 3 adrenergic agonists for treatment of pollakiurea or urinary incontinence)

RN 855480-30-7 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[ (2R)-2-hydroxy-2-phenylethyl]amino]ethyl]-3-(3-pyridinyloxy)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

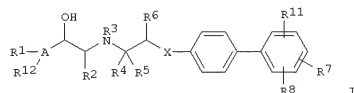
02/29/2008

10-566,291.trn

L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:547274 CAPLUS  
 DOCUMENT NUMBER: 143:78089  
 TITLE: Preparation of biphenyl aminoalcohols as  $\beta$ 3  
 adrenergic agonists for treatment of pollakiurea or  
 urinary incontinence.  
 INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito,  
 Shinji; Washizuka, Kenichi; Araki, Takanobu; Sakurai,  
 Minoru; Tanabe, Daisuke  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: U.S. Pat. Appl. Publ., 53 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005137236	A1	20050623	US 2004-16886	20041221

<-- PRIORITY APPLN. INFO.: EP 2003-907111 A 20031223  
 <-- OTHER SOURCE(S): MARPAT 143:78089  
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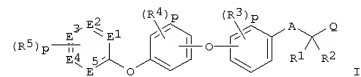


AB Title compds. [I; A = Ph, pyridyl; X = bond, CH2, O, NH; R1, R12 = H, OH, halo, alkyl, etc.; R2 = H, (substituted) alkyl; R3 = H, protecting group; R4, R5, R6 = H, (substituted) alkyl; R7 = ZR13; Z = bond, (CH2)n, OCH2; n = 1-4; R13 = carboxy, alkoxycarbonyl, alkylsulfonylcarbonyl, alkanoylsulfamoyl; R8 = YR9; Y = bond, CH2, O, S, etc.; R9 = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R11 = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared Thus,  
 3-cyclohexyloxy-4'-[2-[[[(2R)-2-hydroxy-2-(3-pyridyl)ethyl]amino]ethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at  
 0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravesical pressure.  
 IT 855480-30-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of biphenyl aminoalcs. as  $\beta$ 3 adrenergic agonists for

L4 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:371204 CAPLUS  
 DOCUMENT NUMBER: 142:430015  
 TITLE: Preparation of phenoxyether derivatives as PPAR  
 modulators  
 INVENTOR(S): Winneorski, Leonard Larry, Jr.; Xu, Yanping; York,  
 Jeremy Schulenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 185 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037763	A1	20050428	WO 2004-US30911	20041008

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 CA 2541751 A1 20050428 CA 2004-2541751 20041008  
 EP 1675814 A1 20060705 EP 2004-793892 20041008  
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 JP 2007508382 T 20070405 JP 2006-535505 20041008  
 <-- US 2007037812 A1 20070215 US 2006-571961 20060313  
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 OTHER SOURCE(S): CASREACT 142:430015; MARPAT 142:430015  
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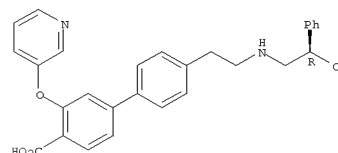


AB Title compds. I [E1-5 = CH, CR5, or at least one of E1-5 = N and others

Page 94

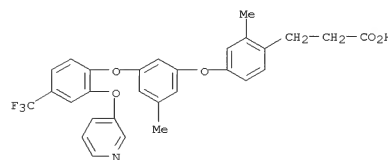
L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 treatment of pollakiurea or urinary incontinence)  
 RN 855480-30-7 CAPLUS  
 CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]-3-(3-pyridinyloxy)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

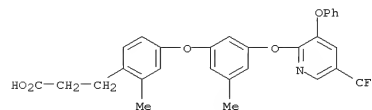


● HCl

L4 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 are CH, CR5; A = bond, CH2, etc.; Q = carboxy; p = 1-4; R1-2 = H, alkyl, etc.; R3-4 = H, NO2, CN, OH, etc.; R5 = H, NO2, CN, etc.] are prepd. For instance, [[4-[3-(4-chloro-2-phenoxyphenoxy)phenoxy]-2-methylphenyl]sulfonyl]acetic acid is prepd. in 2 steps from 4-chloro-2-phenoxyphenol, 1-bromo-3-iodobenzene and [[4-hydroxy-2-methylphenyl]sulfonyl]acetic acid Et ester. Example compds. bind to peroxisome proliferator activated receptor- $\alpha$  (PPAR $\alpha$ ), PPAR $\gamma$  and PPAR $\delta$  in the range of 1 - 1000 nM. I are useful in treating or preventing syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.  
 IT 850793-19-0P, 3-[2-Methyl-4-[3-methyl-5-[2-[(pyridin-3-yl)oxy]-4-(trifluoromethyl)phenoxy]phenoxy]phenyl]propionic acid  
 850793-78-1P, 3-[2-Methyl-4-[3-methyl-5-[3-phenoxy-5-trifluoromethylpyridin-2-yl]oxy]phenoxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenoxyether derivs. as PPAR modulators)  
 RN 850793-19-0 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[2-(3-pyridinyloxy)-4-(trifluoromethyl)phenoxy]phenoxy]- (CA INDEX NAME)



RN 850793-78-1 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[3-phenoxy-5-(trifluoromethyl)-2-pyridinyloxy]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

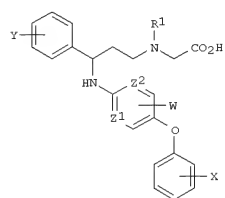
02/29/2008

10-566,291.trn

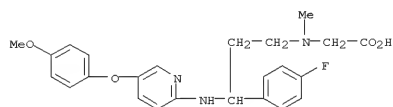
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:323829 CAPLUS  
 DOCUMENT NUMBER: 142:392290  
 TITLE: Preparation of pyridylamino compounds as glycine transport inhibitors  
 INVENTOR(S): Lowe, John Adams  
 PATENT ASSIGNEE(S): Pfizer Inc, USA  
 SOURCE: U.S. Pat. Appl. Publ., 10 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080100	A1	20050414	US 2004-926493	20040826
WO 2005035494	A1	20050421	WO 2004-1B3129	20040927

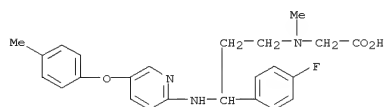
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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.: US 2003-510016P P 20031009  
 OTHER SOURCE(S): CASREACT 142:392290; MARPAT 142:392290  
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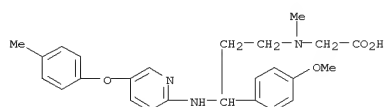
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



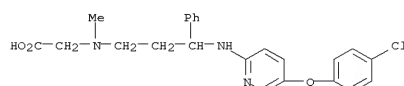
RN 850015-64-4 CAPLUS  
 CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-65-5 CAPLUS  
 CN Glycine, N-[3-(4-methoxyphenyl)-3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-66-6 CAPLUS  
 CN Glycine, N-[3-[[5-(4-chlorophenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-67-7 CAPLUS  
 CN Glycine, N-[3-[[5-(4-chlorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

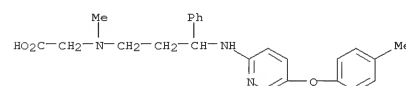
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB This invention relates to a series of pyridylamino compds. I [Z1, Z2 = C, N, provided that Z2 is not C when Z1 = C; W, X and Y = H, alkyl, alkoxy, etc.; R1 = H, alkyl], that exhibit activity as glycine transport inhibitors, their pharmaceutical compds. containing them, and their use

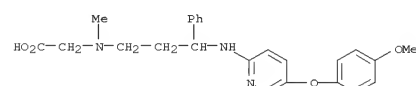
for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. The general procedure which describes the synthesis of compds.

I, is given. Seventeen compds. I such as Methyl-[3-phenyl-3-(5-p-tolyloxy)pyridin-2-ylamino]propyl]amino]acetic acid, were prepared. The compds. I have been found to have significant activity in inhibiting glycine reuptake in synaptosomes, having IC50 values of no greater than

50 nM.  
 IT 850015-61-1P 850015-62-2P 850015-63-3P  
 850015-64-4P 850015-65-5P 850015-66-6P  
 850015-67-7P 850015-68-8P 850015-69-9P  
 850015-70-2P 850015-71-3P 850015-72-4P  
 850015-73-5P 850015-74-6P 850015-75-7P  
 850015-76-8P 850015-78-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyridylamino compds. as glycine transport inhibitors)  
 RN 850015-61-1 CAPLUS  
 CN Glycine, N-methyl-N-[3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]- (CA INDEX NAME)

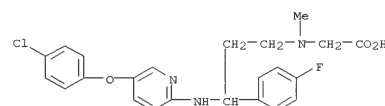


RN 850015-62-2 CAPLUS  
 CN Glycine, N-[3-[[5-(4-methoxyphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

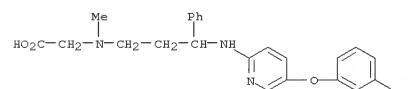


RN 850015-63-3 CAPLUS

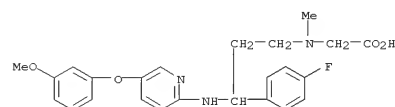
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



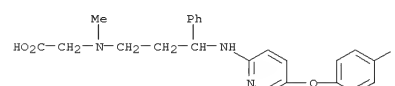
RN 850015-68-8 CAPLUS  
 CN Glycine, N-[3-[[5-(3-methoxyphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-69-9 CAPLUS  
 CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(3-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-70-2 CAPLUS  
 CN Glycine, N-[3-[[5-(4-fluorophenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

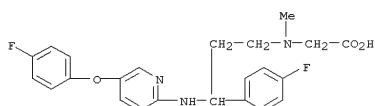


RN 850015-71-3 CAPLUS  
 CN Glycine, N-[3-[[5-(4-fluorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

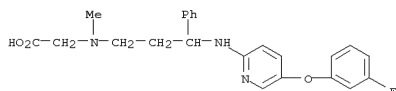
02/29/2008

10-566,291.trn

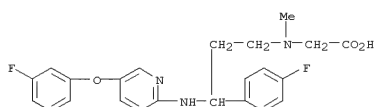
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



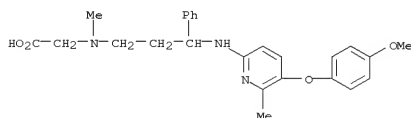
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CN Glycine, N-[3-([5-(3-fluorophenoxy)-2-pyridinyl]amino)-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-73-5 CAPLUS  
CN Glycine, N-[3-([5-(4-fluorophenoxy)-2-pyridinyl]amino)-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)



RN 850015-74-6 CAPLUS  
CN Glycine, N-[3-([5-(4-methoxyphenoxy)-6-methyl-2-pyridinyl]amino)-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

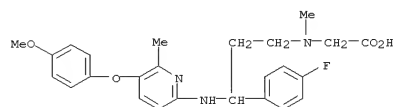


RN 850015-75-7 CAPLUS  
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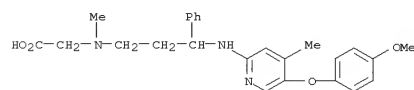
L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:219782 CAPLUS  
DOCUMENT NUMBER: 142:298005  
TITLE: Preparation of substituted N-(arylmethyl)aryloxy  
arylcarboxamide and heteroarylcarboxamide antagonists  
for the PGE2 receptor EP4  
Yamagishi, Tatsuya; Okumura, Yoshiyuki; Nukui, Seiji;  
Nakao, Kazunari  
INVENTOR(S):  
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Japan, Inc.  
SOURCE: PCT Int. Appl., 209 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
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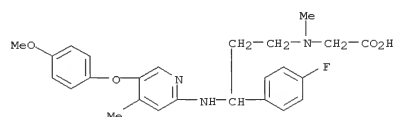
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FW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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HR	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,				
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<--	US 2005065188	A1	20050324	US 2004-932463	20040902
<--	US 7238714	B2	20070703		
<--	NL 1026958	A1	20050307	NL 2004-1026958	20040903
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<--	IN 2006DN00817	A	20070810	IN 2006-DN817	20060217
<--	KR 2007026302	A	20070308	KR 2006-704328	20060302
<--	KR 747401	B1	20070808		
<--	MX 2006PA02551	A	20060620	MX 2006-PA2551	20060303

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)

RN 850015-76-8 CAPLUS  
CN Glycine, N-[3-([5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl]amino)-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-78-0 CAPLUS  
CN Glycine, N-[3-(4-fluorophenyl)-3-([5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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					WO	2004-1B2735	W 20040823
OTHER SOURCE(S):					CASREACT	142:298005; MARPAT	142:298005
GI							

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Compds. I [B = aryl, heteroaryl; R1, R2 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NC, H2NCO; R3, R4 = H, alkyl; R3R4C = 3-7 membered carbocycle; R5 = HO2C, 1H-5-tetrazolyl, R6SO2NHCO; R5 may also be an alkoxy carbonyl derivative containing a prodrug group; R6 = alkyl, cycloalkyl, aryl, heteroaryl; X = CH2, O, S; Y, Z, A, E = CH, N (only one of A, E, Y, or Z may be N)], particularly substituted N-(arylmethyl) aryloxy- or heteroaryloxyarylcarboxamides or heteroarylcarboxamides such as II, are prepared as agents for the treatment of prostaglandin-mediated conditions such as pain, inflammation, osteoarthritis, or rheumatoid arthritis; the compds. of the invention act as PGE2 receptor EP4 antagonists. Radical bromination of Me 2-methyl-5-chlorobenzoate, palladium-catalyzed coupling of the bromomethylbenzoate with 3-chlorophenylboronic acid, and ester hydrolysis yields 2-(4-chlorophenylmethyl)-5-chlorobenzoic acid. (S)- $\alpha$ -methyl-4-bromobenzylamine is protected with Boc anhydride, carbonylated with methanol and carbon monoxide in the presence of palladium (II) acetate and 1,3-bis(diphenylphosphino)propane, deprotected with trifluoroacetic acid, and reacted with hydrogen chloride to yield the nonracemic (aminoethyl)benzoate hydrochloride III•HCl. Coupling of 2-(4-chlorophenylmethyl)-5-chlorobenzoic acid with III•HCl followed by ester hydrolysis yields II. Data on the inhibition of the human PGE2 receptor EP4 by selected compds. of the invention is provided. E.g., II binds to the human PGE2 receptor EP4 with a Ki value of 0.7 nM; in a functional assay with the human PGE2 receptor EP4, II has an IC50 value of 3.6 nM.

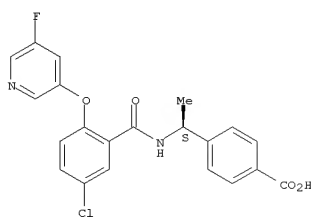
IT 847728-32-9P 847728-33-OP  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)  
RN 847728-32-9 CAPLUS  
CN Benzoic acid, 4-[(1S)-1-[(5-chloro-2-[(5-fluoro-3-pyridinyl)oxy]benzoyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

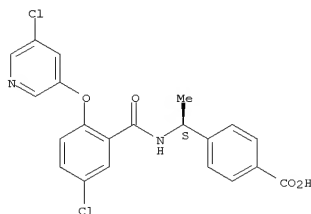
10-566,291.trn

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847728-33-0 CAPLUS  
 CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-chloro-3-pyridinyl)oxy]benzoyl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 847729-91-3P 847729-93-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)  
 RN 847729-91-3 CAPLUS  
 CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-fluoro-3-pyridinyl)oxy]benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:182607 CAPLUS  
 DOCUMENT NUMBER: 142:279949  
 TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists  
 INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schultenburg  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 603 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817

<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2536089	A1	20050303	CA 2004-2536089	20040817

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1660428	A1	20060531	EP 2004-779442	20040817

<-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 JP 2007502815 T 20070215 JP 2006-523861 20040817

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006257987	A1	20061116	US 2006-566291	20060125

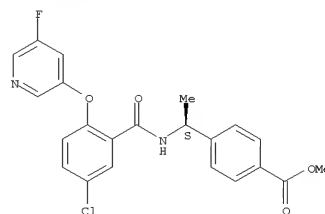
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
OTHER SOURCE(S):			MARPAT 142:279949	

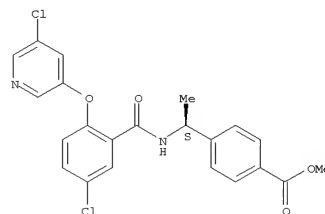
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



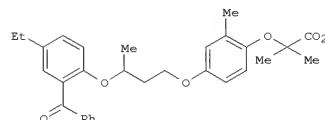
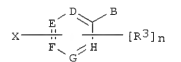
RN 847729-93-5 CAPLUS  
 CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-chloro-3-pyridinyl)oxy]benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z;  
 A1

= a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclic ring when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.;

Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl;

R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy;

R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid

II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid 847345-75-9P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [[4-[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-81-7P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxy)pyridin-2-yloxy]butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 methylphenyl]propionic acid 847345-88-4P, [[4-[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-90-8P, 3-[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P, [[4-[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-95-3P, 3-[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847345-98-6P, [[2-Methyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid 847346-00-3P, 3-[2-Ethyl-4-[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847346-05-8P, 3-[4-[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid 847346-09-2P 847346-10-5P 847352-00-5P, (R)-3-[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-01-6P, (R)-[[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-02-7P, (R)-3-[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-03-8P, (R)-[[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-04-9P, (R)-3-[4-[3-(5-Chloro-3-phenoxy-pyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-05-0P, (R)-3-[4-[3-(5-Chloro-3-phenoxy-pyridin-2-yloxy)butoxy]-2-ethylphenyl]propionic acid 847352-06-1P, (R)-[[4-[3-(5-Chloro-3-phenoxy-pyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-07-2P, (R)-3-[2-Methyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-08-3P, (R)-3-[2-Ethyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-09-4P, 3-[4-[3-(5-Chloro-3-phenoxy-pyridin-2-yloxy)propoxy]-2-methylphenyl]propionic acid trifluoroacetate 847352-10-7P, 3-[4-[2-(5-Chloro-3-phenoxy-pyridin-2-ylamino)ethoxy]-2-methylphenyl]propionic acid 847352-19-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as

PPAR

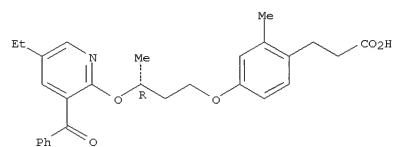
agonists)

RN 847345-17-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

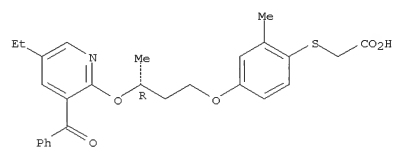
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-23-7 CAPLUS

CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

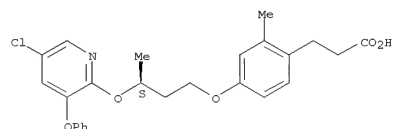
Absolute stereochemistry.



RN 847345-75-9 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

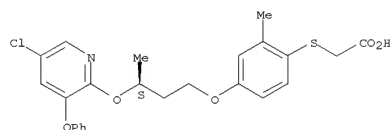


RN 847345-79-3 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

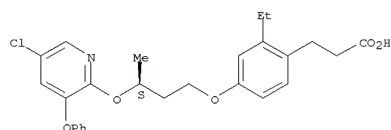
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-81-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

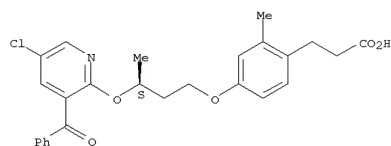
Absolute stereochemistry.



RN 847345-84-0 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

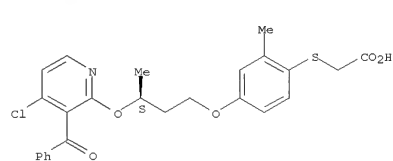


RN 847345-88-4 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

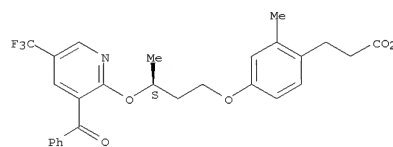
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-90-8 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

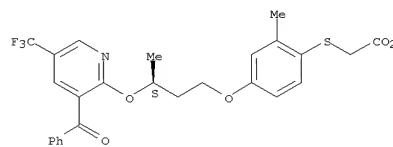
Absolute stereochemistry.



RN 847345-93-1 CAPLUS

CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-95-3 CAPLUS

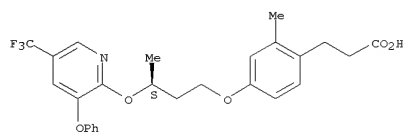
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

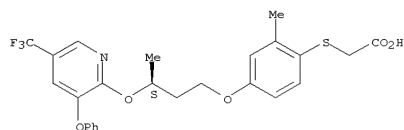
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L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



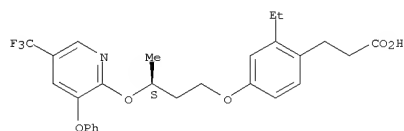
RN 847345-98-6 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



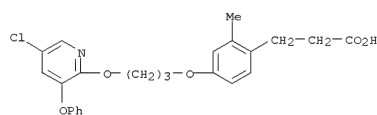
RN 847346-00-3 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



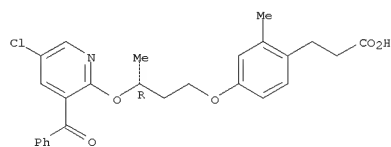
RN 847346-05-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



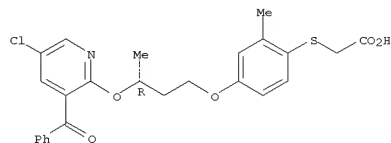
RN 847352-00-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-01-6 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

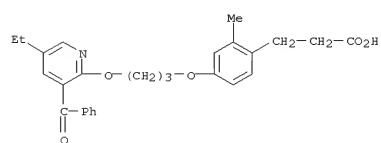
Absolute stereochemistry.



RN 847352-02-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

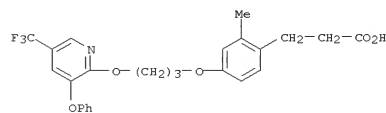
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847346-09-2 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1  
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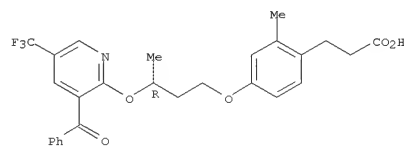
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



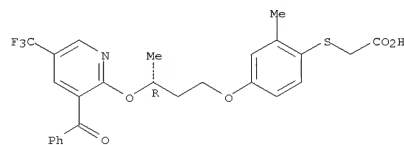
RN 847346-10-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



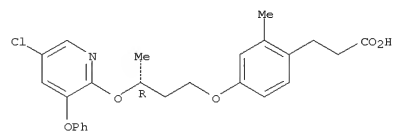
RN 847352-03-8 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-04-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



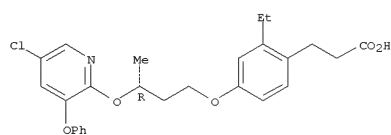
RN 847352-05-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

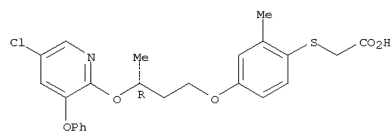
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L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



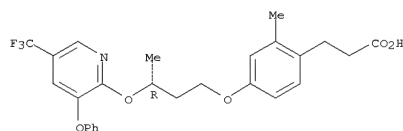
RN 847352-06-1 CAPLUS  
 CN Acetic acid, [[4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-07-2 CAPLUS  
 CN Benzenepropanoic acid,  
 2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

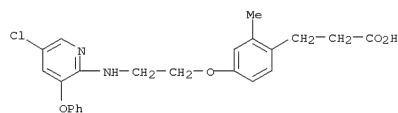
Absolute stereochemistry.



RN 847352-08-3 CAPLUS  
 CN Benzenepropanoic acid,  
 2-ethyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

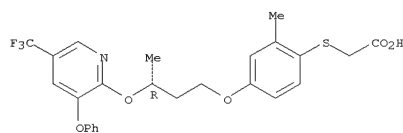
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



RN 847352-19-6 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

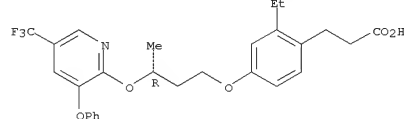


IT 847345-22-6P, 3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid methyl ester 847345-24-8P, [[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfonyl]acetic acid ethyl ester 847345-78-2P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]-2-methylphenyl]propionic acid methyl ester 847345-80-6P, [[4-[[(S)-3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]-2-methylphenyl]sulfonyl]acetic acid ethyl ester 847345-82-8P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxy-2-pyridinyl)oxy]-2-methylphenyl]propionic acid ethyl ester 847345-87-3P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-89-5P, [[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfonyl]acetic acid ethyl ester 847345-92-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-94-2P, [[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfonyl]acetic acid ethyl ester 847345-97-5P, 3-[2-Methyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid methyl ester 847345-99-7P, [[2-Methyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfonyl]acetic acid ethyl ester 847346-01-4P, 3-[2-Ethyl-4-[[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester 847346-06-9P, 3-[4-[[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of alkoxyphenylalkanoic acids and analogs

as PPAR

Page 100

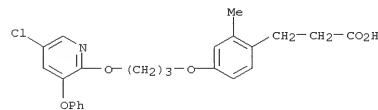
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



RN 847352-09-4 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-10-5  
 CMF C24 H24 Cl N O5



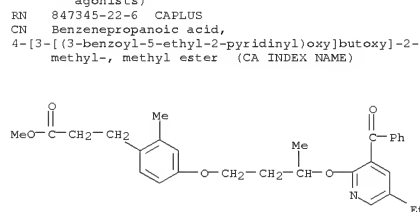
CM 2

CRN 76-05-1  
 CMF C2 H F3 O2

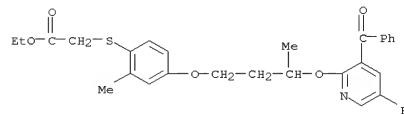


RN 847352-10-7 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[2-[(5-chloro-3-phenoxy-2-pyridinyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

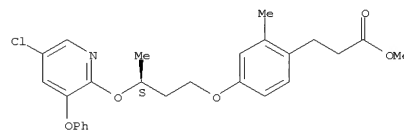


RN 847345-24-8 CAPLUS  
 CN Acetic acid, [[4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 847345-78-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



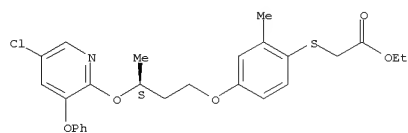
RN 847345-80-6 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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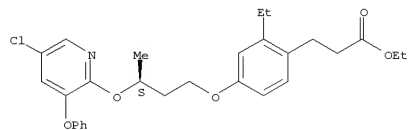
10-566,291.trn

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



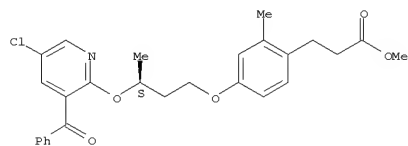
RN 847345-82-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-87-3 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

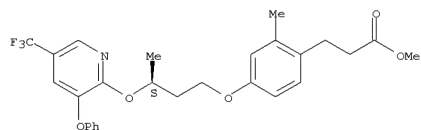


RN 847345-89-5 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

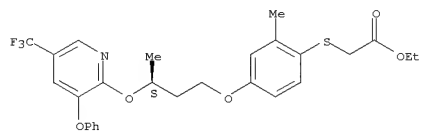
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



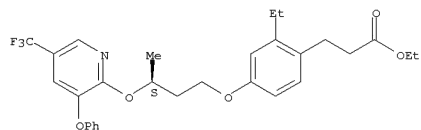
RN 847345-99-7 CAPLUS  
 CN Acetic acid, [[2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



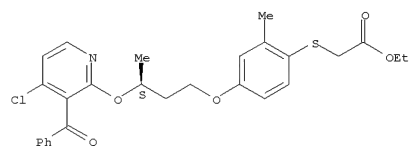
RN 847346-01-4 CAPLUS  
 CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



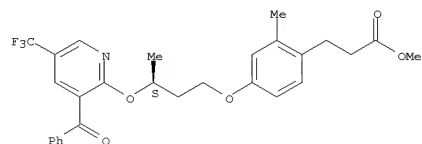
RN 847346-06-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



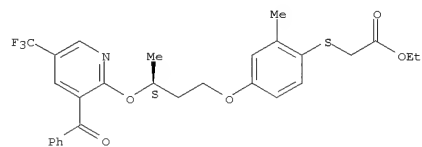
RN 847345-92-0 CAPLUS  
 CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



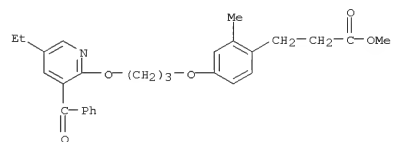
RN 847345-94-2 CAPLUS  
 CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-97-5 CAPLUS  
 CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(3-phenoxy-5-(trifluoromethyl)-2-pyridinyl)oxy]butoxy]-, methyl ester (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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10-566,291.trn

L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:931675 CAPLUS  
DOCUMENT NUMBER: 141:396841  
TITLE: Pyrrolofuranone-based Leuco pigments with good coloring sensitivity and concentration  
INVENTOR(S): Taniguchi, Masatoshi  
PATENT ASSIGNEE(S): Yamada Chemical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307792	A	20041104	JP 2003-136577	20030407

<-- PRIORITY APPLN. INFO.: JP 2003-136577 20030407  
<-- OTHER SOURCE(S): MARPAT 141:396841  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to pyrrolo furanones I, wherein R = H or alkyl; Y = alkyl, fluoro-substituted alkyl, or aryl (if Y is an aryl group, it may be substituted with alkyl, alkoxy, cyano, dialkylamino, or halogen atom); Z = chlorine atom, alkylthio, or (alkyl, fluoro-substituted alkyl, alkoxy, fluoro-substituted alkoxy, cyano, nitro, aryl, or halogen atom substituted) arylthio, pyridylthio, imidazolylthio, triazolylthio, thiazolylthio, benzimidazolylthio, or benzothiazolylthio; Q1 = substituent I; Q2 = substituent II, III, IV, V, or VI; R1, R2 = alkyl or (alkyl or alkoxy substituted) aryl (R1, R2 may be connected each other with nitrogen atom to form a pyridine or piperidine ring); R3, R4, R5, R6 = H, halogen atom, alkyl, or alkoxy; R7 = alkyl; R8, R9 = alkyl or aryl; Q3 = H or (alkyl, alkoxy, dialkylamino-substituted) phenyl; and R10, R11 = alkyl. Thus, 1.0 g 3-[bis[4-(diethylamino)phenyl]methylene]-2-chloro-5-phenyl-3H-pyrrole-4-carboxylate was heated with 18 g tert-butanol in the presence of 0.80 g sodium tert-butoxide to give 0.48 g pyrrolo furanone type compound with  $\lambda_{max}$  608 nm and extinction coefficient 114,000 in methanol.

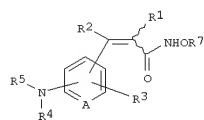
IT 786698-37-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolo furanone-based Leuco pigments)

RN 786698-37-1 CAPLUS  
CN 3H-Pyrrole-4-carboxylic acid, 3-[[4-(dimethylamino)phenyl][6-(dimethylamino)-3-pyridinyl]methylene]-2-[[4-(1,1-dimethylethyl)phenyl]thio]-5-phenyl-, ethyl ester (CA INDEX NAME)

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:823190 CAPLUS  
DOCUMENT NUMBER: 141:332056  
TITLE: Preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivatives as new matrix metalloprotease (MMP-3) inhibitors  
INVENTOR(S): Hirata, Akikage; Nishimura, Hiroshi; Katayama, Kimiko;  
PATENT ASSIGNEE(S): Tamura, Koichi; Amano, Hirotaka; Sugimoto, Kaori  
SOURCE: Wakunaga Pharmaceutical Co., Ltd., Japan  
Jpn. Kokai Tokkyo Koho, 60 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

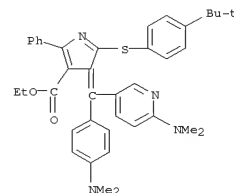
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004277311	A	20041007	JP 2003-69128	20030314

<-- PRIORITY APPLN. INFO.: JP 2003-69128 20030314  
<-- OTHER SOURCE(S): MARPAT 141:332056  
GI



AB Disclosed are matrix metalloprotease (MMP-3) inhibitors containing 3-phenyl- and 3-pyridylacrylohydroxamic acid derivs. (I) or salts thereof [wherein R1 = H, alkyl, halo; R2 = aryl, cycloalkylaryl, (un)substituted heteroaryl; R3 = H, halo; R4 = H, each (un)substituted alkyl or alkenyl; R5 = R6CO, R6SO2, R6NHCO, R6NHC(S); wherein R6 = cycloalkyl, cyclic amino, each (un)substituted alkyl, aryl, or heteroaryl; R7 = H, protecting group; A = CH, N, N(:O)] as active ingredients. These compds. are useful for the prevention and/or treatment of chronic rheumatoid arthritis, osteoarthritis (arthrosis deformans), jaw arthritis, slipped disk, venous ulcer, diabetic ulcer, bedsore, ulcerative colitis, Crohn's disease, duodenal ulcer, dystrophic blister, herpes dermatitis, yellow ligament calcareous deposition, cancer, heart attack, and stroke. Thus, 270 mg (E/Z)-3-[3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxido-3-pyridin-3-yl)propenoic acid Et ester (preparation given) was dissolved in 3 mL dioxane, treated with 2 mL 5% aqueous NaOH solution, stirred at room temperature for

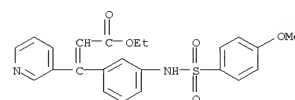
L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
1 h 40 min, distd. under reduced pressure to remove dioxane, dild. with H2O, adjusted to pH 5-6 with 55 aq. HCl soln., and extd. with EtOAc to give, after workup, an oil (0.19 g). The oil was dissolved in 1.5 mL DMF, successively treated with 1-hydroxybenzotriazole 76, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 114, N-methylmorpholine 50, and O-(tert-butyl)dimethylsilylhydroxylamine 123 mg and stirred for 22 h, treated with 5 mL, and extd. with CHCl3/THF (4:1) to give, after workup and silica gel chromatog., (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxido-3-pyridin-3-yl)propenohydroxamic acid. (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(2-pyridyl)propenohydroxamic acid showed IC50 of  $\mu\text{g/mL}$  against 0.030  $\mu\text{M}$  against MMP-3.

IT 402949-56-8P 402949-57-9P 402949-58-0P  
402949-59-1P 768403-15-2P 768403-26-5P  
768403-44-7P 768403-50-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivs. as new matrix metalloprotease (MMP-3) inhibitors as preventives or remedies for diseases)

RN 402949-56-8 CAPLUS  
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



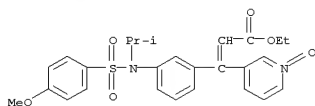
RN 402949-57-9 CAPLUS  
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

RN 402949-58-0 CAPLUS  
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

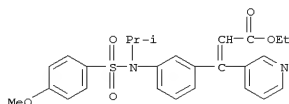
02/29/2008

10-566,291.trn

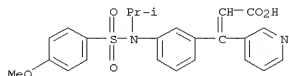
L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 402949-59-1 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl]sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



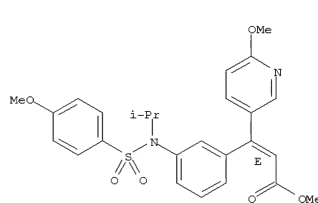
RN 768403-15-2 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl]sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



RN 768403-26-5 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl]sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, methyl ester, (2E)- (CA INDEX NAME)

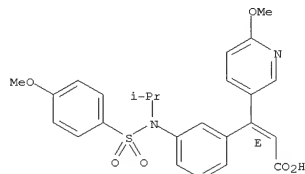
Double bond geometry as shown.

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

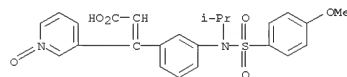


RN 768403-44-7 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl]sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 768403-50-5 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl]sulfonyl](1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)- (CA INDEX NAME)



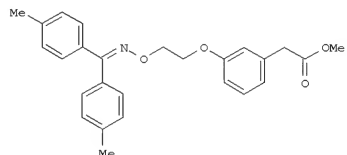
L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:780653 CAPLUS  
 DOCUMENT NUMBER: 141:277245  
 TITLE: Preparation of imino ether derivatives as PPAR $\delta$  agonists  
 INVENTOR(S): Ishida, Akiharu; Kusuda, Shinya; Nakayama, Yoshisuke; Tajima, Hisao; Kido, Tomoyuki; Kitamine, Tetsuya  
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080947	A1	20040923	WO 2004-JP3323	20040312
<p>--</p> <p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NG, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p> <p>AU 2004220221 A1 20040923 AU 2004-220221 20040312</p> <p>CA 2518986 A1 20040923 CA 2004-2518986 20040312</p> <p>EP 1602642 A1 20051207 EP 2004-720259 20040312</p> <p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK</p> <p>BR 2004008325 A 20060321 BR 2004-8325 20040312</p> <p>CN 1787989 A 20060614 CN 2004-80012942 20040312</p> <p>NO 2005004214 A 20051213 NO 2005-4214 20050912</p> <p>US 2007167490 A1 20070719 US 2005-548650 20050913</p> <p>PRIORITY APPLN. INFO.: JP 2003-68932 A 20030313</p> <p>WO 2004-JP3323 W 20040312</p>				

OTHER SOURCE(S): MARPAT 141:277245  
 GI

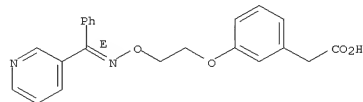
L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The title compds. with general formula of R1R2C=N-O-W-X-Ar-Y-Z [wherein  
 R1 and R2 = independently H, (un)substituted hydrocarbonyl, or cyclyl; or R1 and R2 together form a ring with the adjacent carbon atom; W = a spacer;  
 X = a single bond, O, S, SO, SO2, or (un)substituted NH; ring Ar = (un)substituted cyclyl; Y = a single bond or a spacer; Z = an acid], or salts, solvates, N-oxides, or prodrugs thereof are prepared as peroxisome proliferator-activated receptors (PPAR)  $\delta$  agonists. For example, the compound I was prepared in a four-step synthesis starting from bis(4-methylphenyl)methanone and 3-hydroxybenzeneacetic acid. The title compds. showed excellent agonistic activity towards human PPAR $\delta$ , and lowered triglyceride and LDL in rat. The title compds. are useful for the treatment of diseases caused by PPAR $\delta$ , such as hyperlipemia and obesity. Formulations containing the title compds. as an active ingredient were also described.

IT 760984-43-8P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of imino ether derivs. as PPAR $\delta$  agonists)  
 RN 760984-43-8 CAPLUS  
 CN Benzeneacetic acid,  
 3-[2-[[[(E)-(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]]- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

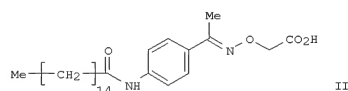
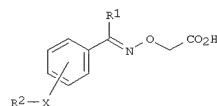
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L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

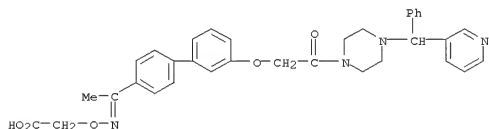
L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:758809 CAPLUS  
DOCUMENT NUMBER: 141:260773  
TITLE: Preparation of [N-(phenylethylidene)aminoxy]acetic acid derivatives as protein tyrosine phosphatase inhibitors  
INVENTOR(S): Amanomiya, Yoshiya; Motoizuji, Masatoshi; Taniuchi, Makoto; Hasegawa, Toru  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 113 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004256443	A	20040916	JP 2003-49085	20030226
PRIORITY APPLN. INFO.:			JP 2003-49085	20030226
OTHER SOURCE(S):			MARPAT 141:260773	
GI				

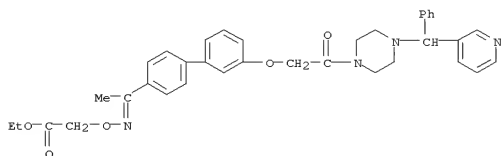


AB The title compds. having piperazine subunit with general formula of I [wherein X = a single bond, O, or CONH; R1 = (un)substituted alkyl or aryl; R2 = alkyl or aryl] or esters or pharmaceutically acceptable salts thereof are prepared as protein tyrosine phosphatase (PTP) inhibitors.  
For example, the compound II was prepared in a multi-step synthesis in good yield.  
II inhibited 98% human PTP-1B enzyme at 100  $\mu$ M. Formulations containing I as an active ingredient were also described.  
IT 756483-81-5P

L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; prepn. of [N-(phenylethylidene)aminoxy]acetic acid derivs. as protein tyrosine phosphatase inhibitors)  
RN 756483-81-5 CAPLUS  
CN Acetic acid, [[1-[3'-[2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy][1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]- (9CI) (CA INDEX NAME)



IT 756484-80-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of [N-(phenylethylidene)aminoxy]acetic acid derivs. as protein tyrosine phosphatase inhibitors)  
RN 756484-80-7 CAPLUS  
CN Acetic acid, [[1-[3'-[2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy][1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:467860 CAPLUS  
DOCUMENT NUMBER: 141:38526  
TITLE: Preparation of arylalcanoic acid derivatives as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity  
INVENTOR(S): Li, Zhibin; Lu, Xian-Ping; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tuo  
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Ltd., Peop. Rep. China  
SOURCE: PCT Int. Appl., 70 pp.  
CODEN: FIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

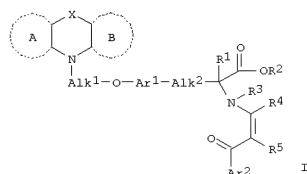
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048333	A1	20040610	WO 2003-1B5371	20031121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DN, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004142921	A1	20040722	US 2003-715622	20031118
US 7268157	B2	20070911		
CA 2504718	A1	20040610	CA 2003-2504718	20031121
AU 2003280154	A1	20040618	AU 2003-280154	20031121
EP 1569904	A1	20050907	EP 2003-772525	20031121
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JP 2006519171	T	20060824	JP 2005-510266	20031121
IN 2005KN00792	A	20060707	IN 2005-KN792	20050503
US 2008051321	A1	20080228	US 2007-882417	20070801
PRIORITY APPLN. INFO.:			US 2002-429221P	P 20021126
			US 2003-469368P	P 20030509
			US 2003-715622	A 20031118
			WO 2003-1B5371	W 20031121
OTHER SOURCE(S):			MARPAT 141:38526	

02/29/2008

10-566,291.trn

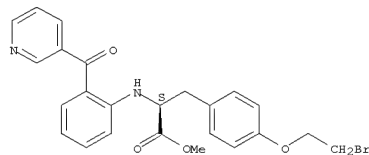
L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
GI

AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH<sub>2</sub>CH<sub>2</sub>, CH=CH, O, S, (un)substituted amino; R<sub>1</sub> = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R<sub>2</sub> = H, (heteroaryl)alkyl, alkenynyl, (hetero)aryl, etc.; R<sub>3</sub> = H, alkyl, aralkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = independently H, alkyl, alkenyl, alkenynyl, heteroaryl, etc.; Alk<sub>1</sub> = C1-6 alkylene; Alk<sub>2</sub> = C1-2 alkylene; Ar<sub>1</sub> = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar<sub>2</sub> = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator-activated receptors (PPAR) pan agonist that activates RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers. For example, condensation of 1-benzoylacetone with L-tyrosine Me ester (98%), followed by O-alkylation with 1,2-dibromoethane (37%) and N-alkylation with carbazole (20%), gave 2-[(1-methyl-3-oxo-3-phenylpropenyl)amino]-3-[4-[2-(carbazol-9-yl)ethoxy]phenyl]propionic acid (CS 023). I showed comparative activation of RXR/PPAR  $\alpha$ ,  $\delta$ , and  $\gamma$ , and illustrated in vivo glucose-lowering effect, etc. Thus, I and their pharmaceutical compds. are useful for as selective agonists activating PPAR, in particularly the RXR/PPAR- $\alpha$ , RXR/PPAR- $\gamma$ , and RXR/PPAR- $\delta$  heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved side effects profile commonly associated with conventional PPAR- $\gamma$  agonists.

IT 866218-00-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)

L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ester (CA INDEX NAME)

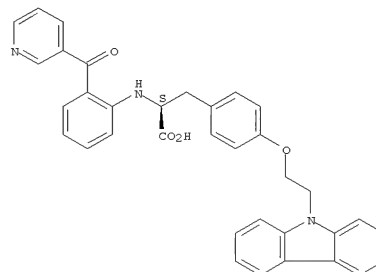
Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

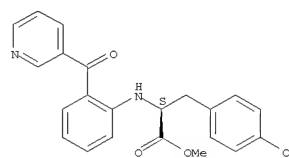
L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RN 866218-00-0 CAPLUS  
CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)  
RN 702639-94-9 CAPLUS  
CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 702639-97-2 CAPLUS  
CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:453170 CAPLUS  
DOCUMENT NUMBER: 141:38531  
TITLE: Preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists.  
INVENTOR(S): Ugashie, Solomon; Zheng, Wei; Wright, J. J.; Pennell, Andrew  
PATENT ASSIGNEE(S): Chemocentryx, USA  
SOURCE: PCT Int. Appl., 164 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046092	A2	20040603	WO 2003-US36766	20031117
WO 2004046092	A3	20040715		
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CA 2500492	A1	20040603	CA 2003-2500492	20031117
AU 2003298661	A1	20040615	AU 2003-298661	20031117
AU 2003298661	B2	20070510		
EP 1562940	A2	20050817	EP 2003-796416	20031117
EP 1562940	B1	20070530		
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CN 1711125	A	20051221	CN 2003-80103335	20031117
JP 2006056438	T	20060223	JP 2004-553842	20031117
AT 363470	T	20070615	AT 2003-796416	20031117
EP 1798223	A2	20070620	EP 2007-4318	20031117
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CN 101077867	A	20071128	CN 2007-10127011	20031117
ES 2286502	T3	20071201	ES 2003-796416	20031117
CA 2505590	A1	20041007	CA 2003-2505590	20031118
WO 2004085384	A2	20041007	WO 2003-US37035	20031118

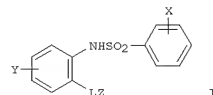
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 AU 2003303942 A1 20041018 AU 2003-303942 20031118  
 AU 2003303942 B2 20080103  
 EP 1567486 A2 20050831 EP 2003-816012 20031118  
 EP 1567486 B1 20080116  
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 CN 1738796 A 20060222 CN 2003-80108668 20031118  
 JP 2006510724 T 20060330 JP 2004-569975 20031118  
 IN 2005CN00545 A 20070907 IN 2005-CN545 20050404  
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 IN 2005CN00962 A 20070810 IN 2005-CN962 20050518  
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 JP 2007077166 A 20070329 JP 2006-311085 20061117  
 IN 2007CN01155 A 20070831 IN 2007-CN1155 20070320  
 AU 2007205711 A1 20070830 AU 2007-205711 20070809  
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 AU 2003-298661 A3 20031117  
 CN 2003-80103335 A3 20031117  
 EP 2003-796416 A3 20031117  
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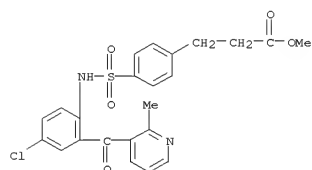
L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 WO 2003-US36766 W 20031117  
 WO 2003-US37035 W 20031118  
 IN 2005-CN545 A3 20050404

OTHER SOURCE(S): MARPAT 141:38531  
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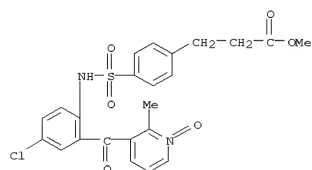


AB Title compds. [I; X = 1-4 of halo, cyano, NO2, OH, OR1, COR1, CO2R1, SR1, NR1R2, NR1COR2, etc.; R1, R2 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; Y = 1-3 of halo, cyano, NO2, OH, OR4, COR4, CO2R4, SR4, SOR4, SO2R4, (substituted) alkyl; R4 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl; L = CO, S, SO, SO2; Z = (substituted) mono- or bicyclic heteroaryl, heterocycl; with provisoo], were prepared Thus, reaction of (2-amino-5-chlorophenyl) pyridin-4-yl methanone (preparation given) with 4-tert-butylbenzenesulfonyl chloride gave 4-tert-butyl-N-[4-chloro-2-(pyridine-4-carbonyl)phenyl]benzenesulfonamide. The latter at 50 mg/kg s.c. twice a day in MDR1a knockout mice prevented IBD-associated growth retardation.  
 IT 698395-36-7P 698395-41-4P 698395-48-1P  
 698395-53-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists)  
 RN 698395-36-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

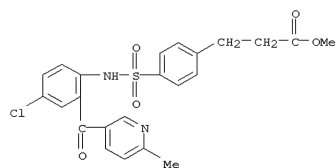
L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-41-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

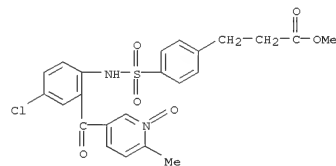


RN 698395-48-1 CAPLUS  
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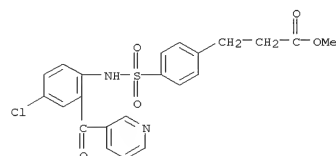


RN 698395-53-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 698395-85-6  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists)  
 RN 698395-85-6 CAPLUS  
 CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



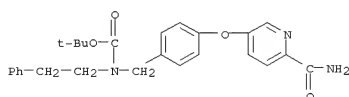
02/29/2008

10-566,291.trn

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:267241 CAPLUS  
 DOCUMENT NUMBER: 140:303538  
 TITLE: Preparation of [(aminoalkyl)aryl]oxy]nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions  
 INVENTOR(S): Blanco-Pillado, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad  
 PATENT ASSIGNEE(S): Nolan  
 SOURCE: Eli Lilly and Company, USA  
 PCT Int. Appl., 559 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

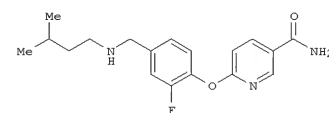
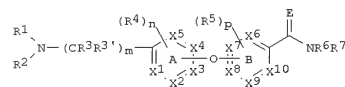
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, GM, ML, MR, NE, SN, TD, TG			
CA 2499690	A1	20040401	CA 2003-2499690	20030917
AU 2003269980	A1	20040408	AU 2003-269980	20030917
BR 2003014308	A	20050705	BR 2003-14308	20030917
EP 1562595	A1	20050817	EP 2003-751877	20030917
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1681498	A	20051012	CN 2003-822241	20030917
JP 2006511474	T	20060406	JP 2004-537682	20030917
US 2006217372	A1	20060928	US 2005-526960	20050303
MX 2005PA03093	A	20050713	MX 2005-PA3093	20050318

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 a concn. of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed  
 opioid receptors at a dose of 0.3 µg/kg. In addn., diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of -81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.  
 IT 676496-29-0P, [4-[(6-Carbamoylpyridin-3-yl)oxy]benzyl](phenethyl)carbamate acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (Intermediate; preparation of (aryloxy)nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions)  
 RN 676496-29-0 CAPLUS  
 CN Carbamic acid, [[4-[[[6-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]methyl](2-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IN 2005KN00457 A 20060303 IN 2005-KN457 20050318  
 <-- NO 2005001871 A 20050418 NO 2005-1871 20050418  
 <-- PRIORITY APPLN. INFO.: US 2002-412158P P 20020919  
 <-- WO 2003-US26300 W 20030917  
 OTHER SOURCE(S): MARPAT 140:303538  
 GI



AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N; provided that each of rings A or B has no more than 2 N atoms; E = O or NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl, (cyclo)alkanoylalkyl, aryloxyalkyl, aryloxyalkyl, benzhydryl, bicycyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxyalkyl, alkoxyalkyl, (aryl)alkylsulfonfyl, heterocyclylalkylsulfonfyl, cycloalkylalkyl, carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl, alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 = independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl, thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxyalkyl, aminoalkyl, cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonfyl, heterocyclylalkylsulfonfyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared  
 as µ-, κ-, and δ-opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [3H]-diprenorphine in rat striatum/nucleus accumbens by >65%  
 at

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:100955 CAPLUS  
 DOCUMENT NUMBER: 140:157441  
 TITLE: Cyclooxygenase-2 selective inhibitors, compositions and methods of use  
 INVENTOR(S): Garvey, David S.; Khanpure, Subhash P.; Ranatunge, Ramani R.; Richardson, Stewart K.; Schroeder, Joseph D.  
 PATENT ASSIGNEE(S): Nitromed, Inc., USA  
 SOURCE: PCT Int. Appl., 140 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010945	A2	20040205	WO 2003-US23605	20030729
WO 2004010945	A3	20040422		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2493156	A1	20040205	CA 2003-2493156	20030729
AU 2003261281	A1	20040216	AU 2003-261281	20030729
US 2004072883	A1	20040415	US 2003-628375	20030729
US 7244753	B2	20070717		
EP 1542972	A2	20050622	EP 2003-772004	20030729
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005538110	T	20051215	JP 2004-524981	20030729
US 2007238735	A1	20071011	US 2007-802161	20070521
PRIORITY APPLN. INFO.:			US 2002-398829P	P 20020729
			US 2003-628375	A3 20030729
			WO 2003-US23605	W 20030729

OTHER SOURCE(S): MARPAT 140:157441  
 AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors and novel compns. comprising at least one cyclooxygenase 2 (COX-2) selective inhibitor, and, optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide

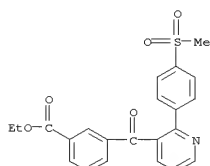
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10-566,291.trn

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 synthase, and/or at least one therapeutic agent. The invention also provides novel kits comprising at least one COX-2 selective inhibitor, optionally nitrosated and/or nitrosylated, and, optionally, at least one nitric oxide donor, and/or, optionally, at least one therapeutic agent. The novel cyclooxygenase 2 selective inhibitors of the invention can be optionally nitrosated and/or nitrosylated. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors.

IT 654058-76-1  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654058-76-1 CAPLUS  
 CN Benzoic acid, 3-[[2-[4-(methylsulfonyl)phenyl]-3-pyridinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



IT 654059-10-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (antiinflammatory cyclooxygenase-2 selective inhibitors)

RN 654059-10-6 CAPLUS  
 CN Benzoic acid, 3-[[2-[4-(methylthio)phenyl]-3-pyridinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:950984 CAPLUS  
 DOCUMENT NUMBER: 140:5067  
 TITLE: Preparation of N-heteroaryl- and N-arylbzenesulfonamide and -heterocyclesulfonamides

as chemokine CCR9 inhibitors as antiinflammatory agents

INVENTOR(S): Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 Patent  
 English

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099773	A1	20031204	WO 2003-US16090	20030521

<-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2485681	A1	20031204	CA 2003-2485681	20030521
AU 2003248549	A1	20031212	AU 2003-248549	20030521
US 2004038976	A1	20040226	US 2003-443155	20030521
US 7238717	B2	20070703		
EP 1507756	A1	20050223	EP 2003-755422	20030521

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005526857 T 20050908 JP 2004-507431 20030521

ZA 2004009131	A	20050712	ZA 2004-9131	20041111
MX 2004PA11465	A	20050214	MX 2004-PA11465	20041118
US 2006167251	A1	20060727	US 2006-391633	20060328

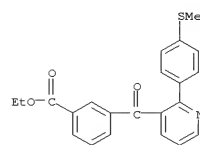
US 7282502	B2	20071016		
JP 2006265259	A	20061005	JP 2006-124437	20060427
US 2007066823	A1	20070322	US 2006-601025	20061117

PRIORITY APPLN. INFO.: US 2002-383573P P 20020524

JP 2004-507431 A3 20030521

Page 108

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



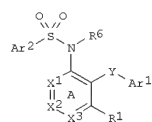
L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 2003-443155 A3 20030521

WO 2003-US16090 W 20030521

OTHER SOURCE(S): MARPAT 140:5067

GI



AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring A is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 μM.

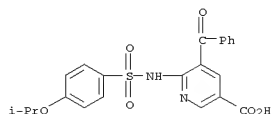
IT 628300-74-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-heteroaryl- and N-arylbzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)

RN 628300-74-3 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-benzoyl-6-[[4-(1-methylethoxy)phenyl]sulfonyl]amino]- (CA INDEX NAME)

02/29/2008

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L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:784629 CAPLUS  
DOCUMENT NUMBER: 139:292147  
TITLE: Preparation of indole derivatives as phospholipase  
enzyme inhibitors  
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.;  
Bemis, Jean E.; Xiang, Yibin; Chen, Lihren  
PATENT ASSIGNEE(S): Genetics Institute LLC, USA  
SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

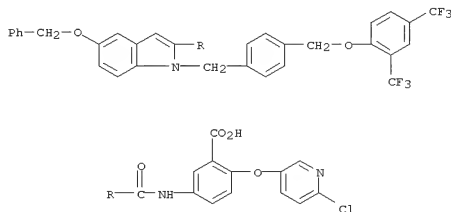
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6630496	B1	20031007	US 2000-645042	20000824
BR 9909242	A	20001114	BR 1999-9242	19990217
PRIORITY APPLN. INFO.:			US 1997-918400	B2 19970826
			US 1998-30102	B2 19980225
			WO 1999-IS3388	W 19990217
OTHER SOURCE(S):		MARPAT 139:292147		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>O)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (SCH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C<sub>6</sub>H<sub>4</sub>bond.C)<sub>n</sub>, CONR<sub>6</sub>, NR<sub>6</sub>CO, O, S, or NR<sub>6</sub>; R<sub>1</sub> = H, OH, halo, etc.; R<sub>2</sub>, R<sub>3</sub> = H, CO<sub>2</sub>H, alkyl, aryl, etc.; R<sub>4</sub>, R<sub>5</sub> = H, OH, CN, CO<sub>2</sub>H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-([3,5-bis(trifluoromethyl)phenoxy]methyl)benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedione-4-ylidene derivative. The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme

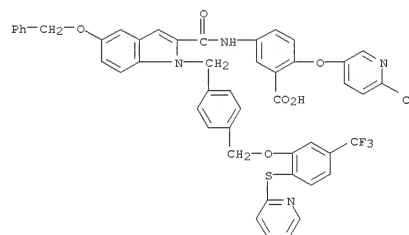
L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition

at concns. of 3 μM to 100 μM. Pharmaceutical compn. comprising the compd. I was claimed.  
IT 204016-36-4P 204016-37-5P 241489-85-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)  
RN 204016-36-4 CAPLUS  
CN Benzoic acid,  
5-[[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

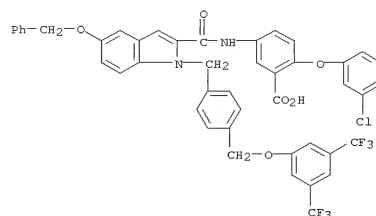


RN 204016-37-5 CAPLUS  
CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[[4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS  
CN Benzoic acid,  
5-[[[1-[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

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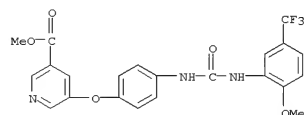
L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:757329 CAPLUS  
DOCUMENT NUMBER: 139:1276918  
TITLE: Preparation of omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors  
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
PATENT ASSIGNEE(S): Bayer Corporation, USA  
SOURCE: U.S. Pat. Appl. Publ., 61 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003181442	A1	20030925	US 2001-993647	20011127

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PRIORITY APPLN. INFO.: US 2001-993647 20011127  
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OTHER SOURCE(S): MARPAT 139:276918  
AB Aryl ureas of formula A-NHCONH-B [A = a substituted moiety of up to 40 carbon atoms of the formula: -L-(M-L1)q (where L = a 5 or 6 membered cyclic structure bound directly to D, L1 comprises a substituted cyclic moiety having at least 5 members; M = a bridging group having at least one atom; q = an integer of from 1-3; each cyclic structure of L and L1 contains 0-4 members of the group consisting of nitrogen, oxygen and sulfur); B = a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of nitrogen, oxygen and sulfur] are prepared These urea derivs. are useful for treating raf mediated diseases, in particular cancerous cell growth mediated by raf kinase. Thus, N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. Thus, a solution of 4-bromo-3-(trifluoromethyl)phenyl isocyanate (8.0 g, 30.1 mmol) in CH2Cl2 (80 mL) was added dropwise to a solution of 4-[2-(N-methylcarbamoyl)-4-pyridyloxy]aniline (7.0 g, 28.8 mmol) in CH2Cl2 (40 mL) at 0°, stirred at room temperature for 16 h, and filtered to give, after washing the yellow solids, washing with CH2Cl2 (2 + 50 mL), and drying under reduced pressure (approx. 1 mmHg) at 40° to give N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. All compds. exemplified showed IC50 between 1 nM to 10 µM against raf kinase.  
IT 573673-59-3P, N-[5-(Trifluoromethyl)-2-methoxyphenyl]-N'-[4-(5-methoxycarbonyl-3-pyridyloxy)phenyl]urea  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
ureas as (intermediate); preparation of omega-carboxyaryl substituted di-Ph

L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
raf kinase inhibitors and anticancer agents)  
RN 573673-59-3 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)



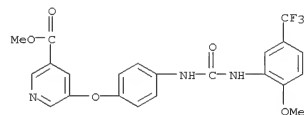
L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:590832 CAPLUS  
DOCUMENT NUMBER: 139:149528  
TITLE: Preparation of diphenylureas as RAF kinase inhibitors  
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.  
PATENT ASSIGNEE(S): Bayer Corporation, USA  
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont. of U. S. Ser. No. 42,203.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144278	A1	20030731	US 2002-283248	20021030

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US 7235576 B1 20070626 US 2002-42203 20020111  
<--  
PRIORITY APPLN. INFO.: US 2001-367380P P 20010112  
<--  
US 2002-42203 A1 20020111  
<--

OTHER SOURCE(S): MARPAT 139:149528  
AB ADB [I; D = NHCONH; A = L(ML1)q; L = 5-6 membered cyclic structure bound directly to D; L1 = substituted cyclic moiety having ≥5 members, M = bridging group having ≥1 atom; q = 1-3; L, L1 contain 0-4 N, O, S; B = (substituted) up to tricyclic aryl, heteroaryl of ≤30 C atoms with ≥1 6-membered cyclic structure bound directly to D containing 0-4 N, O, S], were prepared Thus, 4-chloro-3-(trifluoromethyl)phenyl isocyanate in CH2Cl2 was added dropwise to a suspension of 4-[2-(N-methylcarbamoyl)-4-pyridyloxy]aniline (preparation given) in CH2Cl2 at 0°; the resulting mixture was stirred at room temperature for 22 h. to afford N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. I inhibited RAF kinase in the range 1 nM-1 µM. I pharmaceutical compns. are claimed.  
IT 573673-59-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of diphenylureas as RAF kinase inhibitors)  
RN 573673-59-3 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)

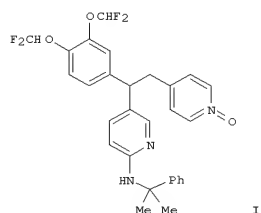
L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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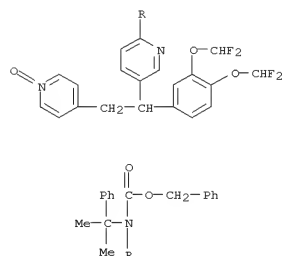
10-566,291.trn

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:189349 CAPLUS  
 DOCUMENT NUMBER: 139:52837  
 TITLE: Substituted aminopyridines as potent and selective phosphodiesterase-4 inhibitors  
 AUTHOR(S): Cote, Bernard; Frenette, Richard; Prescott, Sylvie; Blouin, Marc; Brideau, Christine; Ducharme, Yves; Friesen, Richard W.; Laliberte, France; Masson, Paul; Styhler, Angela; Glard, Yves  
 CORPORATE SOURCE: Merck Frost Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, 1005, Can.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(4), 741-744  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:52837  
 GI



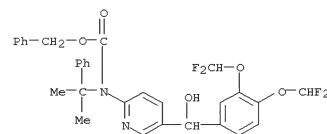
AB The synthesis and the biol. evaluation of new potent phosphodiesterase type 4 (PDE4) inhibitors are presented. This new series was elaborated by replacement of the metabolically resistant Ph hexafluorocarbonyl of L-791,943 by a substituted aminopyridine residue. The structure-activity relationship of N-substitution led to the identification of (-)-I which exhibited a good PDE4 inhibitor activity (H<sub>2</sub>O-TNFα=0.12 μM) and an improved pharmacokinetic profile over L-791,943 (rat t<sub>1/2</sub>=2 h). (-)-I was well tolerated in ferret with an emetic threshold of 30 mg/kg (po) and was found to be active in the ovalbumin-induced bronchoconstriction model in guinea pig (54%, 0.1 mg/kg, i.p.) as well as the ascaris-induced bronchoconstriction model in sheep (64%/97%, early/late, 0.5 mg/kg, iv).  
 IT 306761-01-3P 306761-02-4P 306761-03-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

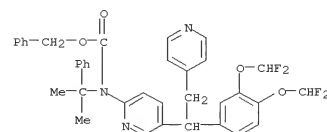


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (prepn. of substituted aminopyridines as phosphodiesterase-4 inhibitors)  
 RN 306761-01-3 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



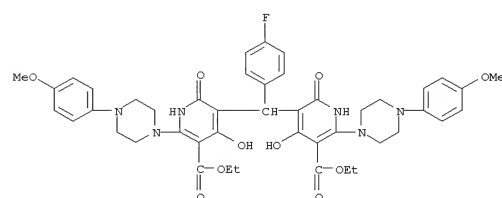
RN 306761-02-4 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-03-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:128942 CAPLUS  
 DOCUMENT NUMBER: 139:85208  
 TITLE: New bis(pyridyl)methane derivatives from 4-hydroxy-2-pyridones: synthesis and antitumoral activity  
 AUTHOR(S): Cocco, Maria Teresa; Congiu, Cenzo; Omis, Valentina  
 CORPORATE SOURCE: Dipartimento di Tossicologia, Universita degli Studi di Cagliari, Cagliari, 09124, Italy  
 SOURCE: European Journal of Medicinal Chemistry (2003), 38(1), 37-47  
 CODEN: EJMCA5; ISSN: 0223-5234  
 PUBLISHER: Editions Scientifiques et Medicales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:85208

AB Bis(pyridyl)methane derivs. were obtained from the reaction of 4-hydroxy-2-pyridones with aldehydes. Bis(pyridyl)methane derivs. were evaluated for cytotoxic activity against a panel of 60 human cancer cell lines by the National Cancer Institute and some of them demonstrated inhibitory effects on the growth of a wide range of cancer cell lines generally at 10<sup>-5</sup> M level and in some case at 10<sup>-7</sup> M concns.  
 IT 556039-47-5P 556039-49-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of bis(pyridyl)methane derivs. as antitumor agents)  
 RN 556039-47-5 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5,5'-[4-(4-fluorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)

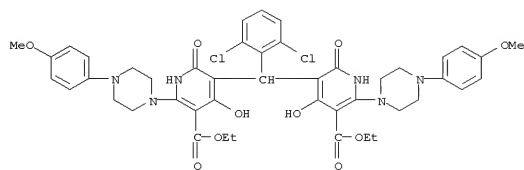


RN 556039-49-7 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5,5'-[4-(2,6-dichlorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)

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L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

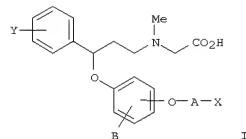
FORMAT

L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:5918 CAPLUS  
DOCUMENT NUMBER: 138:56244  
TITLE: Preparation of sarcosine aromatic ether derivatives as inhibitors of glycine transport  
INVENTOR(S): Lowe, John Adams, III  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: FIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000646	A1	20030103	WO 2002-1B1513	20020412
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, OM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
US 2003013887	A1	20030116	US 2002-86037	20020228
US 6566550	B2	20030520		
CA 2451673	A1	20030103	CA 2002-2451673	20020412
AU 2002307818	A1	20030108	AU 2002-307818	20020412
EP 1406861	A1	20040414	EP 2002-780847	20020412
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR</p> <p>BR 2002010548</p>				
JP 2004534082	T	20041111	JP 2003-506850	20020412
US 2003208081	A1	20031106	US 2003-414736	20030416
US 6784299	B2	20040831		
MX 2003PA11596	A	20040405	MX 2003-PA11596	20031215
PRIORITY APPLN. INFO.:				
			US 2001-299827P	P 20010621
			US 2002-86037	A3 20020228
			WO 2002-1B1513	W 20020412
OTHER SOURCE(S): MARPAT 138:56244				

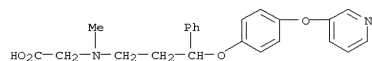
L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
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AB Substituted aromatic ethers I [A is a ring (Ph, naphthyl, benzothienyl, benzofuranyl, pyridyl, mono- or bicyclic (hetero)aryl not containing adjacent ring oxygen atoms); X, Y are alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, carboxy, carbalkoxy, carboxamido, alkylthio, sulfoxyl, sulfonyl, halo, nitro, cyano, amino, alkylamino, or dialkylamino; B is alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, or halo] or their pharmaceutically-acceptable salts were prepared as glycine transport inhibitors for use in the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Thus, [methyl[3-phenyl-3-[4-[3-(trifluoromethyl)phenoxy]phenoxy]propyl]amino]acetic acid was prepared by alkylation of sarcosine Et ester hydrochloride with 3-phenyl-3-[4-[3-(trifluoromethyl)phenoxy]phenoxy]-1-chloropropane (preparation given), followed by ester cleavage. Comps. I show significant activity in inhibiting glycine re-uptake in synaptosomes (IC50 values more potent than 10  $\mu$ M).

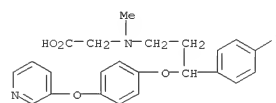
IT 479629-64-6P 479629-66-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sarcosine aromatic ether derivs. as inhibitors of glycine transport)

RN 479629-64-6 CAPLUS  
CN Glycine, N-methyl-N-[3-phenyl-3-[4-(3-pyridinyloxy)phenoxy]propyl]- (CA INDEX NAME)



RN 479629-66-8 CAPLUS  
CN Glycine, N-[3-(4-fluorophenyl)-3-[4-(3-pyridinyloxy)phenoxy]propyl]-N-

L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
methyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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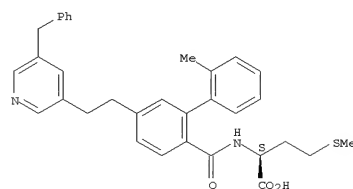
L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:965163 CAPLUS  
 DOCUMENT NUMBER: 138:39539  
 TITLE: Preparation of amino acid derivatives as inhibitors of  
 of  
 INVENTOR(S): protein isoprenyl transferases  
 Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.;  
 Barr, Kenneth J.; Donner, Greg B.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.  
 PATENT ASSIGNEE(S): University of Pittsburgh, USA  
 SOURCE: U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193596	A1	20021219	US 2001-984411	20011030
US 6693123	B2	20040217		
PRIORITY APPLN. INFO.:			US 1995-7247P	P 19951106
			US 1996-740909	B2 19961105
			US 1997-852858	B2 19970507

OTHER SOURCE(S): MARPAT 138:39539  
 AB Comps. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(O)m-L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.; m = 0-2; Z is a covalent bond, O, S(O)m, an imino group; R3 = (un)substituted pyridyl or imidazolyl; or L1, Z, and R3 together are aminoalkyl, haloalkyl, halo, carboxaldehyde (carboxaldehyde)alkyl, or hydroxyalkyl (R1 ≠ H) or L1, Z, R3, and R4 together are an (un)substituted pyrrolidinone ring] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(3-pyridylcarbonylamino)-2-phenylbenzoyl]methionine hydrochloride, prepared via amidation reaction, showed 93% inhibition of farnesyl transferase at 1x10<sup>-5</sup> M.  
 IT 478908-31-5P  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amino acid derivs. as inhibitors of protein isoprenyl transferases)  
 RN 478908-31-5 CAPLUS  
 CN L-Methionine,  
 N-[2'-methyl-5-[2-[5-(phenylmethyl)-3-pyridinyl]ethyl][1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



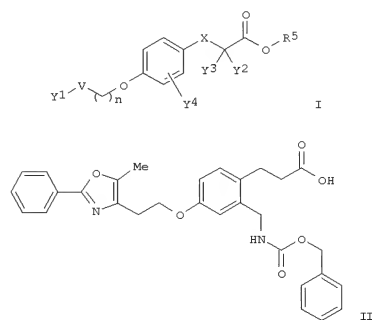
● Li

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:964190 CAPLUS  
 DOCUMENT NUMBER: 138:39272  
 TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids  
 and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions  
 INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 438 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016		

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ZA 2003009059 A 20050810 ZA 2003-9059 20031120  
 MX 2003PA10903 A 20040217 MX 2003-PA10903 20031127  
 IN 2003KN01573 A 20060317 IN 2003-KN1573 20031203  
 PRIORITY APPLN. INFO.: US 2001-296701P P 20010607  
 WO 2002-US15143 W 20020524  
 OTHER SOURCE(S): MARPAT 138:39272  
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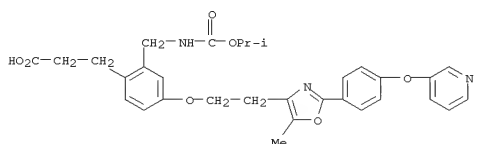


AB Title comps. I [wherein n = 2-5; V = a bond or O; X = CH2 or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)aryl; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un)substituted alk(en)ylaminoalkyl, carboxyalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators  
 (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs2CO3 in DMF. Deprotection of the amine using NaBH4 in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as

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L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
cardiovascular diseases (no data).  
IT 478542-94-8P, 3-[2-(Isopropoxycarbonylaminoethyl)-4-[2-[5-methyl-  
2-[4-(pyridin-3-yloxy)phenyl]oxazol-4-yl]ethoxy]phenyl]propionic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids  
and  
analogs as PPAR modulators for treatment of diabetes and related  
conditions)  
RN 478542-94-8 CAPLUS  
CN Benzenepropanoic acid,  
2-[[[(1-methylethoxy)carbonyl]amino]methyl]-4-[2-[5-  
methyl-2-[4-(3-pyridinyloxy)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 2002:658752 CAPLUS  
DOCUMENT NUMBER: 137:201139  
TITLE: Substituted polycyclic aryl and heteroaryl  
tertiary-heteroalkylamines useful for inhibiting  
cholesteryl ester transfer protein activity  
INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke,  
Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.;  
Tollefson, Michael B.; Wang, Lijuan; Grapperhaus,  
Margaret L.; Hickory, Brian S.; Massa, Mark A.;  
Norton, Monica B.; Vernier, William F.; Parnas, Barry  
L.; Promo, Michele A.; Hamme, Ashton T.; Spangler,  
Dale P.; Rueppel, Melvin L.  
PATENT ASSIGNEE(S): G.D. Searle & Co., USA  
SOURCE: U.S. Pat. Appl. Publ., 157 pp., Division of U.S. Ser.  
No. 405,524.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

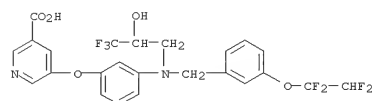
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002120011	A1	20020829	US 2001-991174	20011114
US 6479552	B2	20021112		
US 6448295	B1	20020910	US 2001-991208	20011114
US 6451823	B1	20020917	US 2001-990645	20011114
US 6451830	B1	20020917	US 2001-991085	20011114
US 6458852	B1	20021001	US 2001-991210	20011114
US 6458849	B1	20021001	US 2001-991273	20011114
US 6462092	B1	20021008	US 2001-990811	20011114
US 6476057	B2	20021105	US 2001-990833	20011114
US 2002165232	A1	20021107		
US 6476075	B1	20021105	US 2001-991301	20011114
US 2002165231	A1	20021107	US 2001-991241	20011114
US 6586433	B2	20030701		
US 6455519	B1	20020924	US 2001-991116	20011115
US 6458803	B1	20021001	US 2001-991084	20011123
US 2003032644	A1	20030213	US 2002-71518	20020207
US 6723753	B2	20040420		
US 2003087905	A1	20030508	US 2002-154726	20020523

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
US 6677353 B2 20040113  
US 2003096818 A1 20030522 US 2002-155921 20020523  
US 6765023 B2 20040720  
US 2003100559 A1 20030529 US 2002-155095 20020523  
US 6677379 B2 20040113  
US 2003105100 A1 20030605 US 2002-155451 20020523  
US 6683099 B2 20040127  
US 2003119833 A1 20030626 US 2002-154571 20020523  
US 6677375 B2 20040113  
US 2003125328 A1 20030703 US 2002-154788 20020523  
US 6696472 B2 20040224  
US 2003125329 A1 20030703 US 2002-155346 20020523  
US 6677380 B2 20040113  
US 6677382 B1 20040113 US 2002-155410 20020523  
PRIORITY APPLN. INFO.: US 1999-405524 A3 19990923  
US 2001-990645 A1 20011114  
US 2001-990811 A1 20011114  
US 2001-990833 A1 20011114  
US 2001-991174 A1 20011114  
US 2001-991210 A1 20011114  
US 2001-991273 A1 20011114  
US 2001-991301 A1 20011114  
US 2001-991084 A1 20011123  
OTHER SOURCE(S): MARPAT 137:201139  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [X = NH, N(OH), N-alkyl; R16 = hydrido; n = 1-2; R1 =  
haloalkyl, haloalkoxyalkyl; R2 = hydrido, hydroxyalkyl, aryl, aralkyl,  
alkyl, alkenyl, alkynyl, etc.; R3 = hydrido, alkyl, alkenyl, alkoxyalkyl,  
aryl, aralkyl, heteroaryl, heteroaralkyl, alkenyloxyalkyl, etc.; Y =  
bond,  
alkyl; Z = bond, alkyl; R4, R8-9, R13 = hydrido, halo, haloalkyl, alkyl;  
R5-7, R10-12 = hydrido, perhaloaryloxy, alkanoylalkyl, alkanoylalkoxy,  
alkanoyloxy, N-aryl-N-alkylamino, heterocyclylalkoxy, etc.; with  
provisions] were prepared for the treatment of atherosclerosis and other  
coronary artery diseases. I are useful as inhibitors of cholesteryl  
ester

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
transfer protein (CETP; plasma lipid transfer protein-I). Examples  
include over 700 syntheses and data from two bioassays on CETP activity.  
For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-  
tetrafluoroethoxy)benzaldehyde in the presence of NaBH(OAc)3 and AcOH  
formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-  
epoxypropane in CH2Cl2 and Yb(OTf)3 gave the alc. (99%), which was  
silylated with tert-butyltrimethylsilyl trifluoromethanesulfonate (58%).  
Heating a soln. of the tertiary amine with 4-chloro-3-ethylphenol,  
Cs2CO3,  
copper triflate benzene complex, and 1-naphthoic acid in 2:1  
toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited  
CETP activity with IC50 values of 0.034 μM and 0.88 μM, resp., in  
the reconstituted buffer and human plasma assays.  
IT 263344-82-7P, 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-  
tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-  
hydroxypropyl)amino]phenoxy]- (CA INDEX NAME)  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(target compound; preparation of substituted polycyclic aryl and  
heteroaryl  
tertiary-heteroalkylamines as cholesteryl ester transfer protein  
inhibitors for the treatment of atherosclerosis and other coronary  
artery disease)  
RN 263344-82-7 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-  
tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-  
hydroxypropyl)amino]phenoxy]- (CA INDEX NAME)



02/29/2008

10-566,291.trn

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 2002:575041 CAPLUS  
 DOCUMENT NUMBER: 137:140338  
 TITLE: Preparation of aminoethanol derivatives as  
 cholesteryl

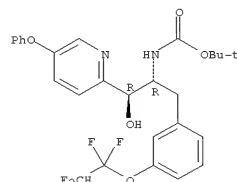
INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu;  
 Yamamoto, Toshihiro  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 748 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP532	20020125
<p>-- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW</p> <p>-- RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
AU 2002228349	A1	20020806	AU 2002-228349	20020125
JF 2002293764	A	20021009	JP 2002-17487	20020125
EP 1362846	A1	20031119	EP 2002-710345	20020125
<p>-- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR</p>				
US 2004127574	A1	20040701	US 2003-470351	20030725
US 6982348	B2	20060103		
PRIORITY APPLN. INFO.:				
			JP 2001-19280	A 20010126
			WO 2002-JP532	W 20020125

OTHER SOURCE(S): MARPAT 137:140338  
 AB The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R [Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are prepared. Compds. of this invention in vitro showed IC50 values of 0.0084 μM to 0.4 μM against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.  
 IT 444918-66-5P 444918-72-3P

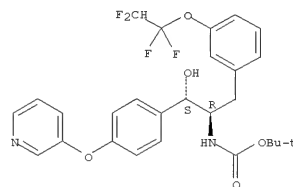
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)  
 RN 444918-66-5 CAPLUS  
 CN Carbamic acid, [(1R,2R)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



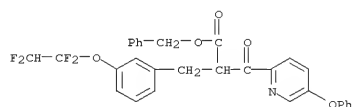
RN 444918-72-3 CAPLUS  
 CN Carbamic acid, [(1R,2S)-2-hydroxy-2-[4-(3-pyridinyloxy)phenyl]-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

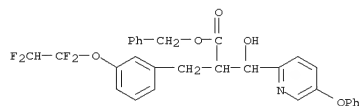


IT 444919-22-6P 444919-23-7P 444919-24-8P  
 444919-26-0P 444919-36-2P 444919-37-3P  
 444919-38-4P 444919-40-8P

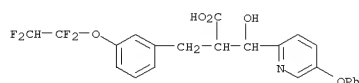
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)  
 RN 444919-22-6 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-oxo-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-23-7 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



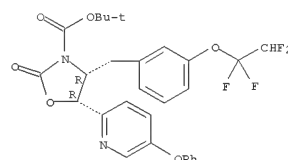
RN 444919-24-8 CAPLUS  
 CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]- (CA INDEX NAME)



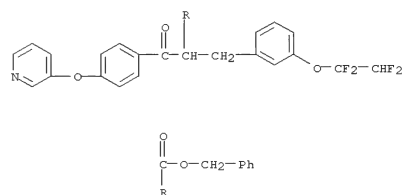
RN 444919-26-0 CAPLUS  
 CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-(5-phenoxy-2-pyridinyl)-4-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (4R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

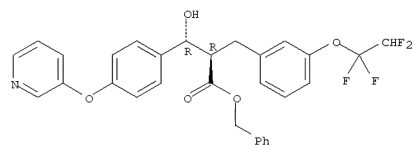


RN 444919-36-2 CAPLUS  
 CN Benzeneprapanoic acid, β-oxo-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-37-3 CAPLUS  
 CN Benzeneprapanoic acid, β-hydroxy-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



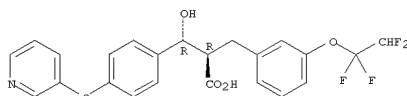
RN 444919-38-4 CAPLUS  
 CN Benzeneprapanoic acid, β-hydroxy-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, (αR,βR)-rel- (CA INDEX NAME)

02/29/2008

10-566,291.trn

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

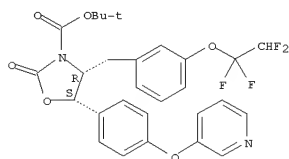
Relative stereochemistry.



RN 444919-40-8 CAPLUS

CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-[4-(3-pyridinyloxy)phenyl]-4-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:449653 CAPLUS  
 DOCUMENT NUMBER: 137:33307  
 TITLE: Preparation of phenylalkanoic acid derivatives as peroxisome proliferator activated receptor (PPAR) agonists  
 INVENTOR(S): Miyachi, Hiroyuki; Murakami, Kouji  
 PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: FIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046161	A1	20020613	WO 2001-JP10564	20011204
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, OM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430846	A1	20020613	CA 2001-2430846	20011204
<--				
AU 2002022574	A	20020618	AU 2002-22574	20011204
<--				
EP 1348698	A1	20031001	EP 2001-999557	20011204
<--				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2005101521	A1	20050512	US 2003-433153	20030530
<--				
US 7176204	B2	20070213	JP 2000-369370	A 20001205
PRIORITY APPLN. INFO.:				
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JP 2001-257390				
A 20010828				
<--				
WO 2001-JP10564				
W 20011204				
<--				
OTHER SOURCE(S): MARPAT 137:33307				
GI				

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkoxy; R3 = H, alkyl; A = NHCO, etc.; X = (un)substituted pyridyl, etc.] are prepared I are useful for the treatment of hyperlipidemia, diabetes, etc. For example,

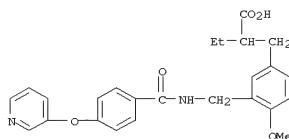
2-[[4-ethoxy-3-[[[4-(2-pyridyloxy)phenyl]carbonylamino]methyl]phenyl]methyl]butyric (II) was prepared The effects of II on PPAR  $\alpha$ , PPAR  $\gamma$ , PPAR  $\delta$  were demonstrated.

IT 437383-88-5P 437384-02-6P

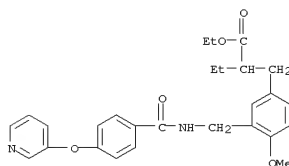
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylalkanoic acid derivs. as peroxisome proliferator activated receptor agonists)

RN 437383-88-5 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethyl-4-methoxy-3-[[[4-(3-pyridinyloxy)benzoyl]amino]methyl]- (CA INDEX NAME)

RN 437384-02-6 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethyl-4-methoxy-3-[[[4-(3-pyridinyloxy)benzoyl]amino]methyl]-, ethyl ester (CA INDEX NAME)

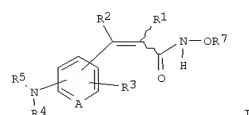
02/29/2008

10-566,291.trn

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:171844 CAPLUS  
 DOCUMENT NUMBER: 136:232200  
 TITLE: Preparation of propenohydroxamic acid derivatives as TACE inhibitors for treatment of sepsis, infectious and autoimmune diseases, etc.  
 INVENTOR(S): Hirata, Terukage; Misumi, Keiji; Ito, Kenji; Inokuma, Kenichi; Katayama, Kimiko  
 PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018326	A1	20020307	WO 2001-JP7292	20010827
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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001080167	A5	20020313	AU 2001-80167	20010827
CA 2423733	A1	20030214	CA 2001-2423733	20010827
EP 1314721	A1	20030528	EP 2001-958495	20010827
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004029928	A1	20040212	US 2003-344898	20030226
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PRIORITY APPLN. INFO.:			JP 2000-263094	A 20000831
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			WO 2001-JP7292	W 20010827
<--				
OTHER SOURCE(S):	MARPAT 136:232200			
GI				

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The title compds. I [R1 represents hydrogen, alkyl or halogeno; R2 represents cycloalkyl, aryl, heteroaryl, etc.; R3 represents hydrogen, alkenyl, etc.; R4 represents H, (un)substituted alkyl, etc.; R5 represents R6CO, R6SO2, R6NHCO or R6NHCS (wherein R6 represents alkyl, cycloalkyl, cyclic amino, aryl, heteroaryl, etc.); R7 represents hydrogen or a protective group; and A represents CH, nitrogen, etc.] are prepared I are useful as drugs for preventing and/or treating diseases such as sepsis, rheumatoid arthritis, infectious diseases, autoimmune diseases, malignant neoplasm, collagen disease, etc. E-3-[3-[N-(4-methoxybenzenesulfonyl)-N-methylaminophenyl]-3-(3-pyridyl)]propenohydroxamic acid (II) in vitro showed IC50 of 7 nM against TACE. II in vitro showed IC50 of > 10000 nM against MMP-1.

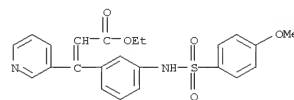
IT 402949-56-8P 402949-57-9P 402949-58-0P 402949-59-1P 402949-60-4P 402949-62-6P

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of propenohydroxamic acid derivs. as TACE inhibitors for treatment of sepsis and infectious and autoimmune diseases)

RN 402949-58-8 CAPLUS

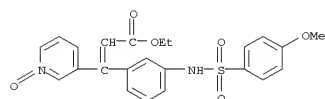
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-57-9 CAPLUS

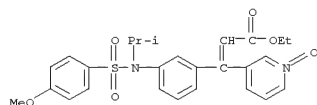
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



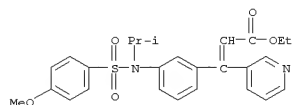
RN 402949-58-0 CAPLUS

CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-59-1 CAPLUS

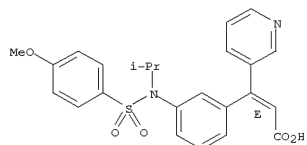
CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-60-4 CAPLUS

CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

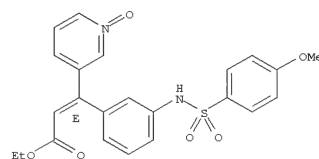


L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 402949-62-6 CAPLUS

CN 2-Propenoic acid, 3-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

02/29/2008

10-566,291.trn

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 2002:72091 CAPLUS  
DOCUMENT NUMBER: 136:134566  
TITLE: Synthesis and use of heteroaryl-substituted-  
aryloxyalkylaryl compounds as  $\beta$ 3-adrenergic  
agonists  
INVENTOR(S): Evers, Britta; Jesudason, Cynthia Darshini;  
Karanjawala, Rushad Eruch; Remick, David Michael;  
Ruehter, Gerd; Sail, Daniel Jon; Schotten, Theo;  
Siegel, Miles Goodman; Stenzel, Wolfgang; Stucky,  
Russell Dean; Werner, John Arnold  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 96 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006276	A1	20020124	WO 2001-US16519	20010709
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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NO 2003000098	A	20030109	NO 2003-98	20030109
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L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
MX 2003PA00308 A 20030606 MX 2003-PA308 20030110  
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HR 2003000018 A1 20030430 HR 2003-18 20030113  
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US 2004242633 A1 20041202 US 2004-838904 20040504  
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US 2000-241614P P 20001019  
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US 2001-292988P P 20010523  
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WO 2001-US16519 W 20010709  
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US 2002-311112 A1 20021213  
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OTHER SOURCE(S): MARPAT 136:134566  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A1-3 = C, N provided that only one of A1-3 can be nitrogen; Het = (un)substituted, optionally benzofused 5 or 6 membered heterocyclic ring; R1,1a,1b = H, halo, OH, alkyl, alkoxy, haloalkyl, SO2-alkyl; R2 = H, alkyl; R3 = H alkyl; R4 = H, alkyl; or R3 and R4 combine with the carbon to which both are attached to form a C3-C6 cyclic ring; or R4 and X1 combine with the carbon to which both are attached to form a C3-C8 cyclic ring; or R4 combines with X1, the carbon to which both are attached, and the Ph group to which X1 is attached to form a benzofused cycloalkyl radical; X is OCH2, SCH2, bond; X1 = bond, divalent hydrocarbon moiety; X2 = O, S, NH, NHSO2, SO2NH, CH2, bond; X3 = (un)substituted Ph, 5 or 6 membered heterocyclic ring] were prepared. For instance, 2-(1-methylpyrazol-3-yl)phenol was reacted with (2S)-glycidyl 3-nitrobenzenesulfonate (THF, t-BuOK, reflux, 16 h) to give epoxide II. This was reacted with the amine derived from 4-(2-amino-2-methylpropyl)phenol and 2-chloro-3-cyanopyridine (alc. solvent, 80°C, 2-72 h) to give III. The intrinsic activity (Emax) of representative compds. of the invention was assessed relative to isoproterenol (a nonselective  $\beta$ 3-agonist); III had Emax = 55.0%. I are used in the treatment of diabetes, obesity, etc.

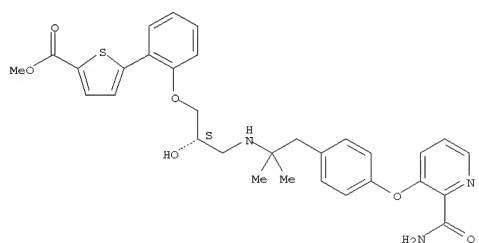
IT 391924-79-1P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as  $\beta$ 3-adrenergic agonists)

RN 391924-79-1 CAPLUS  
CN 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-[[2-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, methyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 1  
CRN 391924-78-0  
CMF C31 H33 N3 O6 S

Absolute stereochemistry.



CM 2  
CRN 144-62-7  
CMF C2 H2 O4



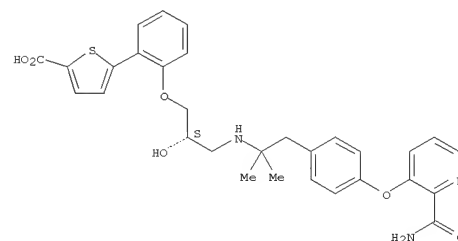
IT 391926-14-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as  $\beta$ 3-adrenergic agonists)

RN 391926-14-0 CAPLUS  
CN 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-[[2-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1  
CRN 391926-13-9  
CMF C30 H31 N3 O6 S

Absolute stereochemistry.

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2  
CRN 76-05-1  
CMF C2 H F3 O2



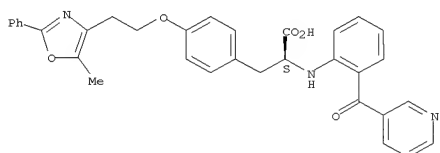
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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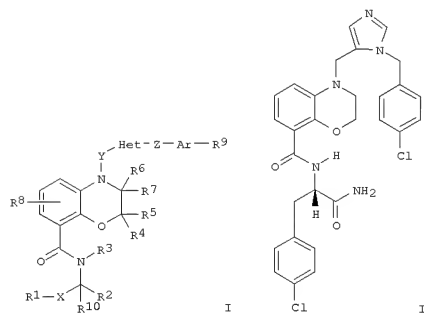
10-566,291.trn

L4 ANSWER 33 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:425733 CAPLUS  
 DOCUMENT NUMBER: 136:241064  
 TITLE: Study on 3D-QSAR of PPAR $\gamma$  agonists with thiazolidinedione and arylketo-acid moieties  
 AUTHOR(S): Yi, Xiang; Guo, Zongru  
 CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China  
 SOURCE: Yaoxue Xuebao (2001), 36(4), 262-268  
 CODEN: YXHPAL; ISSN: 0513-4870  
 PUBLISHER: Yaoxue Xuebao Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 AB A model of two series of peroxidase proliferator-activated receptor- $\gamma$  (PPAR $\gamma$ ) agonists-thiazolidinedione and arylketo-acid derivs. was established by 3D-QSAR method, and the structural features affecting the binding activity to PPAR $\gamma$ , which were related to antihyperglycemic and antihyperlipidemic activities, were studied. 48 Agonists with selective activity for PPAR $\gamma$  were analyzed by using CoMFA. Based upon the active conformation rosiglitazone (BRL) extracted from its complex with PPAR $\gamma$  all agonists were aligned. The model from CoMFA showed a high ability to explain and predict the activity of PPAR $\gamma$  agonists with cross-validation correlation coefficient R $^2$  = 0.656, that of non-cross-validation R $^2$  = 0.982, F10.37 = 201.1, and SE = 0.115. The CoMFA contour map showed that the steric fields may affect the binding effect, preferably a bulky group in the arylketo-acid series may be more helpful for increasing affinity for PPAR $\gamma$ , than thiazolidinedione.  
 IT 196808-91-0  
 RL: PAC (Pharmacological activity); BIOL (Biological study) (study on 3D-QSAR of PPAR $\gamma$  agonists with thiazolidinedione and arylketo-acid moieties)  
 RN 196808-91-0 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The invention concerns novel dihydro-8-benzoxazinecarboxamide derivs. I [R1 = alkyl, OH, alkoxy, hydroxyalkyl, (un)substituted (hetero)aryl; R2 = H, CO $^2$ H or derived radicals; R3 = H, (un)substituted alkyl; R4, R5 = H, alkyl; R6, R7 = H; or R6R7 = O; R8 = H, halo; R9 = H, halo, alkyl, (un)substituted (hetero)aryl, cycloalkyl, etc.; R10 = H, alkyl, alkoxy; X = (CH $^2$ ) $^0$ -3; Y, Z = alkylene; Het = imidazole or pyridine nucleus; Ar = benzene nucleus] and their stereoisomers and salts. The compds. are inhibitors of farnesyltransferase, and are useful against proliferative diseases, particularly in the treatment of cancer. The invention also concerns their preparation and their use as therapeutic agents. For instance, Me 3-aminosalicylate underwent reductive alkylation with 1-(4-chlorobenzyl)-1H-imidazole-5-carboxaldehyde, followed by cyclization of the hydroxy amine with BrCH $^2$ CH $^2$ Br to form the benzoxazine ring. The ester function was hydrolyzed, the resultant acid then amidated with Me (L)-4-chlorophenylalaninate hydrochloride, and the reintroduced ester subjected to ammonolysis, to give title compound II, isolated as the oxalate. Compds. I inhibited growth of human colon carcinoma cells HCT116 in vitro, with IC $^50$  values ranging from 0.1 nM to 100  $\mu$ M.  
 IT 325162-21-8P, N-[1-(S)-Methoxycarbonyl-2-(4-methoxyphenyl)ethyl]-4-[[3-(4-chlorobenzyl)-4-pyridyl]methyl]-3,4-dihydro-2H-benzo[b][1,4]oxazine-8-carboxamide 325162-30-9P, 3-[[[3-(4-chlorobenzyl)-4-pyridyl]methylene]amino]salicylic acid methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of benzoxazinecarboxamide derivs. as inhibitors of farnesyltransferase for the treatment of cancer)  
 RN 325162-21-8 CAPLUS  
 CN L-Tyrosine, N-[4-[[3-(4-chlorophenyl)methyl]-4-pyridinyl]methyl]-3,4-

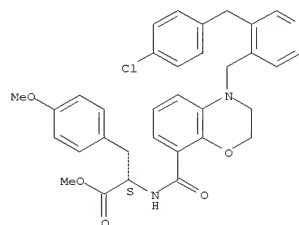
L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:101134 CAPLUS  
 DOCUMENT NUMBER: 134:163045  
 TITLE: Preparation of benzoxazinecarboxamide derivatives as inhibitors of farnesyltransferase for the treatment of cancer  
 INVENTOR(S): Achard, Daniel; Jimonet, Patrick; Mailliet, Patrick; Sabuco, Jean-Francois  
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.  
 SOURCE: PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009127	A1	20010208	WO 2000-FR2190	20000728

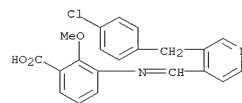
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 FR 2796943 A1 20010202 FR 1999-9894 19990730  
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 PRIORITY APPLN. INFO.: FR 1999-9894 A 19990730  
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 OTHER SOURCE(S): MARPAT 134:163045  
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L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dihydro-2H-1,4-benzoxazin-8-yl]carbonyl]-O-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 325162-30-9 CAPLUS  
 CN Benzoic acid,  
 3-[[[3-(4-chlorophenyl)methyl]-4-pyridinyl]methylene]amino]-2-methoxy- (CA INDEX NAME)



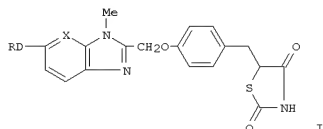
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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10-566,291.trn

L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2000:887668 CAPLUS  
DOCUMENT NUMBER: 134:56664  
TITLE: Preparation and effect of imidazole derivatives as  
insulin resistant improvement agents  
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 169 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000351769	A	20001219	JP 2000-103824	20000405
PRIORITY APPLN. INFO.:		JP 1999-99980	A	19990407
OTHER SOURCE(S):		MARPAT 134:56664		
GI				



AB Title compds. [I; X = CH, N; D = S, O; R = 4-HOC6H4, 4-HO-2,3,5-(CH3)3C6H, 4-MeOCH2O-2,3,5-(CH3)3C6H, 4-HO-3,5-(CH3)2C6H2, 4-HO-2-Cl,3,5-(CH3)2C6H, 2-pyridyl, 3-pyridyl, 4-HO-3,5-(CH3)3C6H, 4-HO-3,5-(CH3)2C6H, 2-(4-morpholinyl)phenyl, etc] and salts are prepared as active insulin resistant improvement agents, 5-lipoxygenase inhibitor, and peroxidized fat formation restrainer. Thus, the title compound I (X = N; D = O; R = 4-HO-2,3,5-(CH3)3C6) was prepared

IT 223132-86-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and effect of imidazole derivs. as insulin resistant improvement agents)

RN 223132-86-3 CAPLUS  
CN Carbamic acid,  
[2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]-5-(3-pyridinyloxy)phenyl]methyl-, 1,1-dimethylethyl ester (9CI)

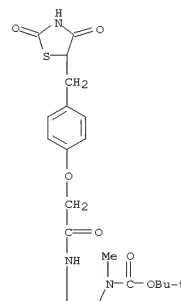
(CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2000:814461 CAPLUS  
DOCUMENT NUMBER: 133:362707  
TITLE: Preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors.  
INVENTOR(S): Cote, Bernard; Friesen, Richard; Frenette, Richard; Girard, Mario; Girard, Yves; Godbout, Cedrick; Guay, Daniel; Hamel, Pierre; Blouin, Marc; Ducharme, Yves; Prescott, Sylvie  
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.  
SOURCE: PCT Int. Appl., 155 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

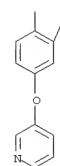
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WO 2000068198	A3	20010405		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2369323	A1	20001116	CA 2000-2369323	20000503
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EP 1177175	A2	20020206	EP 2000-922400	20000503
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AU 764258	B2	20030814	AU 2000-42829	20000503
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PRIORITY APPLN. INFO.:		US 1999-132532P	P	19990505
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OTHER SOURCE(S):		MARPAT 133:362707		
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L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

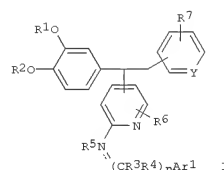
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PAGE 2-A



L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



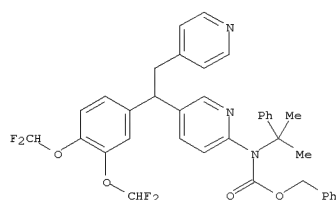
AB Title compds. [I; Y = N, NO; R1, R2 = H, alkyl, haloalkyl; R3, R4 = H, alkyl; R3R4 = O, atoms to form a 5-7 membered carbocyclic ring; R5 = null, H, (substituted) alkyl, alkylcarbonyl, arylcarbonyl, alkoxy carbonyl, aryloxy carbonyl, O; R3R5 = atoms to form a 5-6 membered heterocyclic ring; dotted line = optional double bond; R6, R7 = H, halo, alkyl, haloalkyl, cyano; n = 0-6], were prepared Thus,  
4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-(6-bromo-3-pyridyl)ethyl]pyridine (preparation given) was heated with PhCH2NH2 and CuI to give 72% 4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-[6-(benzylamino)-3-pyridyl]ethyl]pyridine. The latter inhibited PDE 4 with IC50 = 0.75 nM.

IT 306760-71-4P 306760-72-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)

RN 306760-71-4 CAPLUS  
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

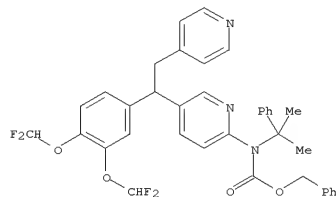
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L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-72-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] (1-methyl-1-phenylethyl)-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

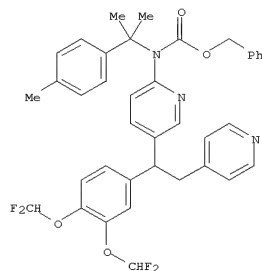
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IT 306760-70-3P 306760-73-6P 306760-74-7P  
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 306760-78-1P 306760-79-2P 306760-80-5P  
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 306760-84-9P 306760-85-0P  
 RI: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)  
 RN 306760-70-3 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl] (1-methyl-1-phenylethyl)-, 1,1-dimethylethyl

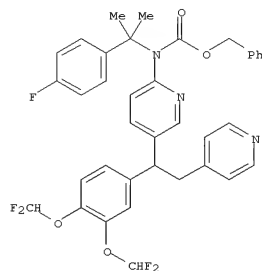
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



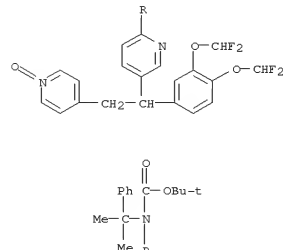
RN 306760-75-8 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



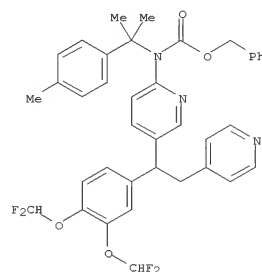
RN 306760-76-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-73-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

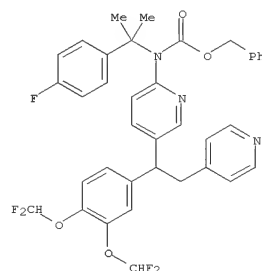
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RN 306760-74-7 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

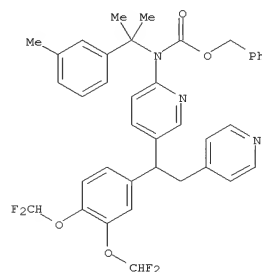
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



RN 306760-77-0 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



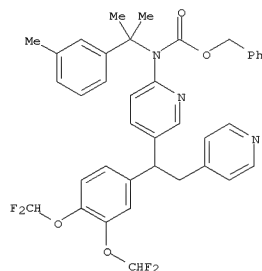
RN 306760-78-1 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

02/29/2008

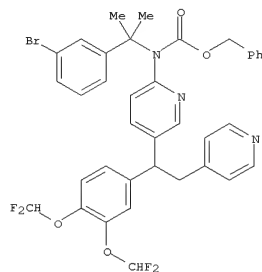
10-566,291.trn

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-79-2 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

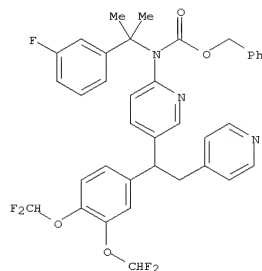
Rotation (+).



RN 306760-80-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

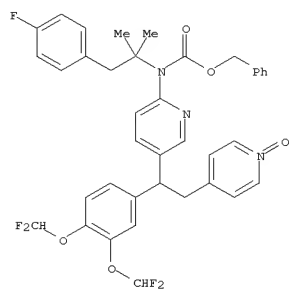
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



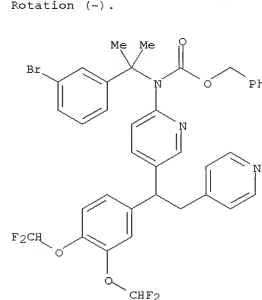
RN 306760-83-8 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



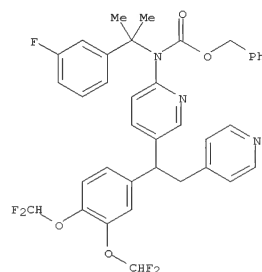
RN 306760-84-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306760-81-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

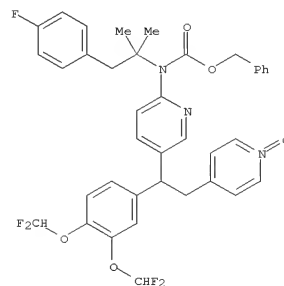
Rotation (+).



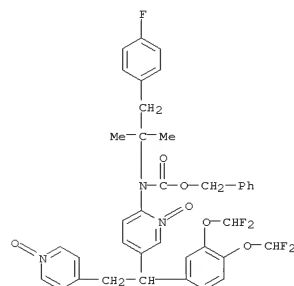
RN 306760-82-7 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).



RN 306760-85-0 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

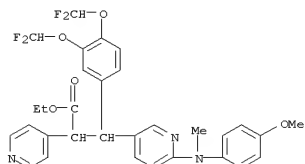


IT 306761-59-1 306761-61-5 306761-62-6  
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 (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)  
 RN 306761-59-1 CAPLUS

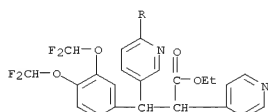
02/29/2008

10-566,291.trn

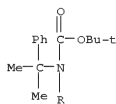
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 3-Pyridinepropanoic acid,  $\beta$ -[3,4-bis(difluoromethoxy)phenyl]-6-[(4-methoxyphenyl)methylamino]- $\alpha$ -4-pyridinyl-, ethyl ester (CA INDEX NAME)



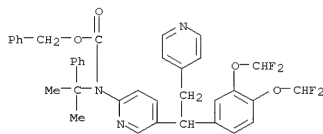
RN 306761-61-5 CAPLUS  
 CN 3-Pyridinepropanoic acid,  $\beta$ -[3,4-bis(difluoromethoxy)phenyl]-6-[(1,1-dimethylethoxy)carbonyl](1-methyl-1-phenylethyl)amino]- $\alpha$ -4-pyridinyl-, ethyl ester (CA INDEX NAME)



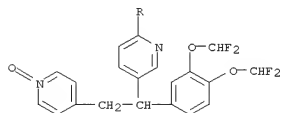
RN 306761-62-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



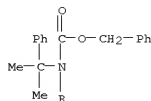
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



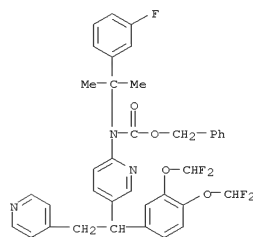
RN 306761-03-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



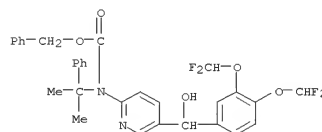
RN 306761-15-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

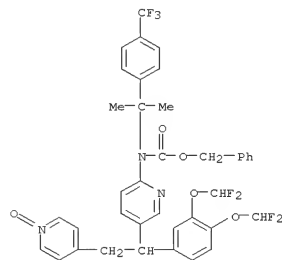


IT 306761-01-3P 306761-02-4P 306761-03-5P  
 306761-15-9P 306761-20-6P 306761-21-7P  
 306761-23-9P 306761-27-3P 306761-28-4P  
 306761-29-5P 306761-30-8P 306761-31-9P  
 306761-32-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)  
 RN 306761-01-3 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

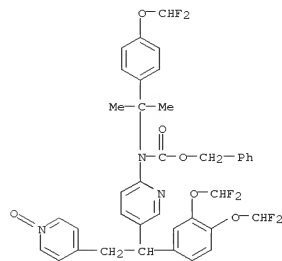


RN 306761-02-4 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306761-20-6 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-[4-(difluoromethoxy)phenyl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

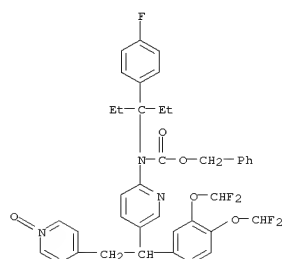


RN 306761-21-7 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-ethyl-1-(4-fluorophenyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

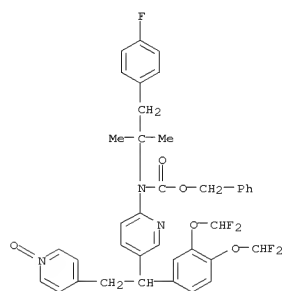
02/29/2008

10-566,291.trn

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

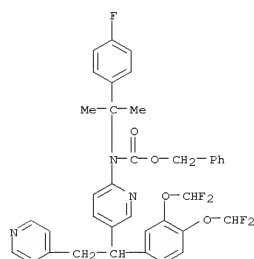


RN 306761-23-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl] [2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

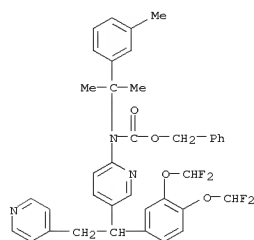


RN 306761-27-3 CAPLUS  
 CN Carbamic acid, [5-[3,4-bis(difluoromethoxy)benzoyl]-2-pyridinyl] [1-methyl-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

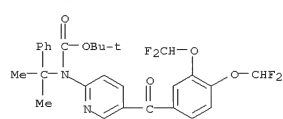


RN 306761-31-9 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

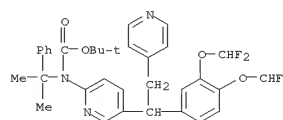


RN 306761-32-0 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

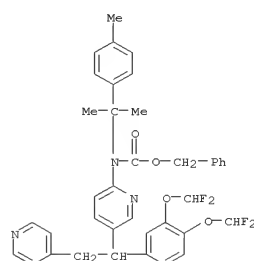
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306761-28-4 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

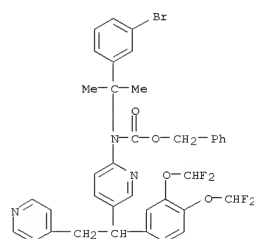


RN 306761-29-5 CAPLUS  
 CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl] [1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-30-8 CAPLUS

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



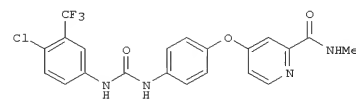
02/29/2008

10-566,291.trn

L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 2000:493376 CAPLUS  
DOCUMENT NUMBER: 133:120155  
TITLE: Preparation of  $\alpha$ -carboxy aryl substituted  
diphenyl ureas as p38 kinase inhibitors  
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger,  
Timothy B.; Scott, William J.; Smith, Roger A.; Wood,  
Jill E.; Monahan, Mary-Katherine; Natero, Reina;  
Renick, Joel; Sibley, Robert N.  
PATENT ASSIGNEE(S): Bayer Corporation, USA  
SOURCE: PCT Int. Appl., 148 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

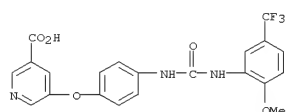
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041698	A1	20000720	WO 2000-US768	20000113
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359244	A1	20000720	CA 2000-2359244	20000113
EP 1158985	A1	20011205	EP 2000-905597	20000113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
MX 2001PA07120	A	20011101	MX 2001-PA7120	20010712
US 2003139605	A1	20030724	US 2002-71248	20020211
US 2003105091	A1	20030605	US 2002-86417	20020304
AU 2004200566	A1	20040311	AU 2004-200566	20040213
AU 2004200722	A1	20040318	AU 2004-200722	20040224
AU 2004200722	B2	20080110		
US 2008027061	A1	20080131	US 2007-845597	20070827
PRIORITY APPLN. INFO.:			US 1999-115878P	P 19990113
			US 1999-257265	A2 19990225
			US 1999-425229	A2 19991022
			US 1999-115877P	P 19990113

L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
US 1999-257266 B2 19990225  
US 1999-425228 B1 19991022  
AU 2000-25016 A3 20000112  
AU 2000-27250 A3 20000113  
WO 2000-US768 W 20000113  
US 2001-948915 A1 20010910  
US 2002-86417 B3 20020304  
OTHER SOURCE(S): MARPAT 133:120155  
GI



AB The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepared E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10  $\mu$ M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).  
IT 284462-90-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]- (CA INDEX NAME)

L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2000:227617 CAPLUS  
DOCUMENT NUMBER: 132:264953  
TITLE: Substituted polycyclic aryl and heteroaryl  
tertiary-heteroalkylamines useful for inhibiting  
cholesterol ester transfer protein activity  
INVENTOR(S): Sikorski, James A.; Duxley, Richard C.; Mischke,  
Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.;  
Tollefson, Michael B.; Wang, Lijuan; Grapperhaus,  
Margaret L.; Hickory, Brian S.; Massa, Mark A.;  
Norton, Monica B.; Vernier, William F.; Parnas, Barry  
L.; Promo, Michele A.; Hamme, Ashton T.; Spangler,  
Dale P.; Rueppel, Melvin L.  
PATENT ASSIGNEE(S): Monsanto Company, USA  
SOURCE: PCT Int. Appl., 440 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018721	A1	20000406	WO 1999-US22119	19990923
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345118	A1	20000406	CA 1999-2345118	19990923
AU 9960594	A	20000417	AU 1999-60594	19990923
EP 1115693	A1	20010718	EP 1999-969710	19990923
EP 1115693	B1	20070502		
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JP 2002525348	T	20020813	JP 2000-572183	19990923
JP 3924124	B2	20070606		
EP 1589000	A2	20051026	EP 2005-11025	19990923
EP 1589000	A3	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PT 1115695	T	20051031	PT 1999-948429	19990923
ES 2244216	T3	20051201	ES 1999-948429	19990923
AT 361273	T	20070515	AT 1999-969710	19990923
ES 2286909	T3	20071201	ES 1999-969710	19990923
US 2003083331	A1	20030501	US 2002-154861	20020523

02/29/2008

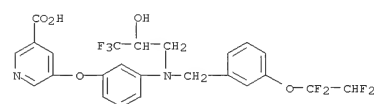
10-566,291.trn

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
US 6696435 B2 20040224  
US 2003109528 A1 20030612 US 2002-155002 20020523  
US 6699898 B2 20040302  
US 2003114454 A1 20030619 US 2002-155311 20020523  
US 6710089 B2 20040323  
JP 2007112804 A 20070510 JP 2006-305077 20061110  
JP 2007126460 A 20070524 JP 2006-305973 20061110  
PRIORITY APPLN. INFO.: US 1998-101663P P 19980925  
EP 1999-948429 A3 19990923  
JP 2000-572183 A3 19990923  
JP 2000-572186 A3 19990923  
US 1999-405524 B3 19990923  
WO 1999-US22119 W 19990923  
US 2001-991085 A1 20011114  
US 2001-991208 A1 20011114  
US 2001-991116 A1 20011115  
OTHER SOURCE(S): MARPAT 132:264953  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. (I) [wherein R1 = haloalkyl, haloalkenyl, haloalkoxyalkyl, or haloalkenyloxyalkyl; R2 = H, OH, (alkyl)amino, dialkylamino, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkoxy, (cyclo)alkenyloxy, or (hetero)aryl, alkylsulfanyl, arylsulfonyl, carboxy, carboxamido, phosphono, etc.; R3, R14, and R15 = independently H, OH, halo, CN, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, aryloxy, (alkyl)amino, dialkylamino, (hetero)arythio, acylamido, alkylsulfanyl, arylsulfonyl, carboxy, phosphono, etc.; or R2 and R3 taken together may form a 3- to 8-membered cycloalkyl, a 5- to 8-membered cycloalkenyl, or a 4- to 8-membered heterocyclyl ring; R4-R13 = independently (un)substituted aryloxy, alkyl(oxy), acyl(oxy), carboxamido, (cyclo)alkylsulfanyl, aralkylsulfonyl, amino, phosphono, etc.; R16 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, acyl, (hetero)aroyl, trialkylsilyl, or a spacer; D1, D2, D3, D4, J1, J2,

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
J3, J4, K1, and K2 = independently C, N, O, S, or a covalent bond; X = H, F, O, S, S(O), NH, N(OH), N(alkyl), or N(alkoxy); Y and Z = independently single bond or (un)substituted (hetero)alkylene; n = 0-5] where prepd.  
for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester transfer protein (CETP); plasma lipid transfer protein-I). Examples include over 700 syntheses  
and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaB(OAc)3H and AcOH formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and YB(OTf)3 gave the alc. (99%), which was silylated with tert-butyltrimethylsilyl trifluoromethanesulfonate (58%). Heating a soln. of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034 µM and 0.88 µM, resp., in the reconstituted buffer and human plasma assays.  
IT 263344-82-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesteryl ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)  
RN 263344-82-7 CAPLUS  
CN 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

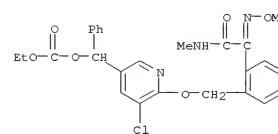
L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 2000:191066 CAPLUS  
DOCUMENT NUMBER: 132:236989  
TITLE: Preparation of fungicidal 2-methoxyimino-2-[(pyridinyloxy)methyl]phenyl]acetamides  
INVENTOR(S): Canada, Emily Jane; Gajewski, Robert Peter; Galka, Christopher Stanley; Kirby, Neil Vincent; Morrison, Irene Mae; Phillips, Jeannie Rachel; Pieczko, Mary Elizabeth; Rieder, Brent Jeffrey; Carson, Chrislyn Marie; Huang, Zhengyu  
PATENT ASSIGNEE(S): Dow Agrosiences LLC, USA  
SOURCE: PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015616	A1	20000323	WO 1999-US21593	19990916
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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US 6306839	B1	20011023	US 1999-397566	19990916
US 2002035259	A1	20020321	US 2001-943253	20010830
US 6432951	B1	20020813		
US 2002035257	A1	20020321	US 2001-943263	20010830
US 6436963	B2	20020820		
PRIORITY APPLN. INFO.:			US 1998-100601P	P 19980916
			US 1999-397566	A3 19990916
			WO 1999-US21593	19990916
OTHER SOURCE(S):			MARPAT 132:236989	
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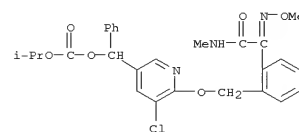
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; m = 0-3; L = O, CH2, SOn, etc.; n = 0-2; X, Y, Z = H, alkyl, alkoxy, etc.; W = H, halo, alkyl, etc.; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R1 and R2 form a link of 1-3 atoms which form (un)substituted heterocyclyl containing one or more O atoms; R2 and R3

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
together form (un)substituted cycloalkyl, heterocyclyl], useful in fungicidal compns. as the active ingredients, were prepd. Thus, treatment of ketone II with NaBH4 in EtOH/CH2Cl2 afforded 99% III which showed 75-100% control of plant diseases such as mildew of wheat, brown rust, glume blotch of wheat, and late blight of tomatoes.  
IT 261720-59-6P 261720-81-4P 261720-95-0P  
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fungicidal 2-methoxyimino-2-[(pyridinyloxy)methyl]phenyl]acet amides)  
RN 261720-59-6 CAPLUS  
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl ethyl ester (CA INDEX NAME)



RN 261720-81-4 CAPLUS  
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl 1-methylethyl ester (CA INDEX NAME)

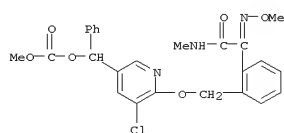


RN 261720-95-0 CAPLUS  
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl methyl ester (CA INDEX NAME)

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10-566,291.trn

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

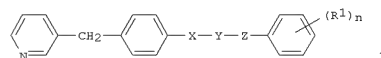


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

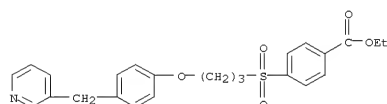
ACCESSION NUMBER: 1999:698077 CAPLUS  
DOCUMENT NUMBER: 131:327524  
TITLE: Pyridylmethylphenyl derivatives as fungicides and pharmaceutical compositions containing the fungicides Takagi, Masae; Seibu, Tadayuki; Sano, Shinsuke  
INVENTOR(S): Nippon Soda Co., Ltd., Japan  
PATENT ASSIGNEE(S): Jpn. Kokai Tokkyo Koho, 15 pp.  
SOURCE: Patent  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11302172	A	19991102	JP 1998-120018	19980414
PRIORITY APPLN. INFO.:			JP 1998-120018	19980414
OTHER SOURCE(S):			MARPAT 131:327524	
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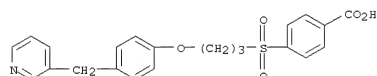


AB Pyridylmethylphenyl derivs. (I) [ X = O, C(:O), etc.; Y = 4-piperidylalkylene, 1-piperazinylalkylene, etc.; Z = O, SO2, etc.; R1 = halo, C1-6 alkyl, C1-6 alkoxy; n = 0-3] as fungicides and pharmaceutical compns. containing the fungicides are claimed. Tablets were formulated containing I 50, lactose 29, corn starch 10, sodium gluconate 5, PVP 3, talc 2, and magnesium stearate 1 parts. I also can be used as agrochems.  
IT 198128-29-2 248244-44-2 248244-45-3  
248244-73-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
USES (Uses) (pyridylmethylphenyl derivs. as fungicides and pharmaceutical compns. containing the fungicides)  
RN 198128-29-2 CAPLUS  
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, ethyl ester (CA INDEX NAME)

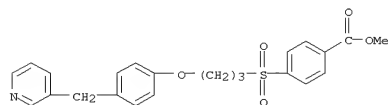
L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



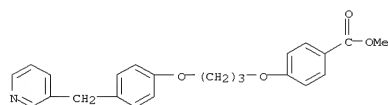
RN 248244-44-2 CAPLUS  
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]- (CA INDEX NAME)



RN 248244-45-3 CAPLUS  
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 248244-73-7 CAPLUS  
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propoxy]-, methyl ester (CA INDEX NAME)



L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

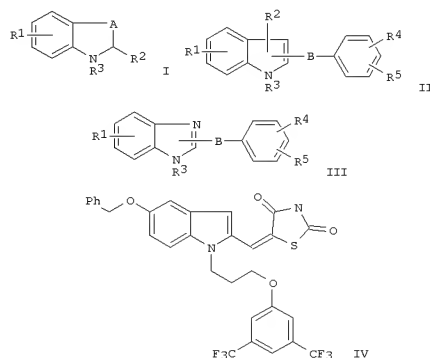
ACCESSION NUMBER: 1999:566043 CAPLUS  
DOCUMENT NUMBER: 131:199620  
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu; Chen, Lihren  
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
SOURCE: PCT Int. Appl., 225 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217
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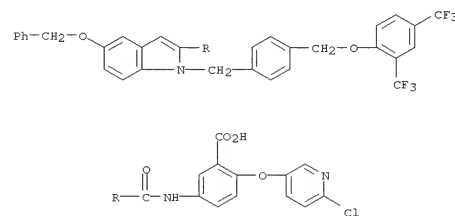
10-566,291.trn

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 OTHER SOURCE(S): MARPAT 131:199620  
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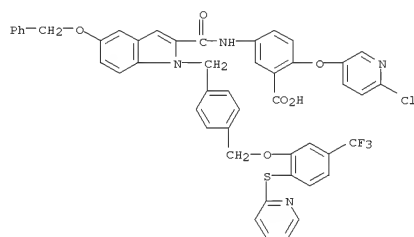
AB Indole derivs. (I), (II), and (III) [where A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>; B = (CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>S)<sub>n</sub>, (CH<sub>2</sub>CH<sub>2</sub>)<sub>n</sub>, (CH=CH)<sub>n</sub>, (C.tplbond.C)<sub>n</sub>, CON(R<sub>6</sub>), N(R<sub>6</sub>)CO, O, S, or N(R<sub>6</sub>); R<sub>1</sub> and R<sub>5</sub> = independently H, OH, halogen, CN, NO<sub>2</sub>, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R<sub>2</sub> and R<sub>3</sub> = independently H, CO<sub>2</sub>H, COR<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, (CH<sub>2</sub>)<sub>n</sub>W(CH<sub>2</sub>)<sub>m</sub>R<sub>5</sub>, (CH<sub>2</sub>)<sub>n</sub>WR<sub>5</sub>, ZR<sub>5</sub>, C1-10 alkyl, alkenyl, or substituted aryl; R<sub>4</sub> = H, OH, OR<sub>6</sub>, SR<sub>6</sub>, CN, COR<sub>6</sub>, NHR<sub>6</sub>, CO<sub>2</sub>H, COR<sub>6</sub>R<sub>7</sub>, NO<sub>2</sub>, (un)substituted sulfamidocarbonyl, C1-5 alkyl, alkenyl, or substituted aryl; R<sub>6</sub>, R<sub>7</sub> = H, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH<sub>2</sub>, CH=CH, C.tplbond.C, or N(R<sub>6</sub>); X = O, S, N(R<sub>6</sub>); Z = CH<sub>2</sub>, O, S, N(R<sub>6</sub>), CO, CON(R<sub>6</sub>); N(R<sub>6</sub>)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared. Thus, 2,4-thiazolidinedione and K<sub>2</sub>CO<sub>3</sub> followed by NaOH were added to 5-(benzyloxy)-1-(4-[[[3,5-bis(trifluoromethyl)phenoxy]methyl]benzyl]-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedione-4-ylidene derivative. The ylide was dissolved in a solution of DMF and NaH, reacted with

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 to an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A<sub>2</sub> (cPLA<sub>2</sub>), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC<sub>50</sub> values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA<sub>2</sub> enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.  
 IT 204016-36-4P 204016-37-5P 241489-85-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)  
 RN 204016-36-4 CAPLUS  
 CN Benzoic acid,  
 5-[[[1-[4-[[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

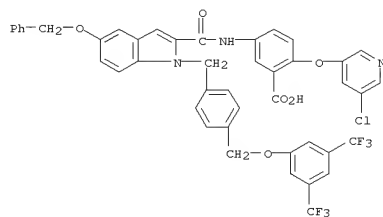


RN 204016-37-5 CAPLUS  
 CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS  
 CN Benzoic acid,  
 5-[[[1-[4-[[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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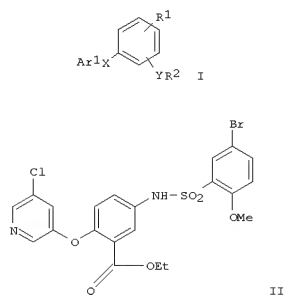
L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:495273 CAPLUS  
 DOCUMENT NUMBER: 131:144406  
 TITLE: Preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity  
 INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee, Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven  
 Marc; Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.; Houze, Jonathan B.; Kearney, Patrick C.  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938845	A1	19990805	WO 1999-US1147	19990120
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AU 9921176	A	19990816	AU 1999-21176	19990120
AU 759255	B2	20030410		
EP 1053227	A1	20001122	EP 1999-901492	19990120
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US 6620827	B2	20030916		
US 2002169185	A1	20021114	US 2001-894980	20010627
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			US 1999-234327	A1 19990120
			WO 1999-US1147	W 19990120
			US 2000-214810P	P 20000628

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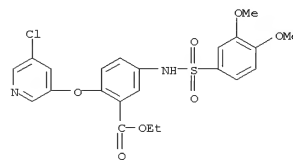
L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
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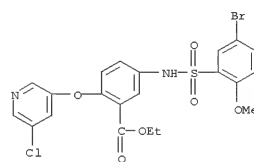
AB Title compds. [I; Ar1 is aryl; X is a divalent linkage of alkylene, alkylenoxy, -O-, -C(O)-, -N(R11)-, -N(R11)C(O)-, -S(O)k- and a single bond, in which R11 is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected from alkylene, -O-, -C(O)-, -N(R12)-S(O)m-, -N(R13)-S(O)m-N(R13)-, -N(R12)C(O)-, -S(O)n-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO2R14, -CO(R)14, -C(O)NR15R16, -S(O)p-R14, -S(O)q-NR15R16, -O-C(O)-OR17, -O-C(O)-R17, -O-C(O)-NR15R16, -N(R14)-C(O)-NR15R16, -N(R14)-C(O)-R17 and -N(R14)-C(O)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7-membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared

IT 235427-89-1P

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)  
 RN 235427-89-1 CAPLUS  
 CN Benzoic acid, 2-[(5-chloro-3-pyridinyl)oxy]-5-[[[(3,4-dimethoxyphenyl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



IT 235426-95-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)  
 RN 235426-95-6 CAPLUS  
 CN Benzoic acid, 5-[[[(5-bromo-2-methoxyphenyl)sulfonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:325961 CAPLUS  
 DOCUMENT NUMBER: 130:352553  
 TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins  
 INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki;  
 Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John  
 Henry  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH  
 SOURCE: PCT Int. Appl., 137 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	A1	19990520	CA 1998-2306313	19981103
AU 9914873	A	19990531	AU 1999-14873	19981103
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813197	A	20000829	BR 1998-13197	19981103
TR 200001189	T2	20000921	TR 2000-1189	19981103
JP 2001522862	T	20011120	JP 2000-520468	19981103
HU 2000004400	A2	20020429	HU 2000-4400	19981103
RU 2201420	C2	20030327	RU 2000-114821	19981103
ZA 9810073	A	19990505	ZA 1998-10073	19981104
TW 527362	B	20030411	TW 1998-87118553	19981105
NO 200002320	A	20000704	NO 2000-2320	20000502

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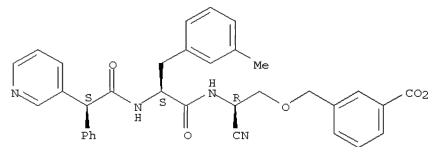
10-566,291.trn

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
MX 2000PA04375 A 20001211 MX 2000-PA4375 20000504  
-- US 6353017 B1 20020305 US 2000-643639 20000822  
-- US 2004029814 A1 20040212 US 2003-342872 20030115  
-- US 2004110806 A1 20040610 US 2003-694672 20031028  
-- US 2006235220 A1 20061019 US 2006-374995 20060315  
-- US 2008027060 A1 20080131 US 2007-835134 20070807  
--  
PRIORITY APPLN. INFO.: GB 1997-23407 A 19971105  
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US 1997-108160P P 19971205  
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US 1997-985973 A 19971205  
--  
WO 1998-EP6937 W 19981103  
--  
US 1998-186223 B1 19981104  
--  
US 2000-643639 A1 20000822  
--  
US 2002-54590 B1 20020122  
--  
US 2003-342872 A1 20030115  
--  
US 2003-694672 B1 20031028  
--  
US 2006-374995 B1 20060315

OTHER SOURCE(S): MARPAT 130:352553  
AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S; L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Na-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 = 5 nM for inhibition of cathepsin B.

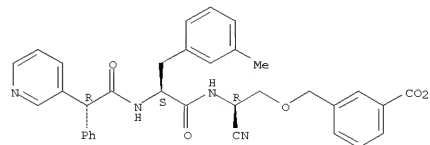
L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
IT 225121-18-6P 225121-19-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)  
RN 225121-18-6 CAPLUS  
CN Benzoic acid,  
3-[[[(2R)-2-cyano-2-[[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[[(2S)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 225121-19-7 CAPLUS  
CN Benzoic acid,  
3-[[[(2R)-2-cyano-2-[[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[[(2R)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

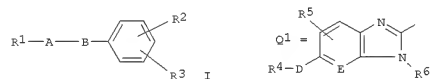
Absolute stereochemistry.



L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 1999:244641 CAPLUS  
DOCUMENT NUMBER: 130:296681  
TITLE: Preparation of substituted fused heterocyclic compounds as pharmaceuticals  
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko  
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan  
SOURCE: PCT Int., 398 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
--	WO 9918081	A1	19990415	WO 1998-JP4548	19981008
US	W: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RU, TR, PT, SE				
--	JP 11193276	A	19990721	JP 1998-284926	19981007
--	JP 3488099	B2	20040119		
--	CA 2305807	A1	19990415	CA 1998-2305807	19981008
--	CA 2305807	C	20080122		
--	AU 9894587	A	19990427	AU 1998-94587	19981008
--	AU 740704	B2	20011115		
--	TR 200000946	T2	20000721	TR 2000-946	19981008
--	EP 1022272	A1	20000726	EP 1998-947789	19981008
--	EP 1022272	B1	20040526		
--	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
--	BR 9813848	A	20001003	BR 1998-13848	19981008
--	HU 2000003859	A2	20010828	HU 2000-3859	19981008
--	HU 2000003859	A3	20050928		
--	TW 475931	B	20020211	TW 1998-87116711	19981008
--	NZ 503794	A	20020927	NZ 1998-503794	19981008
--	RU 2196141	C2	20030110	RU 2000-109326	19981008
--	AT 267814	T	20040615	AT 1998-947789	19981008
--	PT 1022272	T	20040831	PT 1998-947789	19981008
--	ES 2221203	T3	20041216	ES 1998-947789	19981008
--	NO 2000001816	A	20000607	NO 2000-1816	20000407
--	NO 318070	B1	20050131		
--	US 6432993	B1	20020813	US 2000-543667	20000407

L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
MX 200003546 A 20020806 MX 2000-3546 20000411  
-- HK 1027354 A1 20040924 HK 2000-106421 20001010  
--  
PRIORITY APPLN. INFO.: JP 1997-276063 A 19971008  
--  
WO 1998-JP4548 W 19981008  
--  
OTHER SOURCE(S): MARPAT 130:296681  
GI



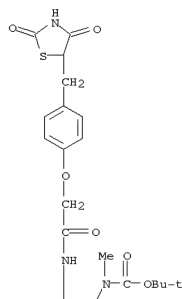
AB The title compds. I [R1 represents general formula Q1 wherein R4 represents substituted Ph or optionally substituted pyridyl; R5 represents hydrogen, etc.; R6 represents hydrogen, C1-C6 alkyl, etc.; D represents oxygen or sulfur; and E represents a group bearing CH or nitrogen; R2 represents hydrogen, etc.; R3 represents 2,4-dioxothiazolidin-5-ylmethyl, etc.; A represents C1-C6 alkylene; and B represents oxygen or sulfur] are prepared I ameliorate insulin resistance, inhibit 5-lipoxygenase, and suppress lipid peroxide formation. Mice fed feed containing 0.01% 5-[4-[6-(4-hydroxyphenoxy)-1-methyl-1H-benzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione showed 60.1% decrease in blood sugar.  
IT 223132-86-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of substituted fused heterocyclic compds. as pharmaceuticals)  
RN 223132-86-3 CAPLUS  
CN Carbamic acid,  
2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]a  
mino]-5-(3-pyridinyloxy)phenyl)methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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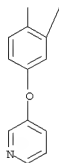
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L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



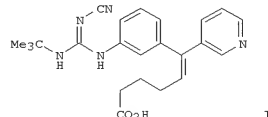
PAGE 2-A



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:190050 CAPLUS  
DOCUMENT NUMBER: 130:325071  
TITLE: Guanidine Derivatives as Combined Thromboxane A2 Receptor Antagonists and Synthase Inhibitors  
AUTHOR(S): Soyka, Rainer; Guth, Brian D.; Weisenberger, Hans M.; Luger, Peter; Mueller, Thomas H.  
CORPORATE SOURCE: Research and Development, Boehringer Ingelheim Pharma KG, Biberach, 88397, Germany  
SOURCE: Journal of Medicinal Chemistry (1999), 42(7): 1235-1249  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

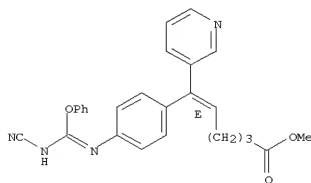


I

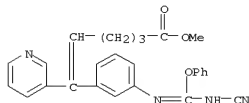
AB A new series of  $\omega$ -disubstituted alkenoic acid derivs. derived from samixogrel were designed and synthesized as combined thromboxane A2 receptor antagonists/thromboxane A2 synthase inhibitors with improved solubility and reduced protein binding. Hexenoic acid derivs. with a 3-pyridyl group and a 3-[RR1NC(:NCN)NH]C6H4 substituent (R = H, Me, Me2CH, Me2CHCH2CH2, Me3C, cyclopropyl, cyclopentyl, cyclohexyl, PhCH2, 3-pyridylmethyl, Me2NCH2CH2; R1 = H, Me) were found to be optimal with regard to this dual mode of action. The most potent compound, E-guanidinophenylpyridylhexenoic acid I, "terbogrel" inhibits the thromboxane A2 synthase in human gel-filtered platelets with an IC50 value of  $4.0 \pm 0.5$  nM (n = 4). Radioligand binding studies with 3H-SQ 29,548 revealed that I blocks the thromboxane A2/endoperoxide receptor on washed human platelets with an IC50 of  $11 \pm 6$  nM (n = 2) and with an IC50 of  $38 \pm 1$  nM (n = 15) in platelet-rich plasma. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an IC50 of  $310 \pm 18$  nM (n = 8) and  $52 \pm 20$  nM (n = 6), resp. This was shown to translate into a potent antithrombotic effect in vivo as demonstrated in studies using a model of arterial thrombosis in rabbits (ED50 =  $0.19 \pm 0.07$  mg/kg; n = 20). I is the first compound with a guanidino moiety demonstrating both a potent TXA2 synthase inhibition

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
and a potent TXA2 receptor antagonism and has been selected for further clin. development.  
IT 149980-36-9P 149980-39-2P 149980-52-9P  
150760-40-0P 150760-42-2P  
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of alkylguanidinophenylpyridylalkenoic acids as antithrombotics and inhibitors of TXA2 synthase and antagonists of the TXA2 receptor)  
RN 149980-36-9 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[[[(cyanoamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



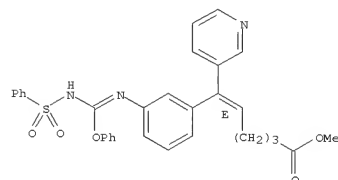
RN 149980-39-2 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(benzoylamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)



RN 149980-52-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[phenoxy[(phenylsulfonyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

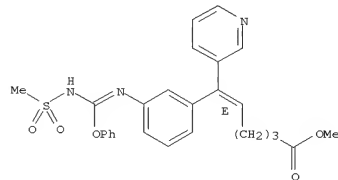
Double bond geometry as shown.

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-40-0 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(methylsulfonyl)amino]phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



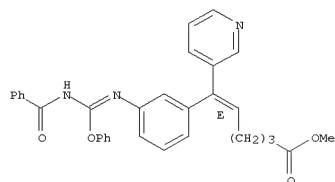
RN 150760-42-2 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(benzoylamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

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L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

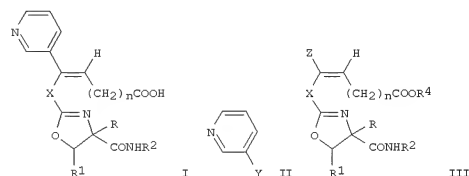
FORMAT

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:3310 CAPLUS  
DOCUMENT NUMBER: 130:52408  
TITLE: Processes for the preparation of  $\omega$ -(3-pyridinyl)- $\omega$ -[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonist activity  
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: U.S., 32 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849922	A	19981215	US 1997-862710	19970523
US 5990308	A	19991123	US 1998-151122	19980910
US 6031095	A	20000229	US 1998-150996	19980910
PRIORITY APPLN. INFO.:			US 1996-18749P	P 19960531
			US 1997-862710	A3 19970523

OTHER SOURCE(S): CASREACT 130:52408; MARPAT 130:52408  
GI

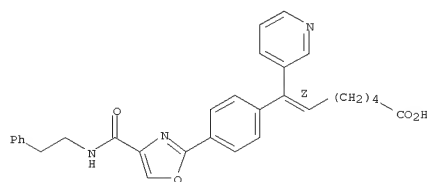


AB The title compds. I [n = 2-5; X = 1,2-C<sub>6</sub>H<sub>4</sub>, 1,3-C<sub>6</sub>H<sub>4</sub>, 1,4-C<sub>6</sub>H<sub>4</sub>; R = R<sup>1</sup> = H, RR<sup>1</sup> = double bond; R<sup>2</sup> = alkyl, alkenyl, alkynyl, 2-phenylcyclopropyl, C-4 substituted Ph, C-4 substituted cyclohexyl, R<sup>3</sup>-substituted alkyl or oxalkyl [R<sup>3</sup> = (un)substituted cycloalkyl, Ph, tetrahydropyranyl, morpholino, piperidino, pyrrolidino, etc.]] and their salts, which possess thromboxane receptor antagonism activity, inhibited thromboxane synthase, inhibited induced blood platelet aggregation, and demonstrated an absence of TXA<sub>2</sub> agonist activity, were prepared by Stille coupling reactions of

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridines II and alkenes III (Y, Z = Br, iodo, F<sub>3</sub>CSO<sub>3</sub>, trialkylstannyl;  
R<sup>4</sup> = carboxy protecting group) in the presence of a Stille palladium  
coupling catalyst. Alternatively, I were prepd. by Wittig olefination reactions  
of appropriate 3-pyridinyl oxazolylphenyl ketones.

IT 200400-82-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 200400-82-4 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.

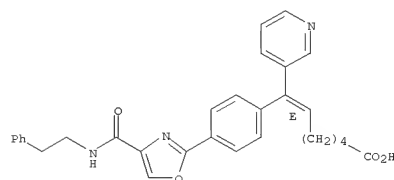


IT 200399-87-7P 200399-98-0P 200399-99-1P  
200400-00-6P 200400-02-8P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (pyridinyl)[(carbamoyloxazolyl)phenyl] alkenoic acids  
with thromboxane receptor antagonism and thromboxane synthase inhibiting activity)

RN 200399-87-7 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

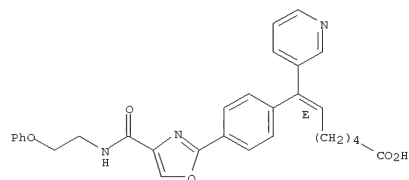
Double bond geometry as shown.

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



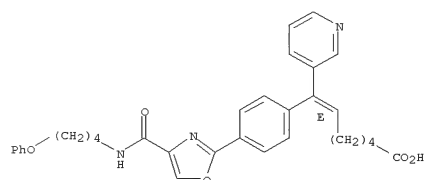
RN 200399-98-0 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

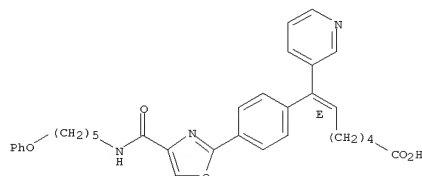


02/29/2008

10-566,291.trn

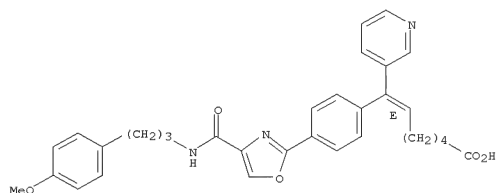
L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[5-phenoxypropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

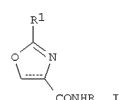
Double bond geometry as shown.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:816109 CAPLUS  
 DOCUMENT NUMBER: 130:66485  
 TITLE: Preparation of  $\omega$ -[(carbamoyl-2-oxazolyl)phenyl]- $\omega$ -(3-pyridyl)alkenoates as thromboxane A2 antagonists  
 INVENTOR(S): Jakubowski, Joseph Anothony; Mais, Dale Eugene; Takeuchi, Kumiko  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: U.S., 28 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

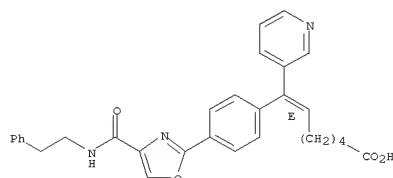
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849766	A	19981215	US 1997-862505	19970523
US 6075147	A	20000613	US 1998-148288	19980904
US 6114534	A	20000905	US 1998-148461	19980904
PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
			US 1997-862505	A3 19970523
OTHER SOURCE(S):			MARPAT 130:66485	
GI				



AB Title compds. [I; R = alk(en)yl, phenylalkyl, heterocyclalkyl, etc.; R1 = 2CR2:CH(CH2)nCO2H; R2 = 3-pyridyl throughout; Z = phenylene; n = 2-5; dashed line = optional bond] were prepared as thromboxane receptor and synthase antagonists. Thus, Me (E)-7-[(4-carboxyphenyl)-7-(3-pyridyl)-6-heptenoate was amidated by N-(4-cyclohexylbutyl)-O-(tert-butyl)dimethylsilyl-L-serinamide (preparation each given) and the deprotected product cyclized to give, after dehydrogenation and saponification, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, dashed line = bond].  
 Data for biol. activity of I were given.  
 IT 200399-87-7P 200399-98-0P 200399-99-1P

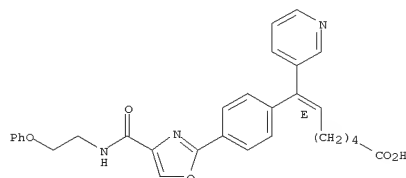
L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 200400-00-6P 200400-02-8P 200400-82-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of  $\omega$ -[(carbamoyl-2-oxazolyl)phenyl]- $\omega$ -(3-pyridyl)alkenoates as thromboxane A2 antagonists)  
 RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[2-phenylethyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[2-phenoxyethyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

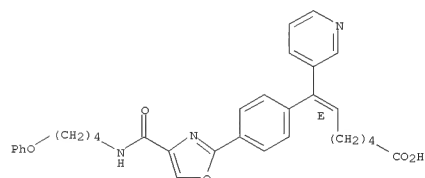
Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[4-phenoxybutyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

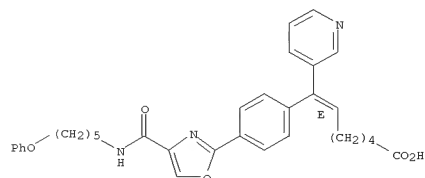
Double bond geometry as shown.

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



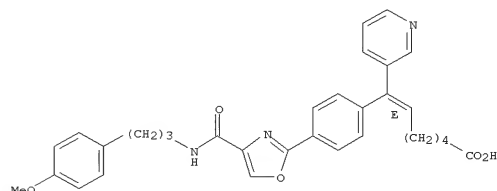
RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[5-phenoxypropyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

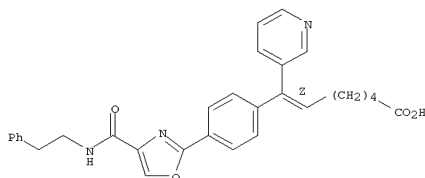


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L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 200400-82-4 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

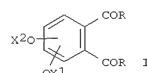
Double bond geometry as shown.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

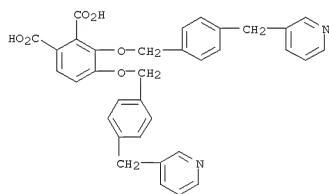
L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:768050 CAPLUS  
 DOCUMENT NUMBER: 130:52236  
 TITLE: Preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors, their pharmaceutical uses, and their intermediates  
 INVENTOR(S): Ichikawa, Yuichiro; Niizuma, Setsuko; Abe, Masatoshi; Takahashi, Wataru; Ikeda, Tatsuji; Takashio,  
 Kazutoshi  
 PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316617	A	19981202	JP 1997-141169	19970516
PRIORITY APPLN. INFO.:			JP 1997-141169	19970516
OTHER SOURCE(S):			MARPAT 130:52236	
GI				

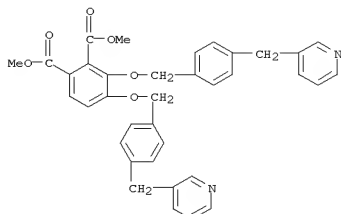


AB The title derivs. I [R = OH; X1, X2 = (un)substituted linear or branched C1-20 (un)saturated aliphatic hydrocarbyl, (un)substituted C2-8 alkyloxyalkyl, alkenyloxyalkyl, YZ [Y = (un)substituted C1-8 (hydroxy)alkyl, (un)substituted C2-8 alkyloxyalkyl, (un)substituted C2-8 alkylaminoalkyl; Z = (un)substituted aryl] (II); except the case where X1 = X2 = C1-3 alkyl, benzyl] and/or their pharmaceutically acceptable salts are prepared by hydrolyzing I [R = OR1, NR2R3; R1-3 = C1-6 alkyl, (un)substituted C7-10 aralkyl; X1, X2 = same as in II]. II and their salts are useful for treatment of infection, hypercholesterolemia, hyperlipemia, or atherosclerosis. IC50 of 3-farnesyloxy-4-[4-(3-phenoxyphenyl)butoxy]phthalic acid (preparation given) against *Aspergillus fumigatus* squalene synthase was 0.41 µg/mL. Antifungal activity against *A. fumigatus* and *Candida albicans*, and cholesterol formation-inhibiting action of II were also shown.  
 IT 217098-16-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

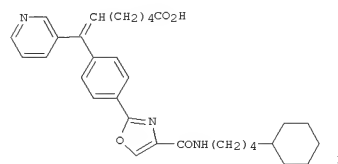
L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of dihydroxyphthalic acid diethers as squalene synthase inhibitors and pharmaceutical uses and intermediates)  
 RN 217098-16-3 CAPLUS  
 CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[4-(3-pyridinylmethyl)phenyl]methoxy] - (CA INDEX NAME)



IT 217098-15-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors and pharmaceutical uses and intermediates)  
 RN 217098-15-2 CAPLUS  
 CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[4-(3-pyridinylmethyl)phenyl]methoxy] -, dimethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:756609 CAPLUS  
 DOCUMENT NUMBER: 130:110182  
 TITLE: Development of Dual-Acting Agents for Thromboxane Receptor Antagonism and Thromboxane Synthase Inhibition. 3. Synthesis and Biological Activities of Oxazolecarboxamide-Substituted  $\omega$ -Phenyl- $\omega$ -(3-pyridyl)alkenoic Acid Derivatives and Related Compounds  
 AUTHOR(S): Takeuchi, Kumiko; Kohn, Todd J.; True, Timothy A.; Mais, Dale E.; Wikel, James H.; Utterback, Barbara G.;  
 Wyss, Virginia L.; Jakubowski, Joseph A.  
 CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5362-5374  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



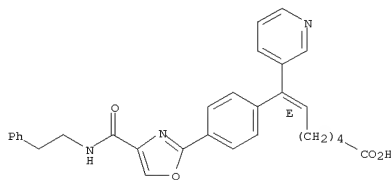
AB A novel series of oxazolecarboxamide-substituted  $\omega$ -phenyl- $\omega$ -(3-pyridyl)alkenoic acid derivs. was discovered as potent dual-acting agents to block the TXA2 receptor and to inhibit the thromboxane synthase (TRA/TSI). Synthesis, structure-activity relationship (SAR), and in vitro and in vivo pharmacol. of this series of compds. are described. Modification of the series revolved around the oxazole moiety to increase the hydrophilicity of the compds. and to correlate the biol. activity with lipophilicity of the compds. The most potent in the series was (E)-7-[4-[4-[(4-cyclohexylbutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridyl)hept-6-enoic acid (I) with Kd = 3.9 ± 0.4 nM for thromboxane receptor antagonism and IC50 = 55.0 ± 17.9 nM for thromboxane synthase inhibition. I was a selective TRA/TSI which exhibited desirable characteristics for oral activity, shunt effect to elevate PGI2 level, and absence of agonist activity.  
 IT 200399-87-7P 200399-98-0P 200399-99-1P  
 200400-00-6P 200400-02-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

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10-566,291.trn

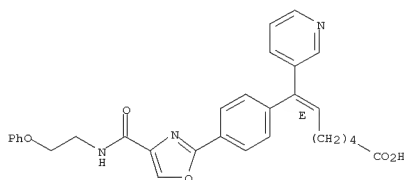
L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(prepn. and thromboxane receptor antagonist and thromboxane synthase  
inhibitor activity of carbamoyloxazolylphenyl(pyridyl)heptenoic acids)  
RN 200399-87-7 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-  
oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(2-phenoxyethyl)amino]carbonyl]-2-  
oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

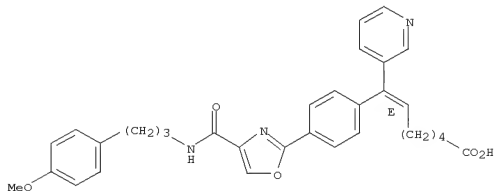
Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-  
oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

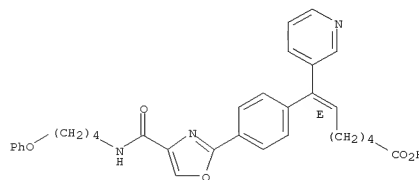
Double bond geometry as shown.

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



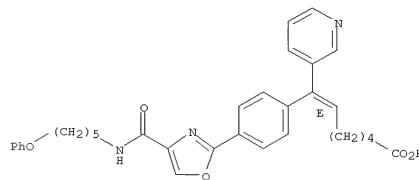
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 200400-00-6 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypropyl)amino]carbonyl]-2-  
oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-  
oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:713390 CAPLUS  
DOCUMENT NUMBER: 130:104775  
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARy Agonists.  
3. Structure-Activity Relationship and Optimization  
oF  
the N-Aryl Substituent  
AUTHOR(S): Cobb, Jeff E.; Blanchard, Steven G.; Boswell, Evan  
G.;  
Brown, Kathleen K.; Charifson, Paul S.; Cooper, Joel  
P.; Collins, Jon L.; Dezube, Milana; Henke, Brad R.;  
Hull-Ryde, Emily A.; Lake, Debra H.; Lenhard, James  
M.; Oliver, William, Jr.; Oplinger, Jeffery; Pentti,  
Mila; Parks, Derek J.; Plunket, Kelli D.; Tong,  
Wei-Qin  
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research  
Triangle Park, NC, 27709, USA  
SOURCE: Journal of Medicinal Chemistry (1998),  
41(25), 5055-5069  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

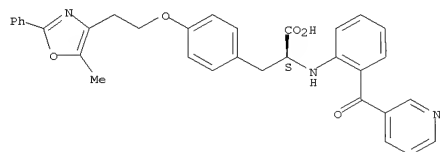
AB 3-[4-[2-(Benzoxazol-2-ylmethylamino)ethoxy]phenyl]-(2S)-((2-  
benzoylphenyl)amino)propionic acid (I) and  
(2S)-((2-benzoylphenyl)amino)-3-  
[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid (II) are  
peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) agonists  
and have antidiabetic activity in rodent models of type 2 diabetes. As  
part of an effort to develop the SAR of the N-2-benzoylphenyl moiety of I  
and II, a series of novel carboxylic acid analogs, modified only in the  
N-2-benzoylphenyl moiety were synthesized from L-tyrosine and evaluated  
as  
PPAR $\gamma$  agonists. In general, only modest changes in the  
N-2-benzoylphenyl moiety of I and II are tolerated. More specifically,  
the best changes involve bioisosteric replacement of one of the two Ph  
rings of this moiety. Addition of substituents to this moiety generally  
produced compds. that are less active in the cell-based functional assays  
of PPAR $\gamma$  activity although binding affinity to PPAR $\gamma$  may be  
maintained. A particularly promising set of analogs is the anthranilic  
acid esters in which the Ph ring in the 2-benzoyl group of I and II has  
been replaced by an alkoxy group. In particular,  
(S)-2-(1-carboxy-2-(4-[2-  
(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl)ethylamino)benzoic acid Me  
ester (III) has a pK<sub>i</sub> of 8.43 in the binding assay using human PPAR $\gamma$   
ligand binding domain and a pEC<sub>50</sub> of 9.21 in the in vitro murine  
lipogenesis functional assay of PPAR $\gamma$  activity. Finally, III was  
found to normalize glycemia when dosed at 3 mg/kg bid po in the Zucker  
diabetic fatty rat model of type 2 diabetes.  
IT 196808-91-0P  
RL: BAC (Biological activity or effector, except adverse); BPR  
(Biological

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10-566,291.trn

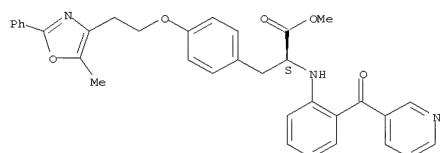
L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (prepn. and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPARy agonists)  
 RN 196808-91-0 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 196810-77-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPARy agonists)  
 RN 196810-77-2 CAPLUS  
 CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

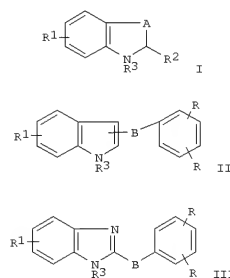


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:163566 CAPLUS  
 DOCUMENT NUMBER: 128:204806  
 TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors  
 INVENTOR(S): Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu  
 PATENT ASSIGNEE(S): Genetics Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 115 pp.  
 CODEN: PIXXD2  
 Patent  
 English  
 DOCUMENT TYPE:  
 LANGUAGE:  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

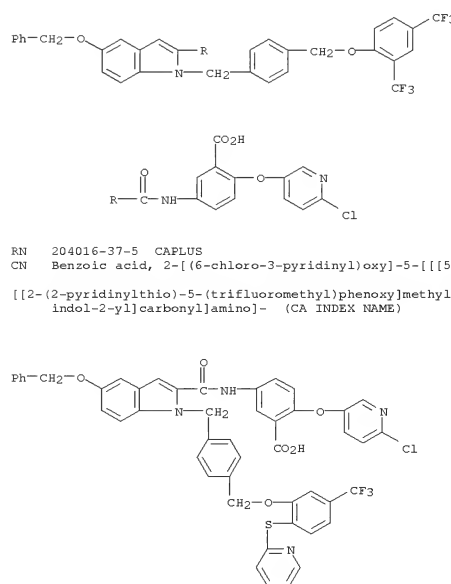
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808818	A1	19980305	WO 1997-US14943	19970826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264020	A1	19980305	CA 1997-2264020	19970826
AU 9740882	A	19980319	AU 1997-40882	19970826
AU 717430	B2	20000323		
EP 922028	A1	19990616	EP 1997-938589	19970826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000516958	T	20001219	JP 1998-511798	19970826
PRIORITY APPLN. INFO.: US 1996-703115 A 19960826				
WO 1997-US14943 W 19970826				
OTHER SOURCE(S): MARPAT 128:204806				
GI				

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I, II, III (A is independent of any other group and is selected from the group consisting of -CH2- and -CH2-CH2-; B is independent of any other group and is selected from the group consisting of -(CH2)n-, -(CH2O)n-, -(CH2S)n-, -(OCH2)n-, -(SCH2)n-, -(CH=CH)n-, -(C.tplbond.C)n-, -CON(R6)-, -N(R6)CO-, -O-, -S- and -N(R6)-; R2 is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR5, -CONR5R6, -(CH2)n-W-(CH2)m-Z-R5, -(CH2)n-W-R5, -Z-R5, C1-C10 alkyl, alkenyl and substituted aryl; R3 is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR5, -CONR5R6, -(CH2)n-W-(CH2)m-Z-R5, -(CH2)n-W-R5, -Z-R5 wherein: C1-C10 alkyl, alkenyl and substituted aryl) and a pharmaceutically acceptable salt thereof; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A2 were prepared Pharmaceutical compns. comprising such compds. and methods of treatment using such compns. are also disclosed.  
 IT 204016-36-4P 204016-37-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indole derivs. as phospholipase enzyme inhibitors)  
 RN 204016-36-4 CAPLUS  
 CN Benzoic acid, 5-[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 204016-37-5 CAPLUS  
 CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

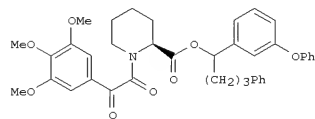
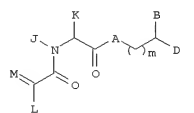
02/29/2008

10-566,291.trn

L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:157415 CAPLUS  
DOCUMENT NUMBER: 128:205136  
TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.  
INVENTOR(S): Armistead, David M.; Harding, Matthew W.; Saunders, Jeffrey O.; Boger, Joshua S.  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
SOURCE: U.S., 34 pp., Cont.-in-part of U.S. 5,620,971.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723459	A	19980303	US 1995-377315	19950124
US 5620971	A	19970415	US 1994-217982	19940325
<--			US 1991-697785	B2 19910509
<--			US 1992-881152	B2 19920511
<--			US 1992-952299	B2 19920928
<--			US 1993-127814	B2 19930928
<--			US 1994-217982	A2 19940325
OTHER SOURCE(S):	MARPAT 128:205136			
GI				

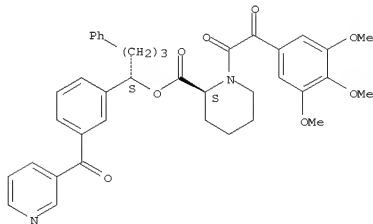
L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB The present invention relates to novel acylated amino acid esters I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC6H<sub>4</sub>CH<sub>2</sub>OH underwent oxidation to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to give the racemic alc. 3-PhOC6H<sub>4</sub>CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.  
IT 159997-79-2P 159997-80-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

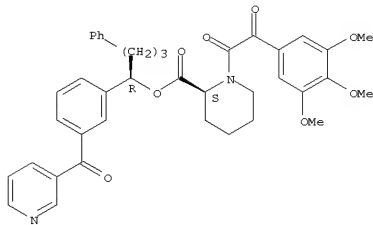
L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)  
RN 159997-79-2 CAPLUS  
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 159997-80-5 CAPLUS  
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

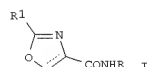
10-566,291.trn

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L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN
ACCESSION NUMBER: 1998:116096 CAPLUS
DOCUMENT NUMBER: 128:140692
TITLE: Preparation of  $\omega$ -(carbamoyloxazoly)phenyl]alke
noic acids as thromboxane receptor and synthase
inhibitors
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXKXW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 816361	A2	19980107	EP 1997-303656	19970529
<--	EP 816361	A3	19980408		
FI	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
	CA 2206469	A1	19971130	CA 1997-2206469	19970528
<--	JP 10059966	A	19980303	JP 1997-141619	19970530
<--					
PRIORITY APPLN. INFO.:				US 1996-18749P	P 19960531
<--					
				GB 1996-13219	A 19960625
OTHER SOURCE(S):	MARPAT 128:140692				
GI					

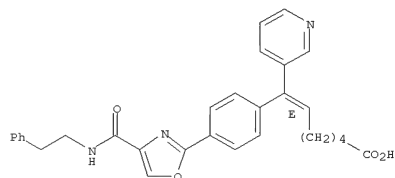


AB Title compds.: R = alk(en)yl, cycloalkyl, phenylalkyl, phenylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridyl; Z = phenylene; n = 2-5; dashed line = optional addnl. bond] were prepared. Thus, 4-(Me3CMe2Si(O)C6H4)CHO was condensed with 3-bromopyridine and the oxidized product condensed with BrPh3P(CH2)5CO2H to give, in 2 addnl. steps, (E)-4-(HO2C)C6H4CR2:CH(CH2)4CO2Me (R2 = 3-pyridyl) which was condensed with (S)-Me3CMe2Si(O)CH2CH(NH2)CONHR (R = 4-cyclohexylbutyl) (preparation given) to give, in 3 addnl. steps, 1-[R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]]-4, R2 = 3-pyridyl, dashed line = addnl. bond].

IT Data for biol. activity of I were given.

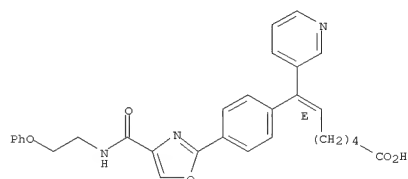
IT 200399-87-7P 200399-98-0P 200399-99-1P

L4	ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STIN (Continued)
	200400-00-6P 200400-02-8P 201993-62-6P
	RL: BAC (Biological activity or effector, except adverse); BSU
(Biological	study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
	BIOL (Biological study); PREP (Preparation); USES (Uses)
	[prep. of $\omega$ -(carbamoyloxazolyl)phenyl]alkenoic acids as
	thromboxane receptor and synthase inhibitors)
RN	20039-67-7 CAPLUS
CN	6-Heptenoic acid, -[4-[4-[(2-phenylethyl)amino]carbonyl]-2-
	oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)
	Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[[[2-phenoxyethyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

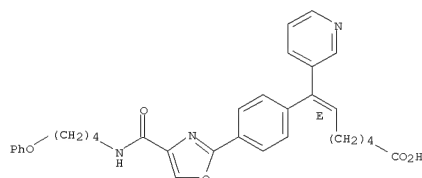
Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

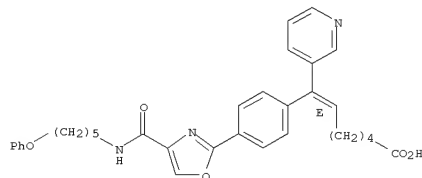
Double bond geometry as shown.

L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



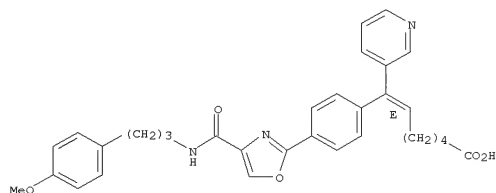
RN 200400-00-6 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[(5-phenoxypropyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

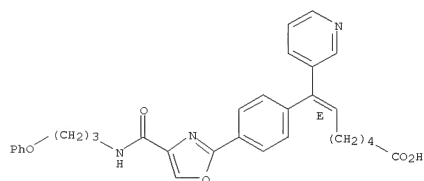
Double bond geometry as shown.



L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

201993-62-6 CAPLUS  
6-Heptenoic acid, 7-[4-[4-[(3-phenoxypropyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

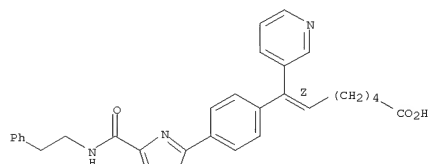
Double bond geometry as shown.



IT 200400-82-4P  
RL: BYP (Byproduct); PREP (Preparation)  
(preparation of  $\omega$ -[carbamoyloxazolyl]phenyl]alkenoic acids as  
thromboxane receptor and synthase inhibitors)

RN	200400-82-4	CAPLUS
CN	6-Heptenoic acid, 7-[4-[4-[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)	

Double bond geometry as shown.



02/29/2008

10-566,291.trn

L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:801923 CAPLUS  
 DOCUMENT NUMBER: 128:61507  
 TITLE: Preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists  
 INVENTOR(S): Jakubowski, Joseph Anthony; Mais, Dale Eugene; Takeuchi, Kumiko  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: Eur. Pat. Appl., 48 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811621	A2	19971210	EP 1997-303662	19970529
EP 811621	A3	19980204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
CA 2206466	A1	19971130	CA 1997-2206466	19970528
JP 10059965	A	19980303	JP 1997-141590	19970530
PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
<--			GB 1996-13222	A 19960625
<--				
OTHER SOURCE(S):	MARPAT 128:61507			
GI				

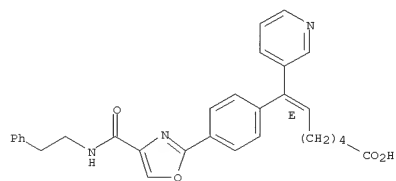
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; n = 2-5; L = ortho-, meta- or para-phenylene; Ra = H; RaRa = a bond; R = C3-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, etc.] in either the E-form, the Z-form or a mixture thereof, which are  $\omega$ -phenyl- $\omega$ -(3-pyridyl)- $\omega$ -alkenoic acid derivs. bearing a carbamoyl substituted oxazolyl or oxazolinyll group on the Ph ring and which demonstrate utility for thromboxane receptor antagonism and/or thromboxane synthase inhibition, were prepared and formulated. Thus, reaction of the acid II with L-serinamide III in the presence of HOBt and DCC in THF followed by TBS-group removal, cyclization of the resulting hydroxybisamide IV in the presence of PPh<sub>3</sub>, iPr<sub>2</sub>NET in CCl<sub>4</sub>/MeCN, and hydrolysis of the ester V afforded the acid (4S)-(E)-VI which showed IC<sub>50</sub> of 82.1 nM against thromboxane synthase.

IT 200399-87-7P 200399-98-0P 200399-99-1P  
 200400-00-6P 200400-02-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

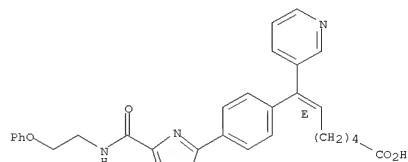
L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200399-87-7 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

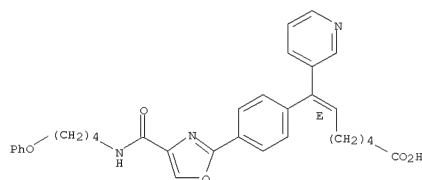
Double bond geometry as shown.



RN 200399-99-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(2-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

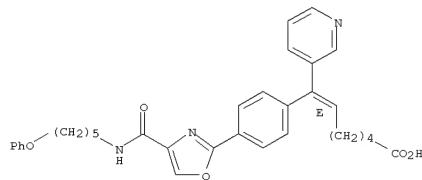
Double bond geometry as shown.

L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



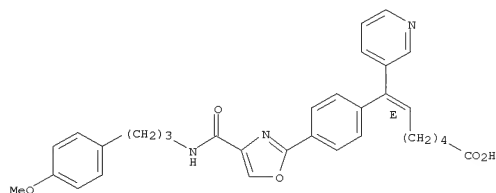
RN 200400-00-6 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(5-phenoxypropyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



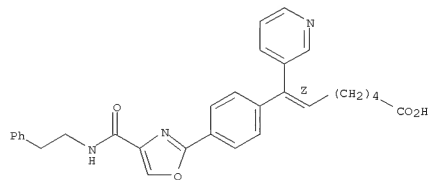
RN 200400-02-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(3-(4-methoxyphenyl)propyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



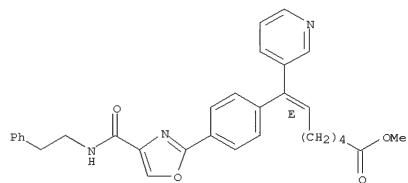
L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 200400-82-4P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200400-82-4 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



IT 200400-60-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)  
 RN 200400-60-8 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[4-[[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



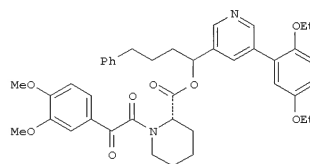
02/29/2008

10-566,291.trn

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:679057 CAPLUS  
 DOCUMENT NUMBER: 127:346300  
 TITLE: Preparation of  
 N-(aryloxyalkyl)piperidine-2-carboxylates  
 and analogs as multidrug resistance inhibitors  
 INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: FIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736869	A1	19971009	WO 1997-US4916	19970324
<p>-- W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG</p>				
US 5717092	A	19980210	US 1996-626259	19960329
CA 2249369	A1	19971009	CA 1997-2249369	19970324
AU 9723465	A	19971022	AU 1997-23465	19970324
EP 891331	A1	19990120	EP 1997-916231	19970324
EP 891331	B1	20031001		
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO</p>				
JP 2000507578	T	20000620	JP 1997-535395	19970324
AT 251140	T	20031015	AT 1997-916231	19970324
PT 891331	T	20040227	PT 1997-916231	19970324
ES 2208890	T3	20040616	ES 1997-916231	19970324
US 5935954	A	19990810	US 1997-961551	19971030
PRIORITY APPLN. INFO.:				
			US 1996-626259	A 19960329
			WO 1997-US4916	W 19970324
OTHER SOURCE(S): MARPAT 127:346300				
GI				

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

AB Title comps, e.g., R2122COZ3C(Z4R1)Z5R2 [R = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, alk(en)yl, (hetero)aryl, etc.; R1 = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, cycloalk(en)yl, (hetero)aryl, etc.; R2 = (hetero)aryl, halo, OH, etc.; Z1 = SO<sub>2</sub>, COCO; Z2 = pyrrolidine- or piperidine-1,2-diyl; Z3 = O, CH<sub>2</sub>, (alkyl)imino; Z4 = (heteroatom-interrupted) alk(en)ylene, alkynylene; Z5 = (hetero)arylene] were prepared. Thus, 3-bromo-5-(4-phenylbutyryl)pyridine was condensed with 2,5-(EtO)2C6H3B(OH)2 (preparation each given) and the reduced product esterified by (S)-N-(2-trimethylsilylethoxycarbonyl)pipecolic acid and the deprotected product N-acylated by 3,4-(MeO)2C6H3COCO2H to give title compound I. Data for biol. activity of title comps. were given.

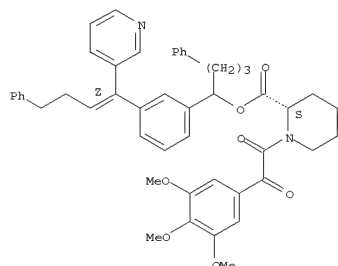
IT 198130-60-8P 198130-61-9P 198130-62-0P  
 198130-65-3P 198130-72-2P 198130-73-3P  
 198130-82-4P 198130-83-5P 198130-84-6P  
 198130-85-7P 198130-86-8P 198130-87-9P  
 198130-90-4P 198130-91-5P 198130-92-6P  
 198130-93-7P 198130-94-8P 198130-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(aryloxyalkyl)piperidine-2-carboxylates and analogs as multidrug resistance inhibitors)

RN 198130-60-8 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [2S-[2R'(Z)]]- (9CI) (CA INDEX NAME)

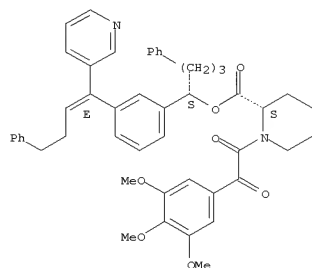
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-61-9 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

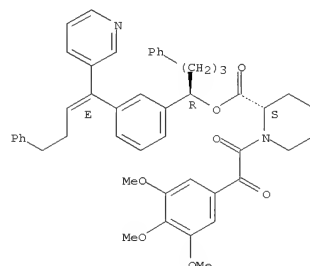
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-62-0 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

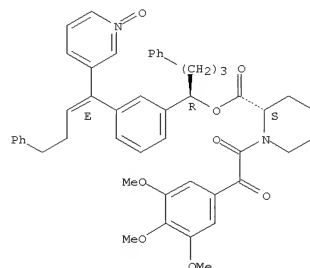
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-65-3 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-[1-(1-oxido-3-pyridinyl)-4-phenyl-1-butenyl]phenyl]-4-phenylbutyl ester, [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



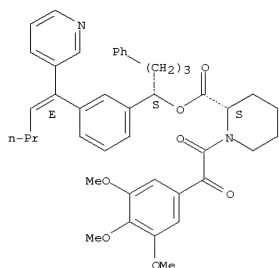
RN 198130-72-2 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

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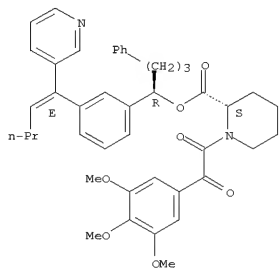
10-566,291.trn

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



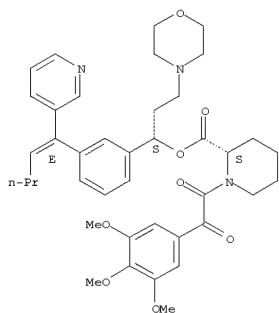
RN 198130-73-3 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



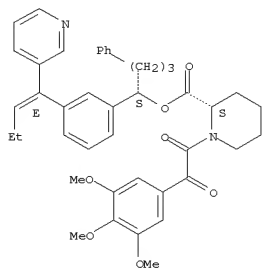
RN 198130-82-4 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-84-6 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

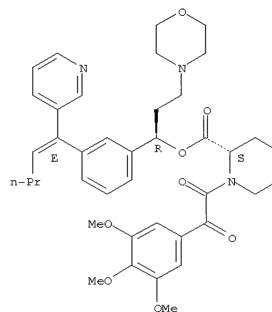
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-85-7 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



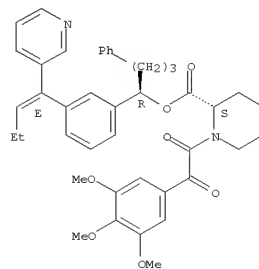
RN 198130-83-5 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



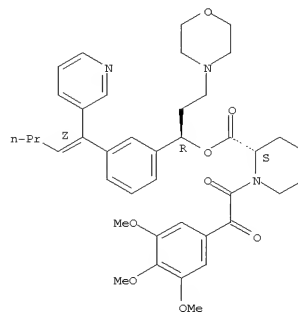
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-86-8 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [R-[R\*,S\*-(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



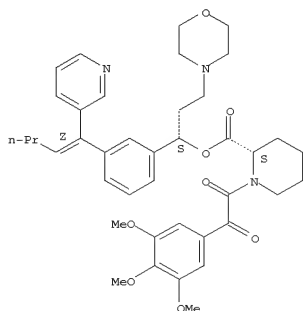
RN 198130-87-9 CAPLUS

02/29/2008

10-566,291.trn

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester,  
 [S-[R\*,R\*-(Z)]]- (9CI) (CA INDEX NAME)

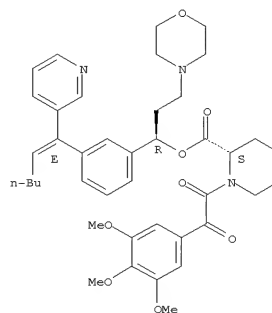
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-90-4 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester,  
 [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

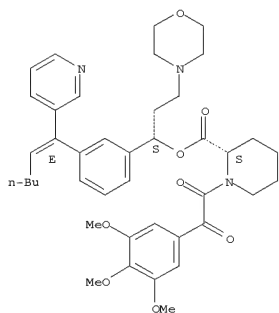
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-91-5 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester,  
 [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

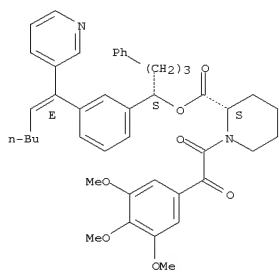
Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-92-6 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 4-phenyl-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester,  
 [S-[R\*,R\*-(E)]]- (9CI) (CA INDEX NAME)

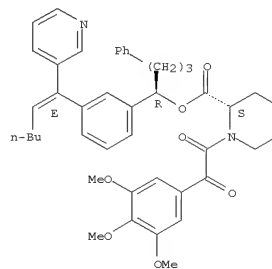
Absolute stereochemistry.  
 Double bond geometry as shown.



RN 198130-93-7 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 4-phenyl-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester,  
 [R-[R\*,S\*-(E)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.  
 Double bond geometry as shown.



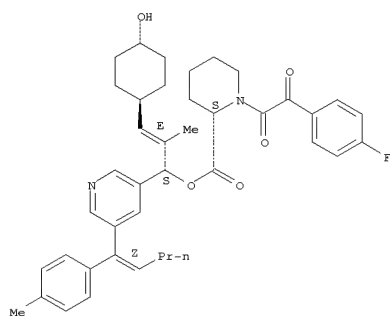
RN 198130-94-8 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-,  
 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-pyridinyl]-2-propenyl ester, [1(1S)-[1α[1R\*(R\*),1(Z),2E],4β]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

02/29/2008

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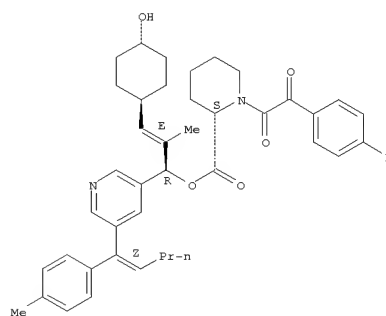
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-95-9 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-,  
 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-  
 pyridinyl]-2-propenyl ester, [1(R)-[1a(1R\*(S\*),1(Z),2E),4P]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:631662 CAPLUS  
 DOCUMENT NUMBER: 127:234285  
 TITLE: Thromboxane Modulating Agents. 3. 1H-Imidazol-1-ylalkyl- and 3-Pyridinylalkyl-Substituted 3-[2-((Arylsulfonyl)amino)ethyl]benzenepropanoic Acid Derivatives as Dual Thromboxane Synthase Inhibitor/Thromboxane Receptor Antagonists  
 AUTHOR(S): Dickinson, Roger P.; Dack, Kevin N.; Long, Clive J.; Steele, John  
 CORPORATE SOURCE: Pfizer Central Research, Sandwich/Kent, CT13 9NJ, UK  
 SOURCE: Journal of Medicinal Chemistry (1997), 40(21), 3442-3452  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

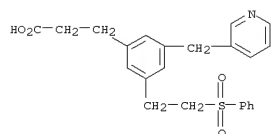
AB The design of a series of dual thromboxane synthase inhibitor/thromboxane receptor antagonists based on a 3-[2-((arylsulfonyl)amino)ethyl]benzenepropanoic acid thromboxane receptor antagonist template is described. Introduction of a 5-(1H-imidazol-1-ylmethyl), a 5-(3-pyridinylmethyl), or a 5-(3-pyridinylalkoxy) substituent leads to dual agents with thromboxane synthase inhibitory activity comparable with that of dazmegrel. In addition, 3-pyridinylalkyl substituents also make a significant contribution to thromboxane receptor binding. Oral administration of compound 74 (5 mg/kg)

to conscious dogs produces long-lasting thromboxane synthase inhibition and thromboxane receptor blockade as measured by inhibition of U46619-induced platelet aggregation ex vivo.

IT 145692-11-1P 145692-12-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU

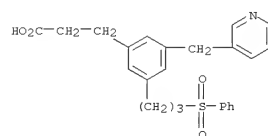
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of imidazolyl- and pyridinyl-substituted [[(arylsulfonyl)amino]ethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)

RN 145692-11-1 CAPLUS  
 CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-  
 (CA INDEX NAME)



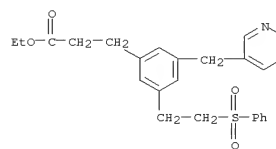
RN 145692-12-2 CAPLUS  
 CN Benzenepropanoic acid, 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
 (CA INDEX NAME)

L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

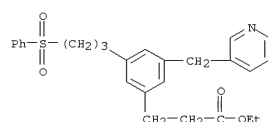


IT 145691-13-0P 145691-14-1P 145691-70-9P  
 145691-71-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of imidazolyl- and pyridinyl-substituted [[(arylsulfonyl)amino]ethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)

RN 145691-13-0 CAPLUS  
 CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-  
 ethyl ester (CA INDEX NAME)



RN 145691-14-1 CAPLUS  
 CN Benzenepropanoic acid, 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
 ethyl ester (CA INDEX NAME)

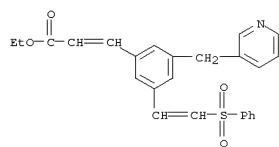


RN 145691-70-9 CAPLUS  
 CN 2-Propenoic acid, 3-[3-[2-(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (CA INDEX NAME)

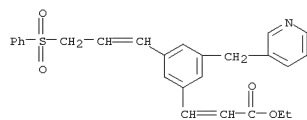
02/29/2008

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L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 145691-71-0 CAPLUS  
CN 2-Propenoic acid, 3-[3-[3-(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

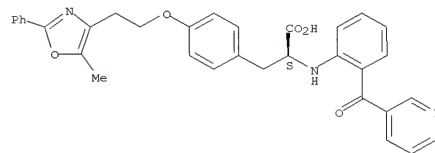
L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
AT 205485 T 20010915 AT 1997-906130 19970226  
-- ES 2163125 T3 20020116 ES 1997-906130 19970226  
-- PT 888317 T 20020328 PT 1997-906130 19970226  
-- SK 282753 B6 20021203 SK 1998-1163 19970226  
-- HR 970110 B1 20030630 HR 1997-110 19970226  
-- IN 1997DE00491 A 20050311 IN 1997-DE491 19970226  
-- CZ 295383 B6 20050713 CZ 1998-2750 19970226  
-- PL 191118 B1 20060331 PL 1997-328871 19970226  
-- TW 391958 B 20000601 TW 1997-86102826 19970307  
-- US 6294580 B1 20010925 US 1998-125750 19980825  
-- NO 9803940 A 19981027 NO 1998-3940 19980827  
-- NO 311516 B1 20011203  
HK 1015369 A1 20020215 HK 1999-100498 19990205  
-- PRIORITY APPLN. INFO.: GB 1996-4242 A 19960228  
-- WO 1997-EP916 W 19970226

OTHER SOURCE(S): MARPAT 127:278064  
AB Compds. 4-(A-B-O)C6H4-Q-CH2CO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylphenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.  
IT 196808-91-OP 196814-71-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)  
RN 196808-91-0 CAPLUS  
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)  
Absolute stereochemistry.

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1997:594721 CAPLUS  
DOCUMENT NUMBER: 127:278064  
TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma  
INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.  
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
SOURCE: PCT Int. Appl., 157 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

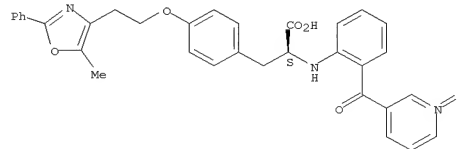
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226
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AU 9720935	A	19970916	AU 1997-20935	19970226
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AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226
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EP 888317	A1	19990107	EP 1997-906130	19970226
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EP 888317	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1218460	A	19990602	CN 1997-193988	19970226
--				
CN 1093124	B	20021023		
BR 9707786	A	19990727	BR 1997-7786	19970226
--				
JP 2000507216	T	20000613	JP 1997-530586	19970226
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JP 3255930	B2	20020212		
NZ 331381	A	20000623	NZ 1997-331381	19970226
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HU 2000004845	A2	20010528	HU 2000-4845	19970226
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HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226
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L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196814-71-8 CAPLUS  
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-[(1-oxido-3-pyridinyl)carbonyl]phenyl]- (CA INDEX NAME)

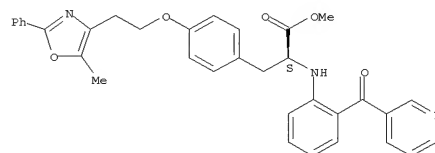
Absolute stereochemistry.



IT 196810-77-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196810-77-2 CAPLUS  
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



02/29/2008

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L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

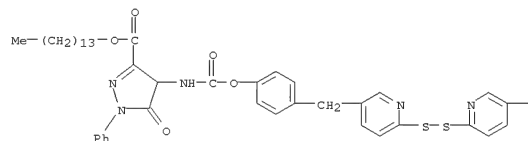
L4 ANSWER 58 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:480406 CAPLUS  
DOCUMENT NUMBER: 127:101723  
TITLE: Developing agent for silver halide photographic material and processing method using it  
INVENTOR(S): Komatsu, Hideki; Nishio, Shoji  
PATENT ASSIGNEE(S): Konica Co., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 73 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09152687	A	19970610	JP 1995-312247	19951130

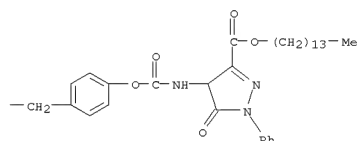
<-- PRIORITY APPLN. INFO.: JP 1995-312247 19951130  
<-- OTHER SOURCE(S): MARPAT 127:101723  
AB The agent contains an ascorbic acid derivative R1C(OM1):C(OM2)XkR2 [R1-2 = (un)substituted alkyl, amino, alkoxy, alkylthio; R1 and R2 may form ring; k = 0, 1; X = CO, CS if k = 1; M1-2 = H, alkali metal] and a redox compound releasing a development inhibitor by oxidation and does not contain hydroquinones. The material is processed by using the agent. The agent shows improved dot reproduction quality.  
IT 191655-14-8  
RL: TEM (Technical or engineered material use); USES (Uses) (ascorbic acid developer containing redox compound for silver halide photog. material)  
RN 191655-14-8 CAPLUS  
CN 1H-Pyrazole-3-carboxylic acid, 4,4'-[dithiobis(2,5-pyridinediyl)methylene-4,1-phenyleneoxy-carbonylimino]bis[4,5-dihydro-5-oxo-1-phenyl-, ditetradecyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 58 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:307496 CAPLUS  
DOCUMENT NUMBER: 126:272378  
TITLE: Methods and compositions for stimulating neurite growth using compounds with affinity for FKBP12 in combination with neurotrophic factors  
INVENTOR(S): Armistead, David M.  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: S. African, 54 pp.  
CODEN: SFXKAB  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 9604852	A	19960729	ZA 1996-4852	19960607

<-- US 6037370 A 20000314 US 1995-486004 19950608  
<-- CA 2222430 A1 19961227 CA 1996-2222430 19960606  
<-- WO 9641609 A2 19961227 WO 1996-US10123 19960606  
<-- W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG  
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN  
AU 9661119 A 19970109 AU 1996-61119 19960606  
<-- EP 831812 A2 19980401 EP 1996-918469 19960606  
<-- EP 831812 B1 20051207  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI  
CN 1202104 A 19981216 CN 1996-195690 19960606  
<-- BR 9609333 A 19991013 BR 1996-9333 19960606  
<-- NZ 310339 A 20000327 NZ 1996-310339 19960606  
<-- NZ 501709 A 20001027 NZ 1996-501709 19960606  
<-- JP 2002502355 T 20020122 JP 1997-503275 19960606  
<-- IL 122346 A 20020523 IL 1996-122346 19960606  
<-- IL 136118 A 20021201 IL 1996-136118 19960606  
<-- RU 2197240 G2 20030127 RU 1998-100456 19960606  
<-- PL 185798 B1 20030731 PL 1996-328723 19960606  
<-- AT 311875 T 20051215 AT 1996-918469 19960606  
<-- EP 1666037 A2 20060607 EP 2005-26521 19960606  
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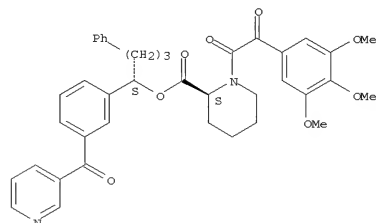
10-566,291.trn

L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 EP 1666037 A3 20060621  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI  
 ES 2255077 T3 20060616 ES 1996-918469 19960606  
 <-- US 6124328 A 20000926 US 1997-795956 19970228  
 <-- AU 2000043801 A 20000907 AU 2000-43801 20000703  
 <-- AU 757406 B2 20030220  
 US 6326387 B1 20011204 US 2000-616539 20000714  
 <-- JP 2007308517 A 20071129 JP 2007-227995 20070903  
 <-- PRIORITY APPLN. INFO.:  
 <-- US 1995-486004 A 19950608  
 <-- AU 1996-61119 A3 19960606  
 <-- EP 1996-918469 A3 19960606  
 <-- IL 1996-122346 A3 19960606  
 <-- JP 1997-503275 A3 19960606  
 <-- NZ 1996-310339 A1 19960606  
 <-- WO 1996-US10123 W 19960606  
 <-- US 1997-795956 A3 19970228  
 <-- OTHER SOURCE(S): MARPAT 126:272378  
 AB A pharmaceutically acceptable composition is disclosed which comprises  
 (a) a neurotrophic amount of a compound with affinity for FK-506-binding  
 protein  
 FKBP12 e.g. having the formula BAC(:O)CH(K)N(J)C(:O)C(:E)D [A = O, NH,  
 N(Cl-4 alkyl); B = H, Cl-6 (branched) alkyl, C2-6 (branched) alkenyl,  
 C5-7 cycloalkyl, etc.; D = U; E = O, CHU (if D = H, then E = CH-U; if E = O,  
 then D is not H); U = H, O-(Cl-4)-straight or branched alkyl,  
 O-(C2-4)-straight or branched alkenyl, Cl-6 (branched) alkyl, C2-6  
 (branched) alkenyl, (substituted) C5-7 cycloalkyl, (substituted) C5-7  
 cycloalkenyl, etc.; J = H, Cl-2 alkyl; K = Cl-4 (branched) alkyl, benzyl,  
 cyclohexylmethyl, or J and K taken together form 5-7 membered  
 heterocyclic  
 ring which may contain O, S, SO, SO2; and the stereochem. at carbon to  
 which K is bonded = R or S] and pharmaceutically acceptable derivs.  
 thereof; (b) a neurotrophic factor; and (c) a pharmaceutically carrier.  
 The neurotrophic factor may be e.g. nerve growth factor. The methodol.  
 of  
 the invention can be used to promote repair of neuronal damage caused by  
 disease or phys. trauma.  
 IT 159997-79-2 159997-80-5  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological

L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

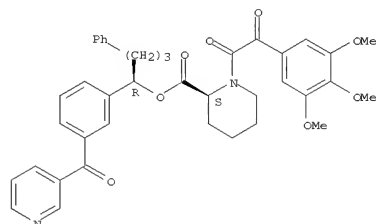
L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES  
 (Uses)  
 (comps. with affinity for FKBP12 in combination with neurotrophic  
 factors for stimulating neurite growth)  
 RN 159997-79-2 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



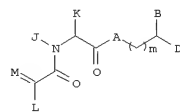
RN 159997-80-5 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-,  
 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

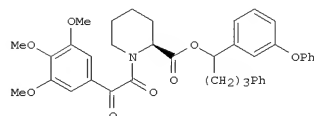


L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:276774 CAPLUS  
 DOCUMENT NUMBER: 126:343875  
 TITLE: Preparation of acylated amino acid derivatives for  
 multi-drug resistance therapies and immune  
 suppression.  
 INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger,  
 Joshua S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 881,152,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620971	A	19970415	US 1994-217982	19940325
US 5723459	A	19980303	US 1995-377315	19950124
PRIORITY APPLN. INFO.:			US 1991-697785	B2 19910509
<--			US 1992-881152	B2 19920511
<--			US 1992-952299	B2 19920928
<--			US 1993-127814	B2 19930928
<--			US 1994-217982	A2 19940325
OTHER SOURCE(S):			MARPAT 126:343875	
GI				



I



III

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L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

AB The present invention relates to novel acylated amino acid esters I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)arylalkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)arylalk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported,

including both single and mixed diastereomers. Thus, 3-PhOC6H<sub>4</sub>CH<sub>2</sub>OH underwent oxidation to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to

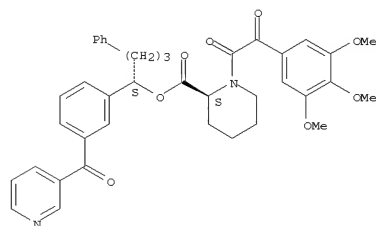
give the racemic alc. 3-PhOC6H<sub>4</sub>CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT 159997-79-2P 159997-80-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

RN 159997-79-2 CAPLUS  
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

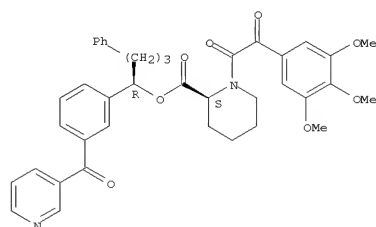
Absolute stereochemistry.

L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



RN 159997-80-5 CAPLUS  
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

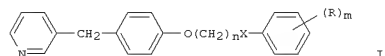


L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 1997:234340 CAPLUS  
DOCUMENT NUMBER: 126:225224  
TITLE: Preparation of pyridylmethylphenyl derivatives as antihyperlipemics  
INVENTOR(S): Mochizuki, Nobuo; Ueda, Akiyoshi; Suzuki, Tatsumi; Hatano, Masami; Uchida, Seiichi; Umeda, Nobuhiro; Yamada, Hirokazu  
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 24 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706142	A1	19970220	WO 1996-JP2245	19960808

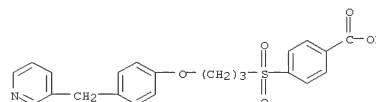
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN  
AU 9666692 A 19970305 AU 1996-66692 19960808  
<--  
PRIORITY APPLN. INFO.: JP 1995-225790 A 19950810  
<--  
JP 1996-197064 A 19960708  
<--  
WO 1996-JP2245 W 19960808  
<--  
OTHER SOURCE(S): MARPAT 126:225224  
GI



AB The title 3-(4-alkoxybenzyl)pyridine derivs. represented by general formula (I; X = NR<sub>1</sub> (R<sub>1</sub> = H or Cl-6 alkyl), O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHMe or NHSO<sub>2</sub>; n = 0 or an integer of 1 to 9; R = Cl-6 alkyl, halo, Cl-6 alkoxy, HO, CO<sub>2</sub>R<sub>2</sub> (R<sub>2</sub> = H or Cl-6 alkyl); m = 0, 1, 2, 3) or medicinally acceptable salts thereof, which inhibit the biosynthesis of cholesterol owing to the inhibitory activity on squalene-2,3-oxide cyclase and show low toxicity, are prepared. Thus, 0.7 g 4-(3-pyridylmethyl)phenol and 0.66 g K<sub>2</sub>CO<sub>3</sub> were added to 30 mL DMF, followed by adding 1.1 g 3-chloro-1-(4-chlorophenylsulfonyl)propane, and the resulting mixture was stirred at 100° for 16 h to give [(benzenesulfonylpropoxy)benzyl]py

L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)  
ridine I [n = 3, X = SO<sub>2</sub>, (R)m = p-Cl]. This compd. in vitro showed IC<sub>50</sub> of 0.38 μM for inhibiting the biosynthesis of cholesterol (Biophysica Acta, 486,70-81, 1977) and in vivo at 10 mg/kg p.o. inhibited 80% the biosynthesis of cholesterol in mice.

IT 188128-29-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridylmethylphenyl derivs. as antihyperlipemics)  
RN 188128-29-2 CAPLUS  
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



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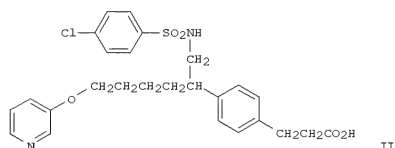
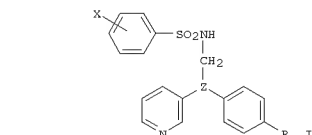
10-566,291.trn

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:2234 CAPLUS  
 DOCUMENT NUMBER: 126:31271  
 TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals  
 INVENTOR(S): Tatsugami, Shinichi; Onishi, Hiroyuki; Morimoto, Katsumi  
 PATENT ASSIGNEE(S): Terumo Corp, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309

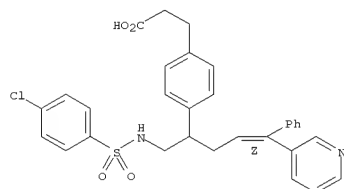
<-- PRIORITY APPLN. INFO.:

<-- OTHER SOURCE(S): MARPAT 126:31271  
 GI



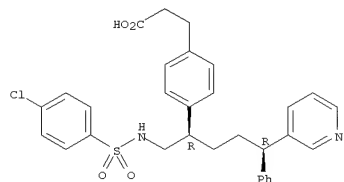
AB The title compds. I [X = H, halo, etc.; Z = O(CH<sub>2</sub>)<sub>m</sub>CH, etc.; R = (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed IC<sub>50</sub> of 0.039 x 10<sup>-6</sup> M against U-46619-induced platelet aggregation.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



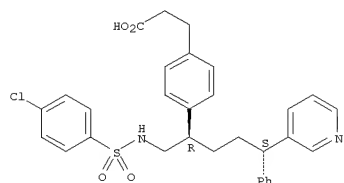
RN 184419-32-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

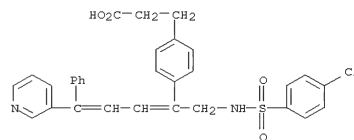


RN 184653-31-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

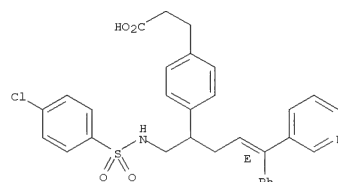


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 IT 184419-26-9P 184419-28-1P 184419-30-5P  
 184419-32-7P 184653-31-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-26-9 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]- (9CI) (CA INDEX NAME)



RN 184419-28-1 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

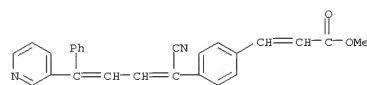


RN 184419-30-5 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, (Z)- (9CI) (CA INDEX NAME)

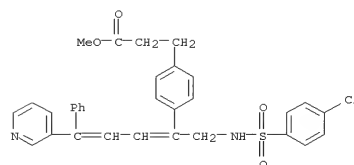
Double bond geometry as shown.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

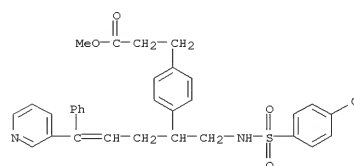
IT 184419-57-6P 184419-58-7P 184419-59-8P  
 184419-60-1P 184419-61-2P 184419-62-3P  
 184419-63-4P 184653-33-6P 184653-34-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)  
 RN 184419-57-6 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[1-cyano-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 184419-58-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 184419-59-8 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, methyl ester (9CI) (CA INDEX NAME)

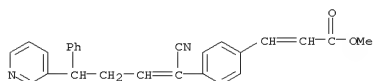


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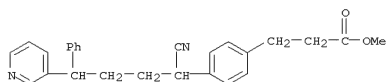
10-566,291.trn

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

RN 184419-60-1 CAPLUS  
 CN 2-Propenoic acid,  
 3-[4-[1-cyano-4-phenyl-4-(3-pyridinyl)-1-butenyl]phenyl]-  
 , methyl ester (9CI) (CA INDEX NAME)

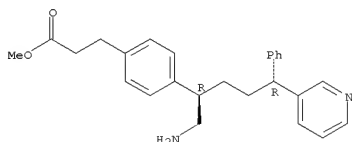


RN 184419-61-2 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl  
 ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
 methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

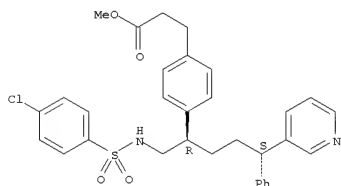
Relative stereochemistry.



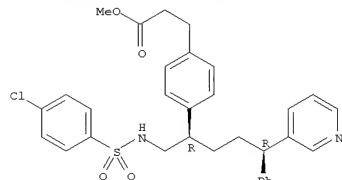
RN 184419-63-4 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-  
 phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX  
 NAME)

Relative stereochemistry.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

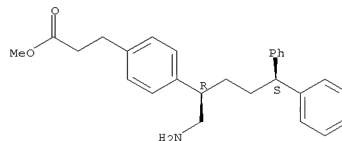


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



RN 184653-33-6 CAPLUS  
 CN Benzenepropanoic acid,  
 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,  
 methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 184653-34-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-  
 phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX  
 NAME)

Relative stereochemistry.

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 1996:629551 CAPLUS  
 DOCUMENT NUMBER: 126:25565  
 TITLE: Ferroelectric and antiferroelectric liquid  
 crystalline

AUTHOR(S): phases in some pyridine carboxylic acid derivatives  
 Kasthuriah, N.; Sadashiva, B. K.; Krishnaprasad, S.;  
 Nair, Geetha G.  
 CORPORATE SOURCE: Raman Research Institute, Bangalore, 560 080, India  
 SOURCE: Journal of Materials Chemistry (1996),  
 6(10), 1619-1625  
 CODEN: JMACEP; ISSN: 0959-9428

PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The synthesis and mesomorphic properties of two series of compds. viz.  
 (S)-(+)-4-(1-methylheptyloxy)phenyl 4'-(6"-alkoxy-pyridine-3-  
 carbonyloxy)benzoates and (S)-(+)-1-methylheptyl

4-[4'-(6"-alkoxy-pyridine-  
 3-carbonyloxy)benzoyloxy]-benzoates are reported. The homologs of the  
 former series exhibit smectic A and smectic C\* phases while the derivs.

of the latter series show rich polymesomorphism including the antiferroelec.  
 phase. The mesophases were characterized by using optical polarizing  
 microscopy and differential scanning calorimetric methods. Some phys.  
 properties such as the spontaneous polarization, helical pitch, tilt

angle and relative permittivity of two derivs. also were studied.

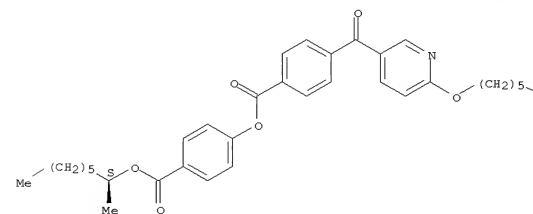
IT 184343-53-1P 184343-55-3P 184343-58-6P  
 184343-59-7P 184343-60-0P 184343-61-1P  
 184343-62-2P

RL: PRP (Properties); SPN (Synthetic preparation); TEM (Technical or  
 engineered material use); PREP (Preparation); USES (Uses)  
 (in pyridine carboxylic acid derivative liquid crystal preparation)

RN 184343-53-1 CAPLUS  
 CN Benzoic acid, 4-[[[6-(hexyloxy)-3-pyridinyl]carbonyl]-,  
 4-[[[1-methylheptyloxy]carbonyl]phenyl] ester, (S)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.

PAGE 1-A



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L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

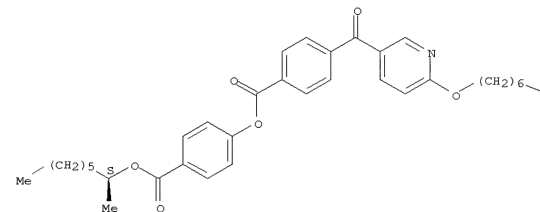
PAGE 1-B

Me

RN 184343-55-3 CAPLUS  
CN Benzoic acid, 4-[[6-(heptyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

PAGE 1-A



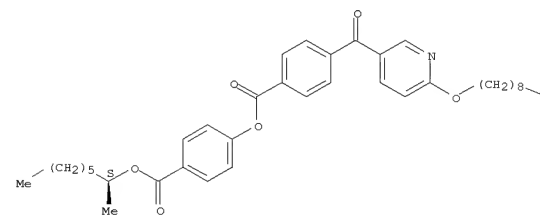
PAGE 1-B

Me

RN 184343-58-6 CAPLUS

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



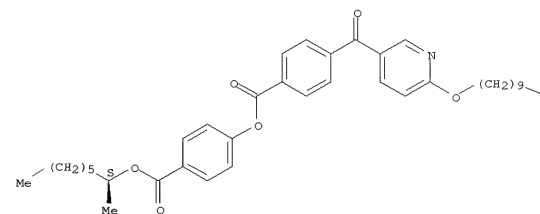
PAGE 1-B

Me

RN 184343-60-0 CAPLUS  
CN Benzoic acid, 4-[[6-(decyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

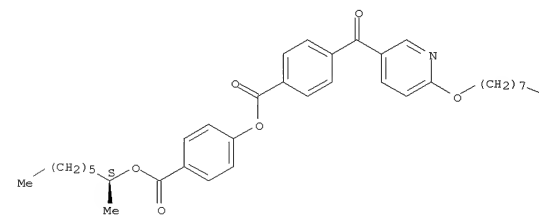
PAGE 1-A



L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN Benzoic acid, 4-[[6-(octyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

RN 184343-59-7 CAPLUS  
CN Benzoic acid, 4-[[6-(nonyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

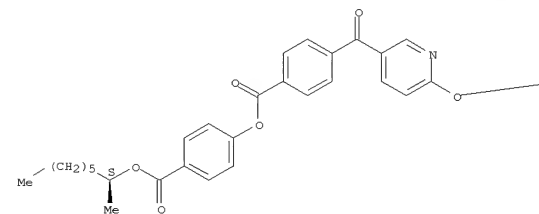
PAGE 1-B

Me

RN 184343-61-1 CAPLUS  
CN Benzoic acid, 4-[[6-(undecyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

PAGE 1-A



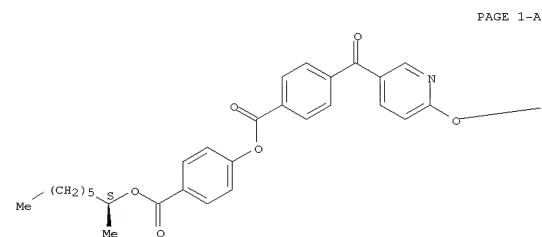
PAGE 1-B

(CH2)10 Me

RN 184343-62-2 CAPLUS  
CN Benzoic acid, 4-[[6-(dodecyloxy)-3-pyridinyl]carbonyl]-,  
4-[[[1-(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX  
NAME)

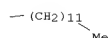
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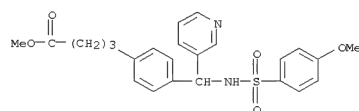
L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

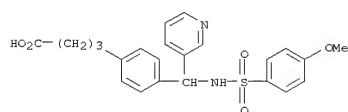


L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1996:542084 CAPLUS  
DOCUMENT NUMBER: 125:237586  
TITLE: Synthesis of  
[[[(benzenesulfonamido)alkyl]phenyl]alkanoic acid derivatives containing pyridyl or imidazolyl groups and their thromboxane A<sub>2</sub> receptor antagonistic and thromboxane A<sub>2</sub> synthase inhibitory activities  
AUTHOR(S): Sakurai, Shunichiro; Ogawa, Nobuo; Suzuki, Tomio; Kato, Ken-ichi; Ohashi, Tetsuo; Yasuda, Shingo; Kato, Hideo  
CORPORATE SOURCE: Res. Dev. Div., Hokuriku Seiyaku Co., Ltd., Fukui, 911, Japan  
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(8), 1510-1520  
CODEN: CPBTAL; ISSN: 0009-2363  
PUBLISHER: Pharmaceutical Society of Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB As part of our search for a dual inhibitor possessing both thromboxane A<sub>2</sub> (TXA<sub>2</sub>) receptor antagonistic and TXA<sub>2</sub> synthase inhibitory activities, some [[[(benzenesulfonamido)alkyl]phenyl]alkanoic acid derivs. possessing a pyridyl or imidazolyl group were synthesized. Their TXA<sub>2</sub> receptor antagonistic and TXA<sub>2</sub> synthase inhibitory activities were evaluated in terms of the inhibitory effects on U-46619-induced guinea-pig platelet aggregation and on thromboxane B<sub>2</sub> (TXB<sub>2</sub>) production in human platelets, resp.  
It was found that 3-[4-[2-(1-imidazolyl)-1-(4-chlorobenzenesulfonamido)ethyl]phenyl]propionic acid, containing an imidazolyl group, is a well-balanced dual inhibitor having both TXA<sub>2</sub> receptor antagonistic activity (IC<sub>50</sub> = 0.31 μM) and TXA<sub>2</sub> synthase inhibitory activity (IC<sub>50</sub> = 0.39 μM).  
IT 181763-01-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A<sub>2</sub> receptor antagonistic and thromboxane A<sub>2</sub> synthase inhibitory activities)  
RN 181763-01-9 CAPLUS  
CN Benzenebutanoic acid, 4-[[[(4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl]-, methyl ester (CA INDEX NAME)



L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 181762-33-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A<sub>2</sub> receptor antagonistic and thromboxane A<sub>2</sub> synthase inhibitory activities)  
RN 181762-33-4 CAPLUS  
CN Benzenebutanoic acid, 4-[[[(4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl]- (CA INDEX NAME)



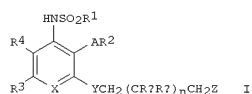
L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1996:509477 CAPLUS  
DOCUMENT NUMBER: 125:141807  
TITLE: Preparation of aryl- and hetarylsulfonamide derivatives and their use as endothelin antagonists  
Brenu, Volker; Burri, Kaspar; Cassal, Jean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri  
F. Hoffmann-La Roche Ag, Switz.  
PCT Int. Appl., 95 pp.  
CODEN: PIXXD2  
PATENT ASSIGNEE(S): Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619455	A1	19960627	WO 1995-EP4762	19951204
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W:	AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN			
RW:	KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2208011	A1	19960627	CA 1995-2208011	19951204
AU 9643016	A	19960710	AU 1996-43016	19951204
AU 695255	B2	19980813		
EP 799206	A1	19971008	EP 1995-941660	19951204
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EP 799206	B1	20020911		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, NE, SN, TD, TG			
CN 1170405	A	19980114	CN 1995-196959	19951204
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CN 1136191	B	20040128		
JP 10500997	T	19980127	JP 1995-519459	19951204
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JP 2930731	B2	19990803		
HU 77307	A2	19980330	HU 1997-1811	19951204
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BR 9510533	A	19980714	BR 1995-10533	19951204
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RU 2163598	C2	20010227	RU 1997-112144	19951204
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CZ 289090	B6	20011017	CZ 1997-1873	19951204
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AT 223899	T	20020915	AT 1995-941660	19951204
<--				
PT 799206	T	20030131	PT 1995-941660	19951204
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ES 2180664	T3	20030216	ES 1995-941660	19951204
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TW 474920	B	20020201	TW 1995-84113009	19951206
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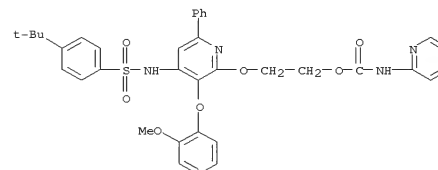
10-566,291.trn

L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
IN 1995MA01619 A 20050225 IN 1995-MA1619 19951208  
-- ZA 9510514 A 19960620 ZA 1995-10614 19951213  
-- IL 116410 A 20000813 IL 1995-116410 19951215  
-- FI 9702629 A 19970618 FI 1997-2629 19970618  
-- FI 116622 B1 20060113  
NO 9702841 A 19970818 NO 1997-2841 19970619  
-- NO 308297 B1 20000828  
US 5962682 A 19991005 US 1997-860985 19970818  
-- US 6133442 A 20001017 US 1999-263034 19990305  
-- PRIORITY APPLN. INFO.: CH 1994-3838 A 19941220  
-- CH 1995-3079 A 19951031  
-- WO 1995-EP4762 W 19951204  
-- OTHER SOURCE(S): MARPAT 125:141807  
GI



AB I [R1 = Ph, substituted Ph or heterocyclyl; R2 = Ph or substituted phenyl;  
R3 = H, lower alkyl, cyano, carboxy, esterified carboxy, Ph, substituted Ph, heterocyclyl, CONR5R6, NR5COR7; R4 = H, lower alkyl; R5 = H, R7; R6 = (CH2)mR7; NR5R6 = heterocyclic residue; R7 = Ph, substituted Ph, cycloalkyl, heterocyclyl, lower alkyl, cyanoalkyl, hydroxyalkyl, dialkylaminoalkyl, carboxyalkyl, alkoxyalkyl, alkoxyalkyl, alkoxyalkyl, alkoxyalkyl, phenylalkoxyalkyl; R8 = H, lower alkyl, hydroxy; Rb = H, lower alkyl; Z = hydroxy, amino, OR8, OC(O)NHR8, -OC(O)OR8, NHC(O)NHR8, NHC(O)OR8; R8 = heterocyclyl, Ph, substituted Ph, lower alkyl; A and Y each independently signify O, S; X = N, CH; m = 0, 1 or 2; n = 0, 1 or 2; and pharmaceutically usable salts thereof] are prepared as inhibitors of endothelin receptors. E.g., reaction of Et 4-[3-(2-hydroxyethoxy)-5-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)benzoyl]piperazine-1-carboxylate and 2-pyridylcarboxylic acid azide gave Et 4-[3-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)-5-[2-(pyridin-2-ylcarbamoyloxy)ethoxy]benzoyl]piperazine-1-

L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
carboxylate. Some examples of I exhibited selective inhibitory action on endothelin receptors A and B (ETA and ETB).  
IT 180030-72-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of aryl- and hetarylsulfonamide derivs. and their use as endothelin antagonists)  
RN 180030-72-2 CAPLUS  
CN Carbamic acid, 2-pyridinyl-,  
2-[[[4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl  
[amino]-3-(2-methoxyphenoxy)-6-phenyl-2-pyridinyl]oxy]ethyl ester (9CI)  
(CA INDEX NAME)

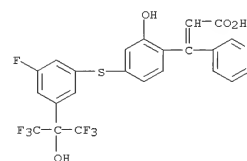


L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ACCESSION NUMBER: 1996:457812 CAPLUS  
DOCUMENT NUMBER: 125:114577  
TITLE: Preparation of bisarylcannabinol cinnamic acids as inhibitors of leukotriene biosynthesis  
INVENTOR(S): Delorme, Daniel; Ducharme, Yves; Friesen, Richard; Grimm, Erich L.; Lepine, Carole  
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.  
SOURCE: PCT Int. Appl., 88 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613491	A1	19960509	WO 1995-CA607	19951025
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W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5527827	A	19960618	US 1994-329815	19941027
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CA 2203412	A1	19960509	CA 1995-2203412	19951025
CA 2203412	C	20070417		
AU 9536956	A	19960523	AU 1995-36956	19951025
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AU 695376	B2	19980813		
EP 788490	A1	19970813	EP 1995-944790	19951025
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EP 788490	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10508587	T	19980825	JP 1995-514208	19951025
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AT 194337	T	20000715	AT 1995-944790	19951025
--				
ES 2147624	T3	20000916	ES 1995-944790	19951025
--				
PRIORITY APPLN. INFO.:			US 1994-329815	A1 19941027
--			WO 1995-CA607	W 19951025
--				

OTHER SOURCE(S): CASREACT 125:114577; MARPAT 125:114577  
AB Title compds. R1R2C(OR3)-Ar1-X-Ar2-C(Ar3):CHCO2H [Ar1 = 6-membered aromatic ring containing 0-3 N, substituted with 1-2 same or different R4; Ar2 = Ph(OH), substituted with 1-2 same or different R5; Ar3, Ar4 = 5-membered aromatic ring containing 1 O or S and 0-3 N, 5-membered aromatic ring containing 1-4 N, or 6-membered aromatic ring containing 0-3 N substituted with 1-2 same or different R6; X = OCH2, CH2O, O, S, SO, SO2; R1 = H, lower alkyl, lower perfluoroalkyl, Ar4; R2 = H, lower alkyl, lower perfluoroalkyl; R3 = H, lower alkyl; R4, R5 = H, lower alkyl, lower alkoxy, lower alkylthio, CN, CF3, NO2, CF3O, halo; R6 = R4, lower alkyl sulfinyl, lower alkylsulfonyl,

L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CO2R7; R7 = H, lower alkyl] or their pharmaceutically acceptable salts, useful as inhibitors of leukotriene biosynthesis (no data), are claimed. These compds. are useful as anti-asthmatic, anti-allergic, antiinflammatory, and cytoprotective agents (no data).  
IT 179113-16-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of bisarylcannabinol cinnamic acids as inhibitors of leukotriene biosynthesis and intermediate coumarins, phenols, thiophenols, and bromopyridines)  
RN 179113-16-7 CAPLUS  
CN 2-Propenoic acid, 3-[4-[[[3-fluoro-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thio]-2-hydroxyphenyl]-3-(3-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)



● 2 Na

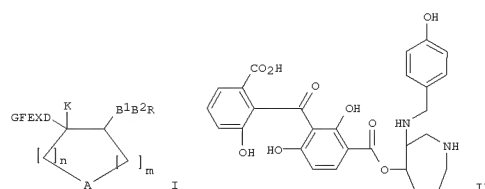
02/29/2008

10-566,291.trn

L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1995:794873 CAPLUS  
DOCUMENT NUMBER: 123:198645  
TITLE: Preparation of balanoids as protein kinase C inhibitors  
INVENTOR(S): Hall, Steven Edward; Ballas, Lawrence M.; Kulanthaivel, Palaniappan; Boros, Christie; Jiang, Jack B.; Jagdmann, Gunnar Erik, Jr.; Lai, Yen-Shi; Biggers, Christopher K.; Hu, Hong; et al.  
PATENT ASSIGNEE(S): Nichols, Gina M., USA; Sphinx Pharmaceuticals Corporation  
SOURCE: PCT Int. Appl., 559 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420062	A2	19940915	WO 1994-US2283	19940302
WO 9420062	A3	19960815		
W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2157412	A1	19940915	CA 1994-2157412	19940302
AU 9462527	A	19940926	AU 1994-62527	19940302
EP 687249	A1	19951220	EP 1994-909847	19940302
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
JP 09503994	T	19970422	JP 1994-520148	19940302
ZA 9401478	A	19950905	ZA 1994-1478	19940303
PRIORITY APPLN. INFO.:			US 1993-25846	A 19930303
			WO 1994-US2283	W 19940302
OTHER SOURCE(S):			MARPAT 123:198645	
GI				

L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



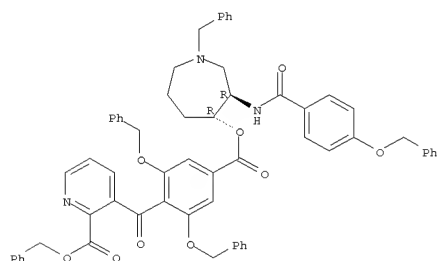
AB Title compds. [I; A = CH<sub>2</sub>, NR<sub>1</sub>, O, S, SO<sub>2</sub>; B<sub>1</sub> = NR<sub>2</sub>, CH<sub>2</sub>, O; B<sub>2</sub> = CO, CS, SO<sub>2</sub>; D = NR<sub>3</sub> = O, CH<sub>2</sub>; E = R<sub>5</sub>, (un)substituted (hetero)arylene; F = CO or CH<sub>2</sub>; G = R<sub>7</sub>, cycloalkyl, (un)substituted (hetero)aryl; K = H, alkyl; R = R<sub>4</sub>, (un)substituted Ph, (hetero)aryl; R<sub>1</sub>-R<sub>4</sub>, R<sub>7</sub> = H, alkyl, aryl, etc.; R<sub>5</sub> = alkyl, aryl; X = CO, CS, CH<sub>2</sub>, etc.; m, n = 1-4] were prepared. Thus, title compound (-)-trans-II (preparation given) gave 100% inhibition of protein kinase C  $\beta$ 2 at 0.5  $\mu$ M.

IT 167831-44-9P  
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of balanoids as protein kinase C inhibitors)

RN 167831-44-9 CAPLUS  
CN 2-Pyridinecarboxylic acid, 3-[4-[[[hexahydro-3-[[4-(phenylmethoxy)benzoyl]amino]-1-(phenylmethyl)-1H-azepin-4-yl]oxy]carbonyl]-2,6-bis(phenylmethoxy)benzoyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1995:665406 CAPLUS  
DOCUMENT NUMBER: 123:102017  
TITLE: (E)-4-{2-[[3-(indol-5-yl)-1-oxo-2-butenyl]amino]phenoxy}butyric Acid Derivatives: A New Class of Steroid 5 $\alpha$ -Reductase Inhibitors in the Rat Prostate. 1  
AUTHOR(S): Kumazawa, Toshiaki; Takami, Hitoshi; Kishibayashi, Nobuyuki; Ishii, Akio; Nagahara, Yoshitomo; Hirayama, Noriaki; Obase, Hiroyuki  
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Shizuoka, 411, Japan  
SOURCE: Journal of Medicinal Chemistry (1995), 38(15), 2887-92  
CODEN: JMCMAR, ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A series of (E)-4-{2-[[3-(indol-5-yl)-1-oxo-2-butenyl]amino]phenoxy}butyric acid derivs. was prepared, and the derivs. were demonstrated to be potent inhibitors of steroid 5 $\alpha$ -reductase in the rat prostate. The structure-activity relationships were as follows. An  $\alpha$ -branched alkyl or benzyl substituent of proper size at position 1 of the indole is crucial for optimal enzyme inhibitory activity. N-Methylation of the amide NH resulted in complete loss of activity. Thus, coplanarity of the benzene ring and amide moiety is essential for such activity. Among the compds. prepared, (E)-4-{2-[[3-[1-bis(4-fluorophenyl)methyl]indol-5-yl]-1-oxo-2-butenyl]amino]phenoxy}butyric acid (KF18678) was one of the most potent compds. (rat prostate 5 $\alpha$ -reductase IC<sub>50</sub> = 3.3 nM).

IT 146327-09-5P  
R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and structure steroid reductase-inhibitory activity relations of [[[indolyl]oxobutenyl]amino]phenoxy]butyric acid derivs.)

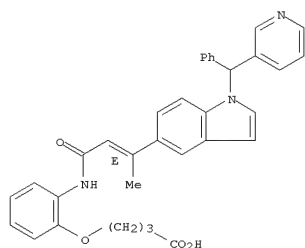
RN 146327-09-5 CAPLUS  
CN Butanoic acid, 4-[2-[[1-oxo-3-[[1-(phenyl-3-pyridinylmethyl)-1H-indol-5-yl]-2-butenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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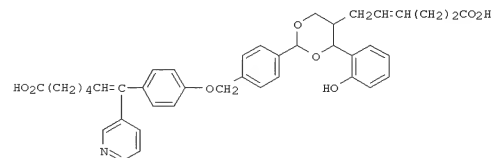
10-566,291.trn

L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:53881 CAPLUS  
 DOCUMENT NUMBER: 123:275234  
 TITLE: A Novel Approach to Dual-Acting Thromboxane Receptor Antagonist/Synthase Inhibitors Based on the Link of 1,3-Dioxane-Thromboxane Receptor Antagonists and -Thromboxane Synthase Inhibitors  
 AUTHOR(S): Ackerley, Norman; Brewster, Andrew G.; Brown, George R.; Clarke, David S.; Foubister, Alan J.; Griffin, Stephen J.; Hudson, Julian A.; Smithers, Michael J.; Whittamore, Paul R. O.  
 CORPORATE SOURCE: Cardiovascular and Metabolism Department, ZENECA Pharmaceuticals, Macclesfield /Cheshire, SK10 4TG, UK  
 SOURCE: Journal of Medicinal Chemistry (1995), 38(10), 1608-28  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 123:275234  
 GI



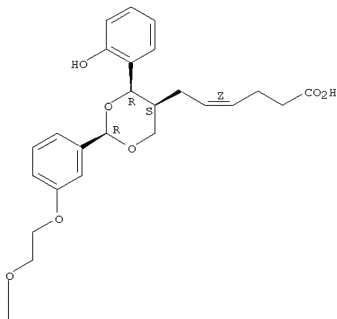
I

AB A new class of dual-acting racemic thromboxane receptor antagonist/thromboxane synthase inhibitors is reported, based on the novel approach of linking the known thromboxane synthase inhibitors (TXSI) dazoxiben or isbogrel (sep.) to thromboxane receptor antagonists (TXRA) from the 1,3-dioxane series, such as ICI 192605. Dual activity was observed in vitro with inhibition of human microsomal thromboxane synthase in the range IC50 = 0.01-1.0 μM and receptor antagonist activity by inhibition of U46619-induced human platelet aggregation in the range pA2 = 5.5-7.0. The in vitro results also showed that very large groups could be tolerated at the selected substitution positions of the TXRA and TXSI components. Oral activity was observed in ex vivo tests in both rats and dogs at a dose of 10 mg/kg. Thus, I was both an antagonist (pA2 = 6.7) and a synthase inhibitor (IC50 = 0.02 μM). On oral dosing (10 mg/kg) to rats and

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 dogs, I showed significant TXRA activity [concn. ratio >64 (rat, 3 h) and >59 ± 11.3 (dog, 2 h) vs ex vivo U46619-induced platelet aggregation]. Inhibition of thromboxane synthase at the resp. time points in these expts. was 81 ± 4.4% (rat) and 69 ± 4.8% (dog).  
 IT 163164-35-0P 163164-36-1P 163164-37-2P  
 163164-38-3P 163164-41-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)  
 RN 163164-35-0 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[2-[3-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

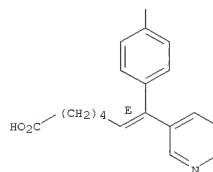
Relative stereochemistry.  
 Double bond geometry as shown.

PAGE 1-A



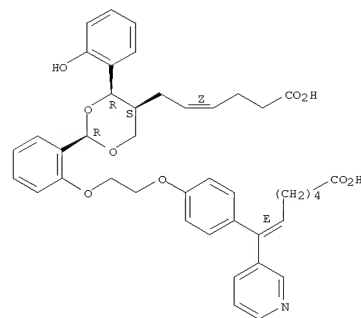
L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



RN 163164-36-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[2-[2-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.



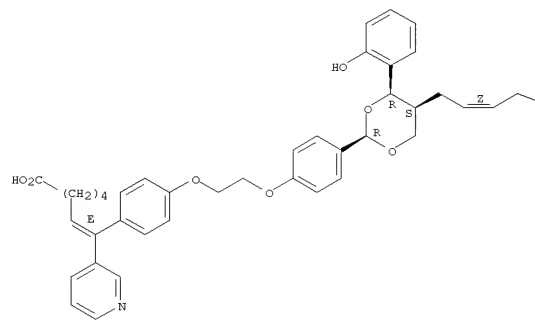
RN 163164-37-2 CAPLUS  
 CN 6-Heptenoic acid, 7-[4-[2-[4-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.

02/29/2008

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L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
PAGE 1-A



PAGE 1-B

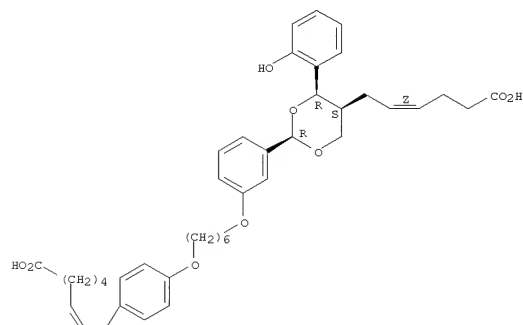


RN 163164-38-3 CAPLUS  
CN 6-Heptenoic acid, 7-[4-[[6-[3-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]hexyl]oxy]phenyl]-7-(3-pyridinyl)-, [2a(E), 4a, 5a(Z)]- (9CI) (CA INDEX NAME)

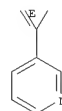
Relative stereochemistry.  
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

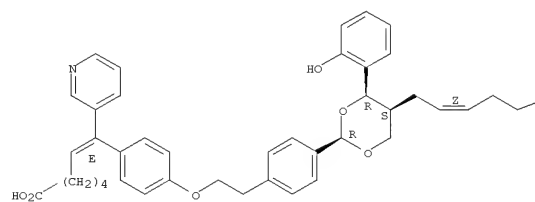


RN 163164-41-8 CAPLUS  
CN 6-Heptenoic acid,  
7-[4-[2-[4-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-  
1,3-dioxan-2-yl]phenyl]ethoxy]phenyl]-7-(3-pyridinyl)-,  
[2a(E), 4a, 5a(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



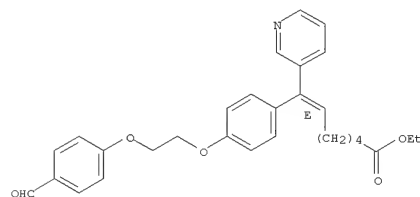
PAGE 1-B



IT	163164-06-5P
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)
RN	163164-06-5 CAPLUS
CN	6-Heptenoic acid, 7-[4-[2-(4-formylphenoxy)ethoxy]phenyl]-7-(3-pyridinyl)- ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



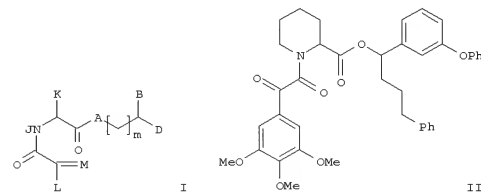
02/29/2008

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L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 ACCESSION NUMBER: 1995:274880 CAPLUS  
 DOCUMENT NUMBER: 122:55896  
 TITLE: 1-(2-oxoacetyl)piperidine-2-carboxylic acid derivatives as multi-drug-resistant cancer cell sensitizers  
 INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407858	A1	19940414	WO 1993-US9145	19930927
<p>W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN</p> <p>RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG</p>				
NZ 314207	A	20001222	NZ 1993-314207	19930727
IL 107109	A	19990312	IL 1993-107109	19930926
AU 9351648	A	19940426	AU 1993-51648	19930927
AU 690082	B2	19980423		
EP 662958	A1	19950719	EP 1993-922748	19930927
EP 662958	B1	20021211		
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, JP 08502256</p>				
JP 08502256	T	19960312	JP 1994-509216	19930927
JP 3635493	B2	20050406		
HU 72046	A2	19960328	HU 1995-890	19930927
RU 2158258	C2	20001027	RU 1995-110938	19930927
CZ 287396	B6	20001115	CZ 1995-769	19930927
RO 117791	B1	20020730	RO 1995-599	19930927
AT 229506	T	20021215	AT 1993-922748	19930927
PT 662958	T	20030430	PT 1993-922748	19930927
CA 2144962	C	20030520	CA 1993-2144962	19930927
ES 2188595	T3	20030701	ES 1993-922748	19930927

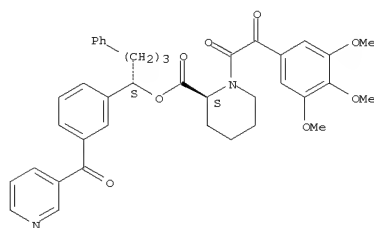
L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 SK 284129 B6 20040908 SK 1995-389 19930927  
 CN 1088577 A 19940629 CN 1993-118201 19930928  
 CN 1086386 B 20020619 19930928  
 CN 1494906 A 20040512 CN 2002-2002108738 19930928  
 FI 9501454 A 19950327 FI 1995-1454 19950327  
 NO 9501162 A 19950529 NO 1995-1162 19950327  
 NO 305596 B1 19990628 19981223  
 HK 1013992 A1 20030815 HK 1998-115242 19981223  
 PRIORITY APPLN. INFO.: US 1992-952299 A 19920928  
 WO 1993-US9145 W 19930927  
 OTHER SOURCE(S): MARPAT 122:55896  
 GI



AB The invention relates to compds. I [A = CH<sub>2</sub>, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH<sub>2</sub>Ph; K = alkyl, CH<sub>2</sub>Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them. The compds. maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents, and are particularly well-suited for treatment or prevention of multi-drug resistant cancer cells. Over 100 I are reported,

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 including both single and mixed diastereomers. For example, 3-PhOC6H4CH<sub>2</sub>OH underwent oxidn. to the aldehyde and reaction with Ph(CH<sub>2</sub>)<sub>3</sub>MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH<sub>2</sub>)<sub>3</sub>Ph. Esterification of this with N-((3,4,5-trimethoxyphenyl)glyoxyl)pipercolic acid (prepn. given) yielded the ester II as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.  
 IT 159997-79-2P 159997-80-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as sensitizer for multi-drug-resistant cancer cells)  
 RN 159997-79-2 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

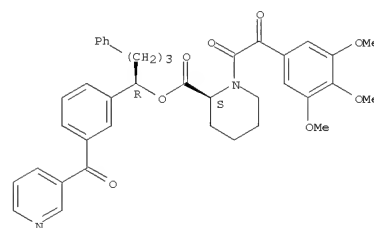
Absolute stereochemistry.



RN 159997-80-5 CAPLUS  
 CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

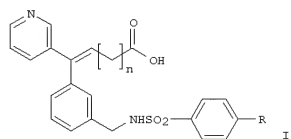
L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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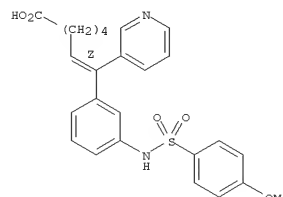
L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:270973 CAPLUS  
 DOCUMENT NUMBER: 122:187336  
 TITLE: Development of dual-acting agents for thromboxane receptor antagonism and thromboxane synthase inhibition I. Synthesis, structure-activity relationship, and evaluation of substituted  $\alpha$ -phenyl- $\alpha$ -(3-pyridyl)alkenoic acids  
 AUTHOR(S): Takeuchi, Kumiko; Happ, Anne M.; Mais, Dale E.; Layman, Nicki; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.  
 CORPORATE SOURCE: A Division of Eli Lilly, Lilly Research Laboratories, Indianapolis, IN, 46285, USA  
 SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(8), 743-55  
 CODEN: BMECEP; ISSN: 0968-0896  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB A series of arylsulfonamido-substituted  $\alpha$ -phenyl- $\alpha$ -(3-pyridyl)alkenoic acids were synthesized and evaluated in vitro for their ability to act as both a thromboxane A<sub>2</sub> receptor antagonist (TRA) and thromboxane synthase inhibitor (TSI). Variations of alkenoic acid chain length, olefin geometry, substituent effect on the benzenesulfonamido group, and conformational flexibility of the substituted arylsulfonamido group were examined. Among the various substituents, iodo-substitution gave the most potent compound. Conformational flexibility between the arylsulfonamido group and the Ph ring attached to the alkenoic acid side chain significantly enhanced the dual activities. The compound I (n = integer; R = H, halo, etc.) were identified as potent TRA/TSI.  
 IT 161607-62-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of thromboxane antagonist  
 (pyridinyl)[(sulfonylamino)phenyl]alk  
 enoate)

L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 161607-62-1 CAPLUS  
 CN 6-Heptenoic acid, 7-[3-[[[4-methoxyphenyl)sulfonyl]amino]phenyl]-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

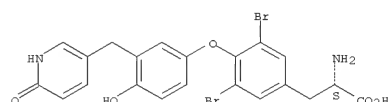
Double bond geometry as shown.



L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:450249 CAPLUS  
 DOCUMENT NUMBER: 121:50249  
 TITLE: Computer-assisted molecular modeling of benzodiazepine and thymimetic inhibitors of the HepG2  
 iodothyronine membrane transporter  
 AUTHOR(S): Kragle, Laura; Forrester, Maureen L.; Cody, Vivian; McCourt, Mary  
 CORPORATE SOURCE: Fac. Nat. Sci. Math., State Univ. New York, Buffalo, Amherst, NY, 14260, USA  
 SOURCE: Molecular Endocrinology (1994), 8(3), 382-91  
 CODEN: MOENEN; ISSN: 0888-8809  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB T3 cellular uptake is inhibited in the presence of benzodiazepines (BZs). The structure-activity relationship of BZ inhibition correlates strongly with halogen substitution of the nonfused Ph ring and indicates that this ring is required for activity. A structure-activity series of thymimetic (TH) inhibitors of the HepG2 iodothyronine transporter further point out the critical importance of the amino group of the alanine side chain, its L-stereo configuration, and the size of the substituents of the inner and outer Ph rings. A third series of compds., reported to interact at related sites, were inactive as HepG2 iodothyronine transport inhibitors, and therefore the potent inhibitors were restricted to the BZ and TH compds. Using both of these BZ and TH structure-activity series along with computer-assisted mol. modeling techniques, the authors determined which chemical structural components were important at the transporter interaction site. By superimposing structures from active chems., excluding residues from poor inhibitors, and incorporating mol. electropotential data, the authors developed a five-point model of BZ conformational similarity to the endogenous transporter ligand, L-T3: the alkyl substitution at the N1 of the BZ ring seems to stimulate the alanine side chain of T3, and the electroneg. halogen and oxygen atoms of substituents at R3/R7/R2'/R4' of BZ form a pyrimidyl pharmacophore that seems to correspond with the 3-1/5-1/3'-1/4'-OH substituents of T3, resp. These points, suggesting a tilted cross-bow formation, may be sites for ligand interaction with the iodothyronine transporter.  
 IT 105189-37-5, SKF-L 94424  
 RL: BIOL (Biological study)  
 (triiodothyronine binding by iodothyronine transporter inhibition by, structure in relation to)  
 RN 105189-37-5 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

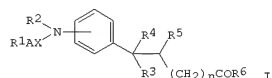


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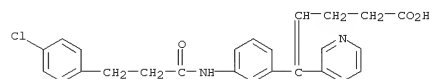
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:298479 CAPLUS  
 DOCUMENT NUMBER: 120:298479  
 TITLE: Pyridyl-derivative thromboxane antagonists  
 INVENTOR(S): Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany  
 SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 796,525, abandoned.  
 CODEN: USXXAM  
 Patent  
 English  
 DOCUMENT TYPE:  
 LANGUAGE:  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5286736	A	19940215	US 1993-5725	19930119
DE 4037112	A1	19920527	DE 1990-4037112	19901122
PRIORITY APPLN. INFO.:			DE 1990-4037112	A 19901122
<--			US 1991-796525	B2 19911122
OTHER SOURCE(S):		MARPAT 120:298479		
GI				

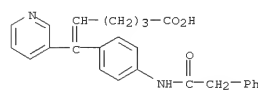


AB The title compds. (I; A = direct bond, C3-4 cycloalkylene, C3-4 cycloalkylidene, (un)substituted C2-3 alkylene, OCH2CH2, etc.; R1 = (un)substituted C1-4 alkyl, C5-7 cycloalkyl, Ph; R2 = H, C1-4 alkyl; R3 = pyridyl; R4, R5 = H, or together may represent a C-C bond; R6 = HO, C1-3 alkoxy; X = CO, CS; n = 2-4), useful as thromboxane antagonists, antiallergic agents (no data), etc., are prepared and I-containing formulations presented. Thus, 6-[4-(4-methylbenzenesulfonylamino)phenyl]-6-(3-pyridyl)-5-hexenoic acid was prepared in 53% yield by the condensation of 4-methylbenzenesulfonyl chloride with Me 6-(4-aminophenyl)-6-(3-pyridyl)-5-hexenoate followed by saponification.  
 IT 142669-27-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of pyridine-derivative thromboxane

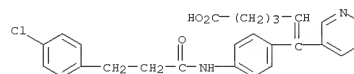
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 antagonists)  
 RN 142669-27-0 CAPLUS  
 CN 4-Pentenoic acid,  
 5-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-5-(3-pyridinyl)- (CA INDEX NAME)



IT 142668-82-4P 142668-86-8P 142668-87-9P  
 142668-88-0P 142668-94-8P 142669-04-3P  
 142669-05-4P 142669-10-1P 142669-11-2P  
 142669-12-3P 142669-16-7P 142669-19-0P  
 142669-20-3P 142669-21-4P 142669-22-5P  
 142669-25-8P 142669-28-1P 142669-29-2P  
 142669-30-5P 142669-31-6P 142669-32-7P  
 142669-33-8P 142669-34-9P 142669-35-0P  
 142669-48-5P 142669-72-5P 142752-96-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as thromboxane antagonist)  
 RN 142668-82-4 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI)  
 (CA INDEX NAME)

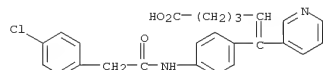


RN 142668-86-8 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

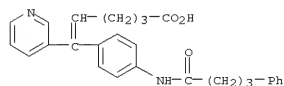


RN 142668-87-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[4-(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

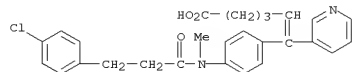
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 pyridinyl)- (9CI) (CA INDEX NAME)



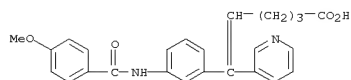
RN 142668-88-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142668-94-8 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

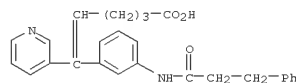


RN 142669-04-3 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-methoxybenzoyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

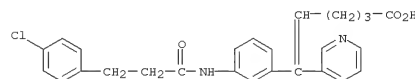


RN 142669-05-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

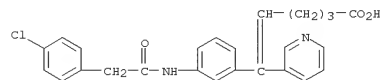
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



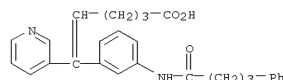
RN 142669-10-1 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-11-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[4-(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-12-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

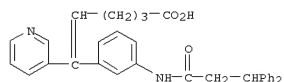


RN 142669-16-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

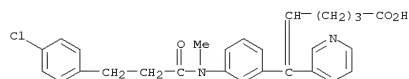
02/29/2008

10-566,291.trn

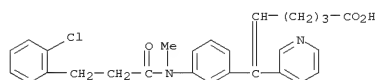
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



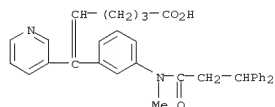
RN 142669-19-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-20-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(2-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

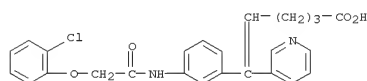


RN 142669-21-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

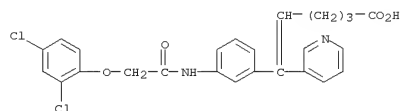


RN 142669-22-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

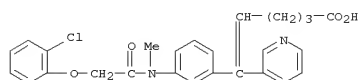
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



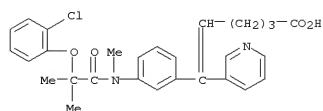
RN 142669-31-6 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-32-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

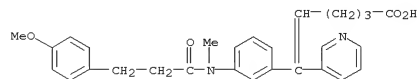


RN 142669-33-8 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

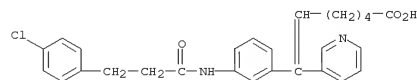


RN 142669-34-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

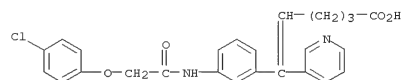
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



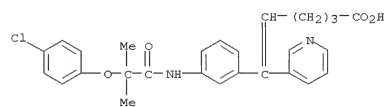
RN 142669-25-8 CAPLUS  
 CN 6-Heptenoic acid,  
 7-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-7-(3-  
 pyridinyl)- (CA INDEX NAME)



RN 142669-28-1 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

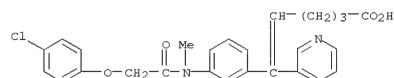


RN 142669-29-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

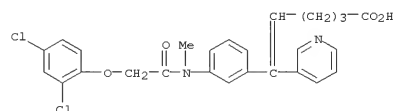


RN 142669-30-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

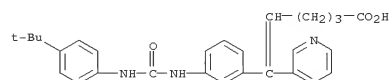
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



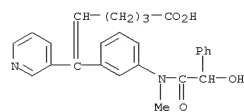
RN 142669-35-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-  
 pyridinyl)- (CA INDEX NAME)



RN 142669-48-5 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-  
 pyridinyl)- (CA INDEX NAME)



RN 142669-72-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

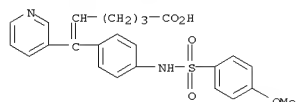


RN 142752-96-3 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[3-(4-methoxyphenyl)-1-oxopropyl]methylamino]phenyl]-  
 6-(3-pyridinyl)- (CA INDEX NAME)

02/29/2008

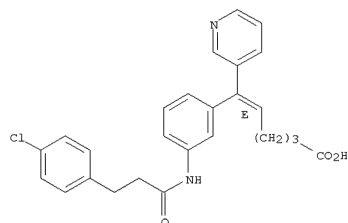
10-566,291.trn

L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

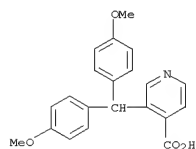


IT 142669-74-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (thromboxane antagonist)  
 RN 142669-74-7 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-  
 pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



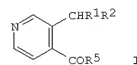
L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 154117-10-9 CAPLUS  
 CN 4-Pyridinecarboxylic acid, 3-[bis(4-methoxyphenyl)methyl]- (CA INDEX NAME)



L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:244689 CAPLUS  
 DOCUMENT NUMBER: 120:244689  
 TITLE: Preparation of pyridine-4-carboxamides as bone resorption inhibitors  
 INVENTOR(S): Kinoshita, Iwao; Onoda, Yasuo; Takai, Haruki; Kosaka, Nobuo; Ishii, Akio; Nakamura, Joji; Ishida, Hiroyuki; Gomi, Katsushige  
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

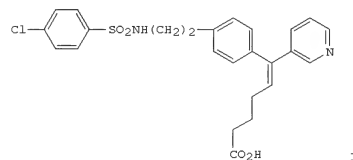
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 578419	A1	19940112	EP 1993-305032	19930628
EP 578419	B1	19960904		
R: DE, FR, GB, IT				
JP 06073010	A	19940315	JP 1993-162256	19930630
US 5374634	A	19941220	US 1993-84480	19930701
PRIORITY APPLN. INFO.:			JP 1992-180116	A 19920707
OTHER SOURCE(S):		MARPAT 120:244689		
GI				



AB Title compds. [I; R1,R2 = 4-hydroxy- or -alkoxyphenyl; R5 = NR3R4; R3,R4 = H, (cyclo)alkyl, aryl, heterocyclyl; NR3R4 = heterocyclyl] were prepared. Thus, N,N-diisopropylnicotinamide was treated with (Me2CH)2NLi in THF and the product condensed with [4-(MeO)C6H4]2CO to give, after hydrogenolysis, I [R1 = R2 = 4-(RO)C6H4] (II; R = Me, R5 = OH) which was treated with SOCl2 and the product condensed with 3-aminotricyclo[3.3.1.0<sup>3,7</sup>]nonane to give II [R = Me, R5 = tricyclo[3.3.1.0<sup>3,7</sup>]non-3-ylamino]. II [R = H, R5 = 4-(2-chlorophenyl)piperidino] had IC50 of 6.0 μM against parathyroid hormone-induced Ca release from mouse clavarina in vitro.  
 IT 154117-10-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of bone resorption inhibitor)

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:217212 CAPLUS  
 DOCUMENT NUMBER: 120:217212  
 TITLE: 6,6-Disubstituted hex-5-enoic acid derivatives as combined thromboxane A2 receptor antagonists and synthetase inhibitors  
 AUTHOR(S): Soyka, Rainer; Heckel, Armin; Nickl, Josef; Eisert, Wolfgang; Mueller, Thomas H.; Weisenberger, Hans  
 CORPORATE SOURCE: Res. Dep., Dr. Karl Thomae GmbH, Biberach, 88397, Germany  
 SOURCE: Journal of Medicinal Chemistry (1994), 37(1), 26-39  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



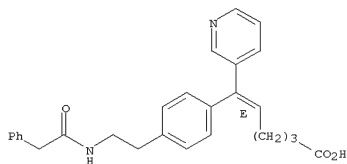
AB A series of 6,6-disubstituted alkenoic acid derivs. were design and synthesized as antithrombotic inhibitors of thromboxane A2 synthetase and thromboxane A2 receptor antagonists. Hexenoic acid derivs. with a 3-pyridyl group and a 4-(2-benzenesulfonamidoethyl)phenyl substituent were found to be optimal with regard to the dual mode of action. The most potent compound, (E)-6-(4-(2-((4-chlorophenyl)sulfonyl)amino)ethyl)phenyl)-6-(3-pyridyl)hex-5-enoic acid (I), inhibits thromboxane A2 synthetase in gel-filtered human platelets with an IC50 value of 4.5 ± 0.5 nM, whereas an inhibitory effect on cyclooxygenase is seen only at a much higher concentration (IC50; 240 μM). Radioligand-binding studies with [3H]SQ 29,548 in washed human platelets revealed that I blocks the prostaglandin H2/thromboxane A2 receptor with an IC50 of 19 ± 5 nM (n = 5) and is therefore 85-fold more potent than another combined thromboxane A2 synthetase inhibitor/receptor antagonist, Ridogrel. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an EC50 of 1 μM (Ridogrel; 16 μM) and 100 nM, resp., and was selected for further development.  
 IT 153731-84-1P 153731-89-6P 153731-90-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and thromboxane A2 receptor antagonist and synthetase inhibitor activity of)  
 RN 153731-84-1 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[2-[(2-phenylacetyl)amino]ethyl]phenyl]-6-(3-

02/29/2008

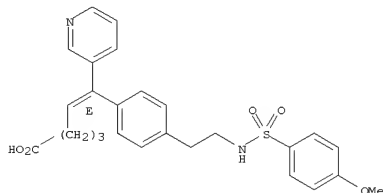
10-566,291.trn

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 153731-89-6 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-{2-[(4-methoxyphenyl)sulfonyl]amino}ethyl]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

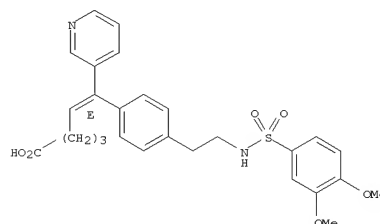
RN 153731-90-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-{2-[(3,4-dimethoxyphenyl)sulfonyl]amino}ethyl]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

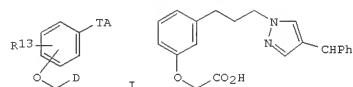
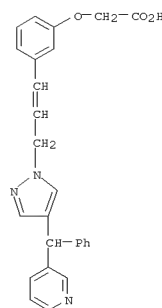
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1994:134462 CAPLUS  
DOCUMENT NUMBER: 120:134462  
TITLE: Heterocyclic phenoxyacetic acid derivative  
antithrombotic and antihypertensive agents  
INVENTOR(S): Hamanaka, Nobuyuki; Takahashi, Kanji; Tokumoto, Hidekado  
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 112 pp.  
CODEN: EPXKXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 558062	A2	19930901	EP 1993-103113	19930226
EP 558062	A3	19940112		
EP 558062	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
CA 2090283	A1	19930829	CA 1993-2090283	19930224
JP 06056744	A	19940301	JP 1993-59418	19930225
JP 3162532	B2	20010508		
JP 2000086635	A	20000328	JP 1999-215279	19930225
JP 3487415	B2	20040119		
AT 152712	T	19970515	AT 1993-103113	19930226
ES 2103989	T3	19971001	ES 1993-103113	19930226
KR 187325	B1	19990515	KR 1993-2879	19930227
US 5378716	A	19950103	US 1993-24306	19930301
US 5536736	A	19960716	US 1994-293218	19940819
US 5703099	A	19971230	US 1996-642598	19960503
US 5935985	A	19990810	US 1997-925587	19970908
PRIORITY APPLN. INFO.:			JP 1992-78330	A 19920228
			JP 1993-59418	A3 19930225
			US 1993-24306	A3 19930301
			US 1994-293218	A3 19940819
			US 1996-642598	A3 19960503
OTHER SOURCE(S):			CASREACT 120:134462; MARPAT 120:134462	
GI				

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

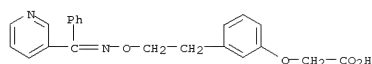
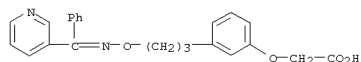
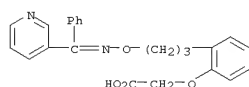
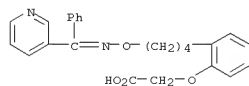


L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

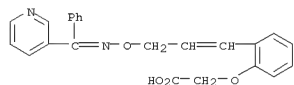
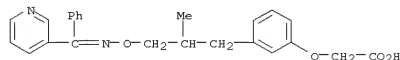
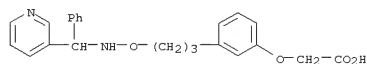
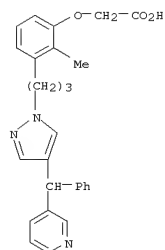
AB The title comps. I [A = heterocycllyl, carboxylate, (un)substituted  
CH<sub>2</sub>NH<sub>2</sub>, etc.; D = CO<sub>2</sub>R<sub>10</sub>, CONR<sub>11</sub>R<sub>12</sub>; R<sub>10</sub> = H, C1-12 alkyl; R<sub>11</sub>, R<sub>12</sub> = H,  
C1-4 alkyl; R<sub>13</sub> = H, C1-4 alkyl, C1-4 alkoxy, NO<sub>2</sub>; T = direct bond, C1-6  
alkylene, C2-6 alkenylene, O(CH<sub>2</sub>)<sub>s</sub>; s = 2-4], useful in the treatment of  
thrombosis, arteriosclerosis, ischemic heart disease, gastric ulcer, or  
hypertension, are prepared and I-containing formulations are presented.Thus, Me 3-[3-(4-diphenylmethylpyrazol-1-yl)propyl]phenoxyacetate was  
hydrolyzed, producing pyrazole derivative II which demonstrated a 50%  
human blood platelet aggregation inhibitory concentration of 0.42 μM.IT 152381-45-8 152381-53-8 152381-54-9  
152381-55-0 152381-56-1 152381-57-2  
152381-60-7 153183-71-2 153183-76-7  
153183-77-8 153183-80-3 153183-81-4  
153183-95-0 153183-96-1 153184-00-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(antithrombotic and antihypertensive activity of)RN 152381-45-8 CAPLUS  
CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-  
propenyl]phenoxy]- (9CI) (CA INDEX NAME)RN 152381-53-8 CAPLUS  
CN Acetic acid,  
[3-[2-[[ (phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]-

02/29/2008

10-566,291.trn

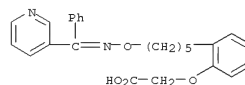
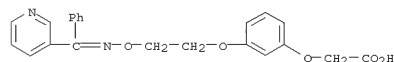
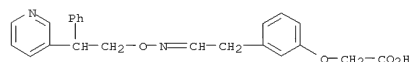
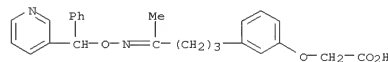
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
(9CI) (CA INDEX NAME)RN 152381-54-9 CAPLUS  
CN Acetic acid,  
[3-[[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy]  
]- (9CI) (CA INDEX NAME)RN 152381-55-0 CAPLUS  
CN Acetic acid,  
[2-[[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy]  
]- (9CI) (CA INDEX NAME)RN 152381-56-1 CAPLUS  
CN Acetic acid,  
[2-[[4-[[[(phenyl-3-pyridinylmethylene)amino]oxy]butyl]phenoxy]-  
]- (9CI) (CA INDEX NAME)RN 152381-57-2 CAPLUS  
CN Acetic acid,  
[2-[[5-[[[(phenyl-3-pyridinylmethylene)amino]oxy]pentyl]phenoxy]  
]- (9CI) (CA INDEX NAME)

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

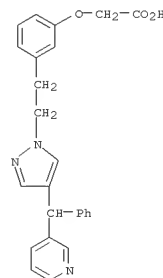
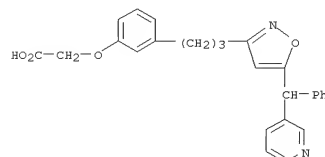
RN 153183-80-3 CAPLUS  
CN Acetic acid,  
[3-[[2-methyl-3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy]-  
]- (9CI) (CA INDEX NAME)RN 153183-81-4 CAPLUS  
CN Acetic acid, [3-[[3-[[[(phenyl-3-pyridinylmethyl)amino]oxy]propyl]phenoxy]-  
]- (9CI) (CA INDEX NAME)RN 153183-95-0 CAPLUS  
CN Acetic acid, [2-methyl-3-[[3-[[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-  
yl]propyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 153183-96-1 CAPLUS

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 152381-60-7 CAPLUS  
CN Acetic acid,  
[3-[[2-[[[(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]phenoxy]  
]- (9CI) (CA INDEX NAME)RN 153183-71-2 CAPLUS  
CN Acetic acid, [3-[[2-[[[2-phenyl-2-(3-pyridinyl)ethoxy]imino]ethyl]phenoxy]-  
]- (9CI) (CA INDEX NAME)RN 153183-76-7 CAPLUS  
CN Acetic acid, [3-[[4-[[[(phenyl-3-pyridinylmethoxy)imino]pentyl]phenoxy]-  
]- (9CI) (CA INDEX NAME)RN 153183-77-8 CAPLUS  
CN Acetic acid, [2-[[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]-1-  
propenyl]phenoxy]- (9CI) (CA INDEX NAME)

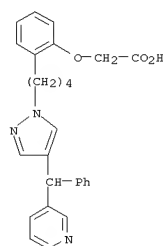
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN Acetic acid, [3-[[2-[[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-  
yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)RN 153184-00-0 CAPLUS  
CN Acetic acid, [3-[[3-[[5-(phenyl-3-pyridinylmethyl)-3-  
isoxazolyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)IT 152381-44-7P 152381-45-8P 152381-53-8P  
152381-54-9P 152381-55-0P 152381-56-1P  
152381-57-2P 152381-58-3P 152381-60-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antithrombotic and antihypertensive activity of)  
RN 152381-44-7 CAPLUS  
CN Acetic acid, [2-[[4-[[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-  
yl]butyl]phenoxy]- (9CI) (CA INDEX NAME)

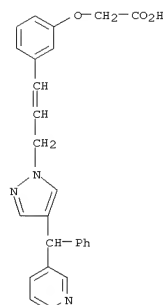
02/29/2008

10-566,291.trn

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

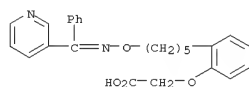


RN 152381-45-8 CAPLUS  
 CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)

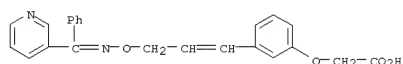


RN 152381-53-8 CAPLUS  
 CN Acetic acid, [3-[2-[[[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

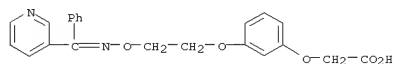
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



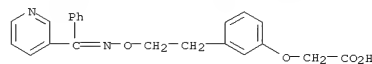
RN 152381-58-3 CAPLUS  
 CN Acetic acid, [3-[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



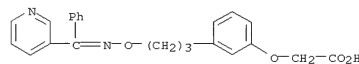
RN 152381-60-7 CAPLUS  
 CN Acetic acid, [3-[2-[[[(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]phenoxy] (9CI) (CA INDEX NAME)



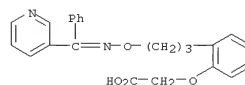
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



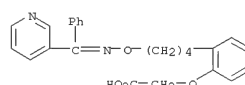
RN 152381-54-9 CAPLUS  
 CN Acetic acid, [3-[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy] (9CI) (CA INDEX NAME)



RN 152381-55-0 CAPLUS  
 CN Acetic acid, [2-[3-[[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy] (9CI) (CA INDEX NAME)



RN 152381-56-1 CAPLUS  
 CN Acetic acid, [2-[4-[[[(phenyl-3-pyridinylmethylene)amino]oxy]butyl]phenoxy] (9CI) (CA INDEX NAME)

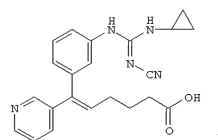


RN 152381-57-2 CAPLUS  
 CN Acetic acid, [2-[5-[[[(phenyl-3-pyridinylmethylene)amino]oxy]pentyl]phenoxy] (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:649845 CAPLUS  
 DOCUMENT NUMBER: 119:249845  
 TITLE: Pyridyl derivatives, pharmaceuticals containing these compounds and processes for their preparation  
 INVENTOR(S): Soyka, Rainer; Mueller, Thomas; Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Eur. Pat. Appl., 57 pp.  
 CODEN: EPXKDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 547517	A1	19930623	EP 1992-121126	19921211
EP 547517	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4141377	A1	19930617	DE 1991-4141377	19911214
DE 4216364	A1	19931125	DE 1992-4216364	19920518
DE 4216829	A1	19931125	DE 1992-4216829	19920521
PRIORITY APPLN. INFO.:			DE 1991-4141377	A 19911214
			DE 1992-4216364	A 19920518
			DE 1992-4216829	A 19920521
OTHER SOURCE(S):			CASREACT 119:249845; MARPAT 119:249845	
GI				



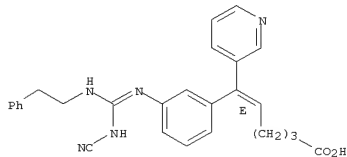
AB The title compds. are claimed. Examples are (E)-6-[3-(3-cyclopropyl-2-cyanoguanidino)phenyl]-6-(3-pyridyl)-5-hexenoic acid [(E)-6-[3-[[[(cyclopropylamino)(cyanoimino)methyl]amino]phenyl]-6-(3-pyridyl)-5-hexenoic acid] (I) and analogs and derivs. of I. The title compds. are antithrombotics; they are thromboxane A2 antagonists and thromboxane synthetase inhibitors and blood platelet aggregation inhibitors. The title compds. may further inhibit prostaglandins (PGD2 receptors, PGE2 receptors, and PGF2α receptors) (no data).  
 IT 149979-67-9P 149979-83-9P 149979-84-0P

02/29/2008

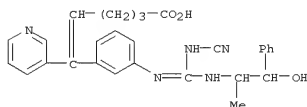
10-566,291.trn

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antithrombotic)  
 RN 149979-67-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



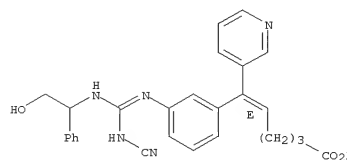
RN 149979-83-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)[(2-hydroxy-1-methyl-2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 149979-84-0 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)[(2-hydroxy-1-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

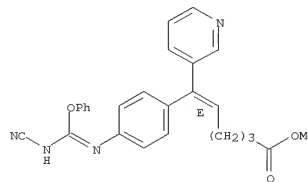
Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



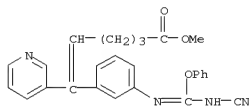
IT 149980-36-9P 149980-39-2P 149980-47-2P  
 149980-52-9P 149980-58-5P 150760-37-5P  
 150760-38-6P 150760-39-7P 150760-40-0P  
 150760-41-1P 150760-42-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of, as intermediate for [[(aminoiminomethyl)amino]phenyl]pyridyl)alkenoate (antithrombotic) derivative)  
 RN 149980-36-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[[(cyanoamino)phenoxy]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

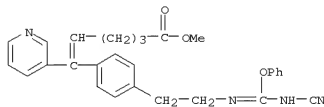


RN 149980-39-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)phenoxy]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

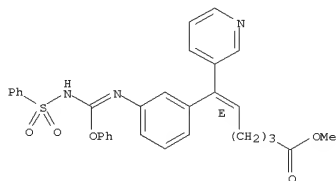


RN 149980-47-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[[(cyanoamino)phenoxy]methylene]amino]ethyl]phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



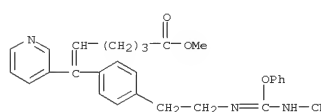
RN 149980-52-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[phenoxy[phenylsulfonyl]amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



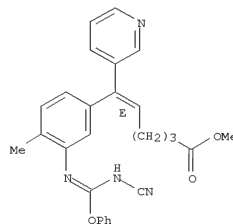
RN 149980-58-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[[(cyanoamino)phenoxy]methylene]amino]ethyl]phenyl]-6-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



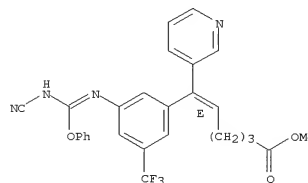
RN 150760-37-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)phenoxy]methylene]amino]-4-methylphenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 150760-38-6 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)phenoxy]methylene]amino]-5-(trifluoromethyl)phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

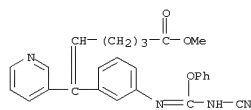


RN 150760-39-7 CAPLUS

02/29/2008

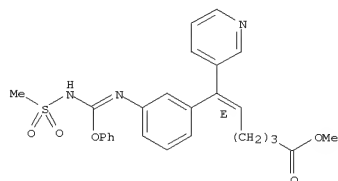
10-566,291.trn

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester (CA INDEX NAME)



RN 150760-40-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[[(methylsulfonyl)amino]phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

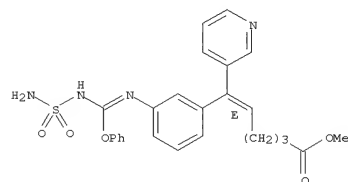
Double bond geometry as shown.



RN 150760-41-1 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[[(aminosulfonyl)amino]phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

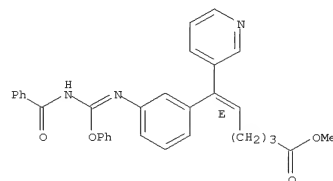
Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-42-2 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[[[(benzoylamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

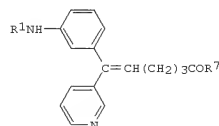
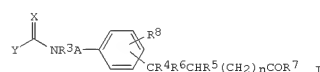
Double bond geometry as shown.



L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:580666 CAPLUS  
 DOCUMENT NUMBER: 119:180666  
 TITLE: Preparation and formulation of 6-guanidinophenyl-6-pyridyl-5-hexenoates and analogs as thromboxane antagonists  
 INVENTOR(S): Soyka, Rainer; Mueller, Thomas; Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Ger. Offen., 34 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4141377	A1	19930617	DE 1991-4141377	19911214
PL 171512	B1	19970530	PL 1992-296896	19921209
PL 171500	B1	19970530	PL 1992-312868	19921209
PL 171463	B1	19970530	PL 1992-312869	19921209
CA 2085201	A1	19930615	CA 1992-2085201	19921211
CA 2085201	C	20040706		
NO 9204800	A	19930615	NO 1992-4800	19921211
NO 179173	C	19960513		
NO 179173	B	19960513		
AU 9230058	A	19930617	AU 1992-30058	19921211
AU 653455	B2	19940929		
EP 547517	A1	19930623	EP 1992-121126	19921211
EP 547517	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9209613	A	19940613	ZA 1992-9613	19921211
JP 06199793	A	19940719	JP 1992-331452	19921211
JP 2965425	B2	19991018		
HU 68032	A2	19950529	HU 1992-3949	19921211
ES 2074323	T3	19950901	ES 1992-121126	19921211
IL 104066	A	19960723	IL 1992-104066	19921211
KR 227441	B1	19991101	KR 1992-24089	19921212
FI 100882	B	19980313	FI 1992-5665	19921214
FI 100882	B1	19980313		
RU 2119915	C1	19981010	RU 1992-4567	19921214
US 5482948	A	19960109	US 1994-270615	19940705

L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 PRIORITY APPLN. INFO.: DE 1991-4141377 A 19911214  
 <-- DE 1992-4216364 A 19920518  
 <-- DE 1992-4216829 A 19920521  
 <-- US 1992-989681 B1 19921214  
 <-- OTHER SOURCE(S): MARPAT 119:180666  
 GI



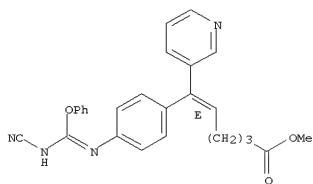
AB Title compds. [I; A = bond, alkylene; R3 = H, alkyl; R4, R5 = H; or R4R5 = bond; R6 = (3- or 4-alkyl)pyridyl; R7 = OH, alkoxy, NH2; R8 = halo, alkyl, CF3, alkoxy; X = CHNO2, CHCN, NR9; R9 = cyano, PhSO2, SO2NH2, CONH2, etc.; Y = alkoxy, OPh, NR1R2; R1 = H, (cyclo)alkyl, alkoxy, etc.; R2 = H, alkyl; n = 2-5] were prepared Thus, aminophenylhexenoate (E)-II (R = H, R7 = OMe) were condensed with (PhO)2C:NCN and the product was condensed with cyclohexylamine to give, after saponification, (E)-II [R = C(:NCN)NHR1, R7 = OH] (III; R1 = cyclohexyl). III (R1 = cyclopentyl) had IC50 of 0.003 µM against thromboxane synthetase in vitro.  
 IT 149980-36-9P 149980-42-7P 149980-47-2P  
 149980-52-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of thromboxane antagonist)  
 RN 149980-36-9 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[[[(cyanoamino)phenoxy)methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

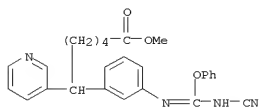
02/29/2008

10-566,291.trn

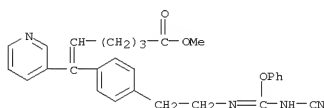
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 149980-42-7 CAPLUS  
CN 3-Pyridinehexanoic acid, 6-[3-[[[(cyanoamino)phenoxy]methylene]amino]phenyl]-, methyl ester (CA INDEX NAME)



RN 149980-47-2 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-{2-[[[(cyanoamino)phenoxy]methylene]amino]ethyl}phenyl  
]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



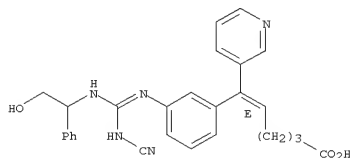
RN 149980-52-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[[[phenoxy[(phenylsulfonyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

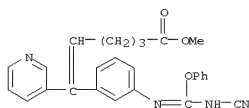
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 149979-84-0 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)[(2-hydroxy-1-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

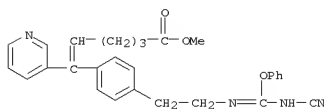
Double bond geometry as shown.



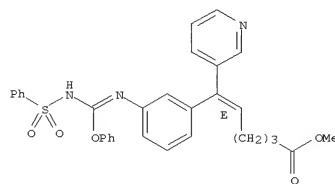
RN 149980-39-2 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)phenoxy]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)



RN 149980-58-5 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-{2-[[[(cyanoamino)phenoxy]methylene]amino]ethyl}phenyl  
]-6-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

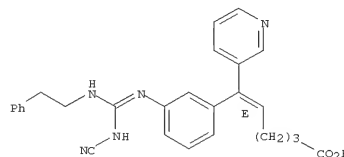


L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

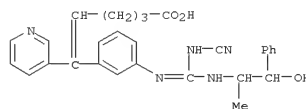


IT 149979-67-9P 149979-83-9P 149979-84-0P  
149980-39-2P 149980-58-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as thromboxane antagonist)  
RN 149979-67-9 CAPLUS  
CN 5-Hexenoic acid,  
6-[3-[[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]  
phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



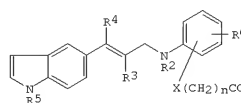
RN 149979-83-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[[(cyanoamino)[(2-hydroxy-1-methyl-2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:124392 CAPLUS  
DOCUMENT NUMBER: 118:124392  
TITLE: Preparation of indole derivatives as steroid 5α-reductase inhibitors  
INVENTOR(S): Kumasawa, Yoshiaki; Takami, Hitoshi; Obase, Hiroyuki; Kishibayashi, Nobuyuki; Ishii, Akio  
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 59 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 511477	A1	19921104	EP 1992-104088	19920310
EP 511477	B1	19960710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05078315	A	19930330	JP 1992-50671	19920309
CA 2062587	A1	19920912	CA 1992-2062587	19920310
US 5239083	A	19930824	US 1992-850334	19920310
PRIORITY APPLN. INFO.:			JP 1991-44941	A 19910311
OTHER SOURCE(S):			CASREACT 118:124392; MARPAT 118:124392	
GI				



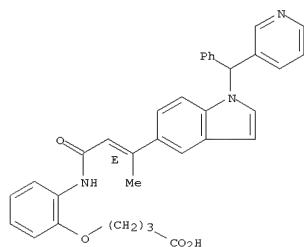
AB A process for the preparation of indole derivs. I (R1, R2, R3 = H or lower alkyl, R4 = H, lower alkyl or cycloalkyl, R5 = H, cycloalkyl, cycloalkenyl, R6 = H, lower alkyl, alkoxy or halo, X = O or S, SO or SO2, n = 1-6) comprises the condensation of Et 4-(2-aminophenoxy)butyrate (II) with (indolyl)isocrotonic acid derivs. E.g., 0.46 g of II, 2.25 mL Bu3N, 1.20 g of 2-chloro-1-methylpyridinium iodide and 1.04 g of 3-(1-methylindol-5-yl)isocrotonic acid in 10 mL of CH2Cl2 were refluxed to give 4-(2-[3-(1-methylindol-5-yl)isocrotonylamino]phenoxy)butyric acid. I showed 66%-97% inhibition of steroid 5α-reductase activity at 10-7 M and are useful in treating benign prostatic hypertrophy, prostate cancer, baldness and acne.  
IT 146327-09-5  
RL: RCT (Reactant); RACT (Reactant or reagent)

02/29/2008

10-566,291.trn

L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
(prepn. and inhibition by, on steroid 5 $\alpha$ -reductase activity)  
RN 146327-09-5 CAPLUS  
CN Butanoic acid,  
4-[2-[[1-oxo-3-[1-(phenyl-3-pyridinylmethyl)-1H-indol-5-yl]-  
2-butenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

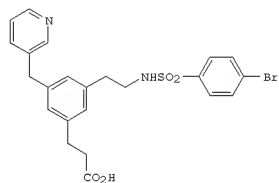
Double bond geometry as shown.



L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 1993:101812 CAPLUS  
DOCUMENT NUMBER: 118:101812  
TITLE: 5-alkyl-3-[(pyridyl)alkyl]benzenepropanoates and  
5-alkyl-3-[(imidazolyl)alkyl]benzenepropanoates, a  
method for their preparation and their use as  
thromboxane A2 antagonists  
INVENTOR(S): Dickinson, Roger Peter; Dack, Kevin Neil; Steele,  
John  
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.  
SOURCE: PCT Int. Appl., 90 pp.  
CODEN: PIXK02  
Patent  
English  
DOCUMENT TYPE:  
LANGUAGE:  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217451	A1	19921015	WO 1992-EP591	19920317
W: CA, FI, JP, US R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE CA 2104456	A1	19921005	CA 1992-2104456	19920317
CA 2104456 EP 579618	C A1	19961210 19940126	EP 1992-906439	19920317
EP 579618 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE JP 06506200	B1 T	19991013 19940714	JP 1992-505819	19920317
JP 3088015 AT 185560	B2 T	20000918 19991015	AT 1992-906439	19920317
ES 2138594	T3	20000116	ES 1992-906439	19920317
FI 104070	B	19991115	FI 1993-4045	19930915
FI 104070 US 5457118	B1 A	19991115 19951010	US 1994-133155	19940420
US 5705523	A	19980106	US 1995-502748	19950714
FI 9900179	A	19990201	FI 1999-179	19990201
GR 3032308	T3	20000427	GR 2000-400008	20000104
PRIORITY APPLN. INFO.:			GB 1991-7043	A 19910404
			WO 1992-EP591	W 19920317
			US 1994-133155	A3 19940420
OTHER SOURCE(S):	CASREACT 118:101812; MARPAT 118:101812			

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
GI



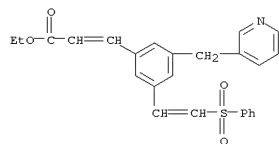
AB Some 5-alkyl-3-[(heteroaryl)alkyl]benzenepropanoic acids and 5-alkyl-3-[(heteroaryl)oxy]benzenepropanoic acids are claimed. A process for the preparation of said compds. is claimed. These compds. are thromboxane A2 antagonists or thromboxane A2 synthetase inhibitors. Thus, (pyridylmethyl)benzenepropanoic acid I was prepared in several steps.

The in vitro thromboxane A<sub>2</sub> antagonist and thromboxane A<sub>2</sub> synthetase-inhibiting activity was tested.

IT 145691-70-9P 145691-71-0P

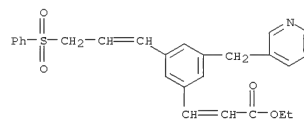
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate in preparation of  
heteroaryl(sulfonylalkyl)benze  
nepropanoate thromboxane A2 antagonists)

RN	145691-70-9	CAPLUS
CN	2-Propenoic acid, 3-[3-[2-(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (CA INDEX NAME)	



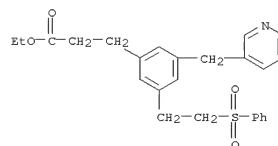
RN 145691-71-0 CAPLUS  
CN 2-Propenoic acid, 3-[3-[3-(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

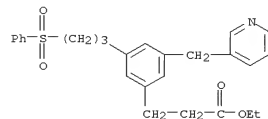


IT 145691-13-0P 145691-14-1P 145692-11-1P  
145692-12-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as thromboxane A2 antagonist and thromboxane A2  
synthetase  
inhibitor)

RN 145691-13-0 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-,  
 ethyl ester (CA INDEX NAME)



RN 145691-14-1 CAPLUS  
CN Benzenepropanoic acid,  
3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
, ethyl ester (CA INDEX NAME)

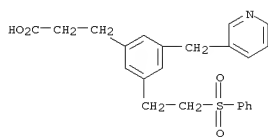


RN 145692-11-1 CAPLUS  
CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-  
(CA INDEX NAME)

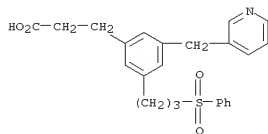
02/29/2008

10-566,291.trn

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 145692-12-2 CAPLUS  
 CN Benzenepropanoic acid,  
 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-  
 (CA INDEX NAME)

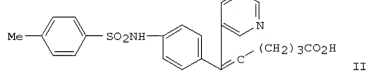
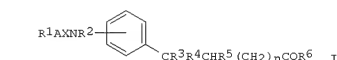


L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:633858 CAPLUS  
 DOCUMENT NUMBER: 117:233858  
 TITLE: Preparation of o-pyridyl-o-  
 [(acylamino)phenyl]alkenoates as thromboxane  
 antagonists and biosynthesis inhibitors  
 INVENTOR(S): Soyka, Rainer; Elsert, Wolfgang; Mueller, Thomas;  
 Weisenberger, Johannes  
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
 SOURCE: Eur. Pat. Appl., 46 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 487095	A1	19920527	EP 1991-119889	19911121
EP 487095	B1	19960228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4037112	A1	19920527	DE 1990-4037112	19901122
AU 9187964	A	19920528	AU 1991-87964	19911119
AU 640063	B2	19930812		
IL 100097	A	19950731	IL 1991-100097	19911120
CA 2055950	A1	19920523	CA 1991-2055950	19911121
FI 9105484	A	19920523	FI 1991-5484	19911121
NO 9104567	A	19920525	NO 1991-4567	19911121
NO 175634	B	19940801		
NO 175634	C	19941109		
HU 60472	A2	19920928	HU 1991-3644	19911121
HU 213676	B	19970929		
JP 04275273	A	19920930	JP 1991-305990	19911121
ZA 9109205	A	19930521	ZA 1991-9205	19911121
RU 2028292	C1	19950209	RU 1991-5010111	19911121
AT 134619	T	19960315	AT 1991-119889	19911121
ES 2084756	T3	19960516	ES 1991-119889	19911121
PRIORITY APPLN. INFO.:			DE 1990-4037112	A 19901122
GI				

L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; n = 2-4; X = CO, CS, SO2; R1 = (phenyl)alkyl, cycloalkyl, naphthyl, biphenyl, indolyl, thienyl, (substituted) Ph, etc., R2 = H, alkyl; R3 = pyridyl; R4, R5 = H; R4, R5 = bond; R6 = OH, alkoxy;

A = bond, alkylene, cycloalkylene, cycloalkylidene, oxyalkylene, etc.],

were prepared Thus, Me 6-(4-aminophenyl)-6-(3-pyridyl)hex-5-enoate

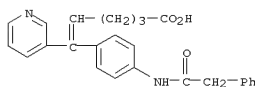
(preparation starting from nicotinoyl chloride hydrochloride and N-acetylaniline given)

was stirred with 4-MeC6H4COCl and Et3N in CH2Cl2 to give the sulfonamide, which was heated with 10 N NaOH in EtOH at 50° to give title compound II. I inhibited human thromboxane synthetase with IC50 = 0.004-0.090 μM. Various dosage forms were prepared containing (-)-5E-6-[4-(2-(4-chlorophenyl) cyclopropyl-1-carboxamido)phenyl]-6-(3-pyridyl)hex-5-enoic acid.

IT 142668-82-4P 142668-86-8P 142668-87-9P  
 142668-88-0P 142668-94-8P 142669-04-3P  
 142669-05-4P 142669-10-1P 142669-11-2P  
 142669-12-3P 142669-16-7P 142669-19-0P  
 142669-20-3P 142669-21-4P 142669-22-5P  
 142669-25-8P 142669-27-0P 142669-28-1P  
 142669-29-2P 142669-30-5P 142669-31-6P  
 142669-32-7P 142669-33-8P 142669-34-9P  
 142669-35-0P 142669-48-5P 142669-72-5P  
 142669-74-7P 142752-96-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as thromboxane antagonist and synthesis inhibitor)

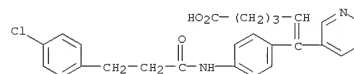
RN 142668-82-4 CAPLUS  
 CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI)  
 (CA INDEX NAME)



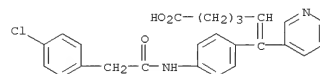
RN 142668-86-8 CAPLUS

L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

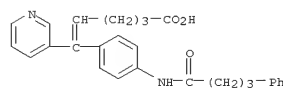
CN 5-Hexenoic acid,  
 6-[4-[[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (9CI)  
 (CA INDEX NAME)



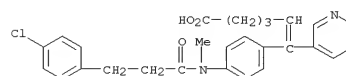
RN 142668-87-9 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[[[3-(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI)  
 (CA INDEX NAME)



RN 142668-88-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142668-94-8 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[4-[[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

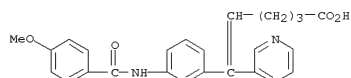


RN 142669-04-3 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-methoxybenzoyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

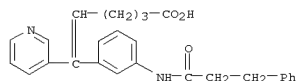
02/29/2008

10-566,291.trn

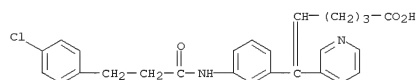
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



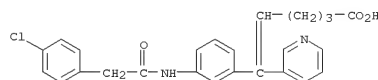
RN 142669-05-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-10-1 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

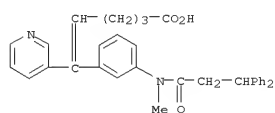


RN 142669-11-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

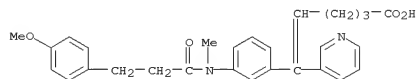


RN 142669-12-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

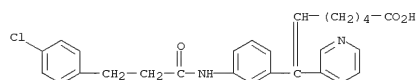
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



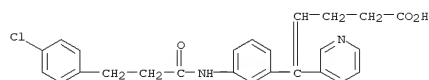
RN 142669-22-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(4-methoxyphenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-25-8 CAPLUS  
 CN 6-Heptenoic acid,  
 7-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-7-(3-pyridinyl)-  
 (CA INDEX NAME)

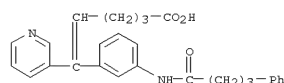


RN 142669-27-0 CAPLUS  
 CN 4-Pentenoic acid,  
 5-[3-[(3-(4-chlorophenyl)-1-oxopropyl)amino]phenyl]-5-(3-pyridinyl)-  
 (CA INDEX NAME)

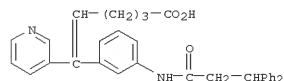


RN 142669-28-1 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(4-chlorophenoxy)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

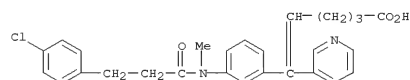
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



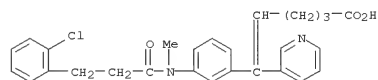
RN 142669-16-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-19-0 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(4-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

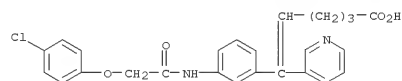


RN 142669-20-3 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

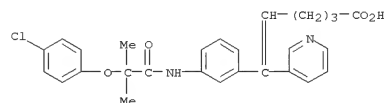


RN 142669-21-4 CAPLUS  
 CN 5-Hexenoic acid,  
 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

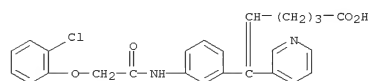
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



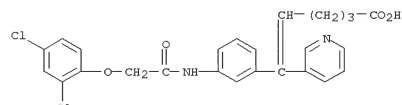
RN 142669-29-2 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-30-5 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)



RN 142669-31-6 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

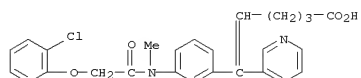


RN 142669-32-7 CAPLUS  
 CN 5-Hexenoic acid, 6-[3-[(3-(2-chlorophenyl)-1-oxopropyl)methylamino]phenyl]-6-(3-pyridinyl)-  
 (CA INDEX NAME)

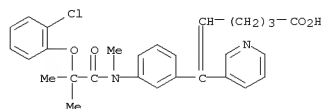
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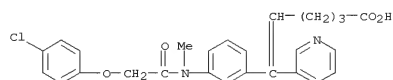
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



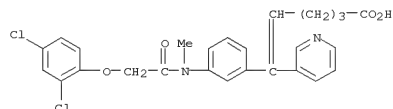
RN 142669-33-8 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[2-(2-chlorophenoxy)-2-methyl-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-34-9 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[4-(4-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

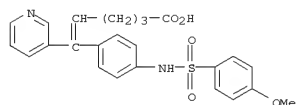


RN 142669-35-0 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[2,4-dichlorophenoxy]acetyl]methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

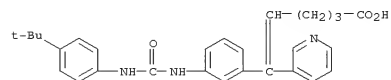


RN 142669-48-5 CAPLUS

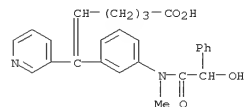
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyridinyl)- (CA INDEX NAME)



L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN 5-Hexenoic acid, 6-[3-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

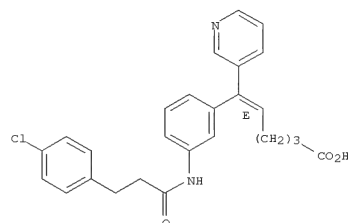


RN 142669-72-5 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[(hydroxyphenylacetyl)methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-74-7 CAPLUS  
CN 5-Hexenoic acid, 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

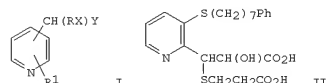
Double bond geometry as shown.



RN 142752-96-3 CAPLUS  
CN 5-Hexenoic acid, 6-[4-[[4-(4-methoxyphenyl)sulfonyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1992:550898 CAPLUS  
DOCUMENT NUMBER: 117:150898  
TITLE: Preparation of pyridylthio- or pyridyloxyalkanoic acids  
INVENTOR(S): Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd; Kinzig, Charles Michael; Uzinskas, Irene Nijole  
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
SOURCE: PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205156	A1	19920402	WO 1991-US6494	19910910
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2089728	A1	19920314	CA 1991-2089728	19910910
AU 9189003	A	19920415	AU 1991-89003	19910910
EP 548291	A1	19930630	EP 1991-919733	19910910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06501019	T	19940127	JP 1991-518250	19910910
ZA 9107261	A	19920930	ZA 1991-7261	19910912
PRIORITY APPLN. INFO.:				
US 1990-581958				A2 19900913
WO 1991-US6494				A 19910910
OTHER SOURCE(S): MARPAT 117:150898				
GI				

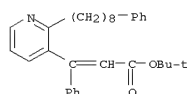


AB Title compds. I (X = O, S(O)q wherein q = 0-2; R = (CH2)nD, (CH2)nArD, wherein n = 0-6, Ar = (substituted) Ph, thienyl, pyridyl, imidazolyl, tetrazol-5-yl, thiazolyl, D = (CH2)lR2 wherein l = 0-3, tetrazol-5-yl; and  
R2 = R4CO wherein R4 = HO, EO wherein E = cation, etc.; R1 = C8-13 alkyl, C7-12 alkoxy, C7-12 alkylthio, C10-12 alkynyl, etc.; Y = R2, R2(CH2)mCHR3 wherein m = 0-2, R3 = H, Me, C1-4 alkoxy, F, HO) or a salt thereof,  
useful as leukotriene antagonists (no data), are prepared  
3-(Phenylheptythio)-2-

02/29/2008

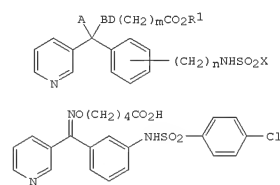
10-566,291.trn

L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (carbomethoxy)pyridine (prepn. given) was converted in 5 steps to the  
 title compd. II. Suppository and tablet formulations comprising I are  
 given.  
 IT 142920-32-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of, in preparation of leukotriene  
 antagonist)  
 RN 142920-32-9 CAPLUS  
 CN 2-Propenoic acid, 3-phenyl-3-[2-(8-phenyloctyl)-3-pyridinyl]-,  
 1,1-dimethylethyl ester (CA INDEX NAME)

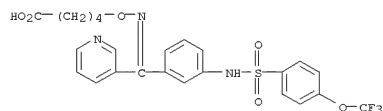


L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:214360 CAPLUS  
 DOCUMENT NUMBER: 116:214360  
 TITLE: Phenylsulfonamide-substituted pyridylalkenoic and  
 aminoxyalkanoic acid derivatives  
 INVENTOR(S): Niewoehner, Ulrich; Mueller, Ulrich E.; Perzborn,  
 Elisabeth; Bischoff, Erwin; Dellweg, Hans Georg  
 PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 25 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

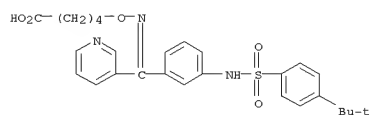
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 471259	A1	19920219	EP 1991-113089	19910803
EP 471259	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4025818	A1	19920220	DE 1990-4025818	19900816
US 5155121	A	19921013	US 1991-739747	19910802
ES 2072492	T3	19950716	ES 1991-113089	19910803
JP 04244063	A	19920901	JP 1991-223620	19910809
US 5185348	A	19930209	US 1992-887208	19920521
PRIORITY APPLN. INFO.:			DE 1990-4025818	A 19900816
			US 1991-739747	A3 19910802
OTHER SOURCE(S):			CASREACT 116:214360; MARPAT 116:214360	



L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 AB Title compds. I [A = H, B = CH2; AB = =CN-, N; D = CH2; ABD = =NO-, R1 =  
 H, alkyl, Ph; X = aryl, heteroaryl; m = 1-10; n = 0-4] were prepared  
 Thus, 3-aminophenyl 3-pyridyl ketone was treated with 4-ClC6H4SO2Cl followed by  
 H2NO(CH2)4CO2H to give imine II as an EZ mixture II inhibited blood  
 platelet aggregation at 0.3-1.0 µg/mL.  
 IT 140182-50-9P 140182-54-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 140182-50-9 CAPLUS  
 CN Pentanoic acid,  
 5-[[[3-pyridinyl[3-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]phenyl]methylene]amino]oxy]- (CA INDEX NAME)

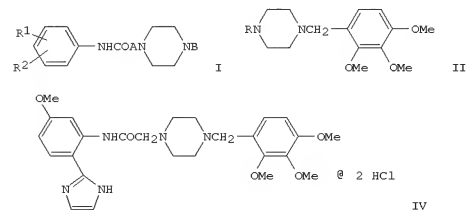


RN 140182-54-3 CAPLUS  
 CN Pentanoic acid,  
 5-[[[3-pyridinyl[3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]phenyl]methylene]amino]oxy]- (CA INDEX NAME)



L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1991:656226 CAPLUS  
 DOCUMENT NUMBER: 115:256226  
 TITLE: Preparation of piperazine derivatives as  
 antiarrhythmics  
 INVENTOR(S): Shibuya, Masayuki; Takahashi, Yoshio; Sato, Seichi;  
 Shigyo, Hiromichi; Ota, Tomio; Uchida, Yasuyoshi  
 PATENT ASSIGNEE(S): Kowa K. K., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03141258	A	19910617	JP 1989-276086	19891025
PRIORITY APPLN. INFO.:			JP 1989-276086	19891025
OTHER SOURCE(S):			MARPAT 115:256226	

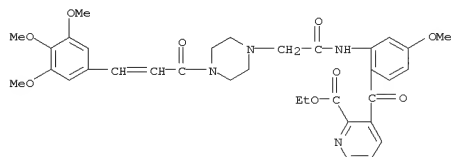


AB Piperazine derivs. [I; R1 = H, alkyl, alkoxy, CF3, pyrrolidinoethoxy; R2 =  
 alkyl, (substituted) imidazolyl, pyridyl, (alkoxycarbonyl-substituted)  
 pyridinecarbonyl; a linear or branched alkylene; B = (hydroxy-, nitroxy-,  
 carboxy-, or alkoxy-carbonyl-substituted) alkyl, PhOCO, etc.] and their  
 acid adducts are prepared BrCH3CO2Et was added to a solution of  
 trimetazidine  
 (II; R = H) and Et2N in THF with stirring at room temperature to give  
 94.2% II  
 (R = CH2CO2Et), which was saponified and ion-exchanged with NH4OH to give  
 81.8% II (R = CH2CO2NH4) (III). 1-Ethyl-3-(3-  
 dimethylaminopropyl)carbodiimide HCl was added to a solution of III and  
 2-(2-imidazolyl)-5-methoxyaniline in THF with stirring at room  
 temperature to  
 give 41.5% IV, which showed min. ED of 2.0-3.0 mg/hg i.v. as  
 antiarrhythmic agent in dogs and increased coronary blood flow by 57% at  
 1

02/29/2008

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L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
ng/kg i.v. in dogs.  
IT 137405-71-1P  
RI: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as antiarrhythmic agent)  
RN 137405-71-1 CAPLUS  
CN 2-Pyridinecarboxylic acid, 3-[4-methoxy-2-[[[4-[1-oxo-3-(3,4,5-  
trimethoxyphenyl)-2-propenyl]-1-piperazinyl]acetyl]amino]benzoyl]-, ethyl  
ester, monohydrochloride (9CI) (CA INDEX NAME)

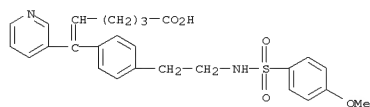


● HCl

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1991:207035 CAPLUS  
DOCUMENT NUMBER: 114:207035  
TITLE: Preparation and formulation of  $\alpha$ -  
[(arylsulfonamidoalkyl)aryl]- $\alpha$ -pyridylalkenoates  
and analogs as drugs  
INVENTOR(S): Heckel, Armin; Nickl, Josef; Soyka, Rainer; Eisert,  
Wolfgang; Mueller, Thomas; Weisenberger, Johannes;  
Meade, Christopher; Muacevic, Gojko  
Thomae, Dr. Karl, G.m.b.H., Germany  
Eur. Pat. Appl., 48 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

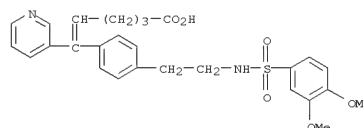
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 397044	A2	19901114	EP 1990-108433	19900504
<-- EP 397044	A3	19911016		
EP 397044	B1	19951227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3915506	A1	19901115	DE 1989-3915506	19890512
<-- DE 3932403	A1	19910411	DE 1989-3932403	19890928
<-- AT 132138	T	19960115	AT 1990-108433	19900504
<-- ES 2083394	T3	19960416	ES 1990-108433	19900504
<-- DD 298390	A5	19920220	DD 1990-340542	19900510
<-- KR 153527	B1	19981116	KR 1990-6587	19900510
<-- CA 2016646	A1	19901112	CA 1990-2016646	19900511
<-- CA 2016646	C	19990907		
NO 9002099	A	19901113	NO 1990-2099	19900511
<-- NO 176176	B	19941107		
NO 176176	C	19950215		
AU 9054924	A	19901115	AU 1990-54924	19900511
<-- AU 627024	B2	19920813		
JP 03005457	A	19910111	JP 1990-122825	19900511
<-- JP 2868283	B2	19990310		
HU 54649	A2	19910328	HU 1990-3013	19900511
<-- HU 214586	B	19980428		
ZA 9003603	A	19920129	ZA 1990-3603	19900511
<-- FI 95372	B	19951013	FI 1990-2358	19900511
<--				

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
FI 95372 C 19960125  
US 5294626 A 19940315 US 1990-523167 19900514  
<-- RU 2096405 C1 19971120 RU 1992-5010477 19921008  
<-- US 5426119 A 19950620 US 1993-154647 19931118  
<-- US 5681961 A 19971028 US 1995-407180 19950321  
<-- PRIORITY APPLN. INFO.: DE 1989-3915506 A 19890512  
<-- DE 1989-3932403 A 19890928  
<-- US 1990-523167 A3 19900514  
<-- US 1993-154647 A3 19931118  
<--  
OTHER SOURCE(S): MARPAT 114:207035  
AB R1SO2NR2ACR3R4CHR5BCOR6 [A = CHR7CH2Z; B = bond, (un)substituted  
alkylene;  
R1 = phenylalkyl, (un)substituted Ph, thienyl; R2,R4,R5,R7 = H, alkyl;  
R4R5 = bond; R3 = (alkyl)pyridyl; R6 = OH, alkoxy, (mono- or  
dialkyl)amino; Z = phenylenediyl, naphthylenediyl, heterocyclylenediyl,  
etc.] were prepared as arachidonic acid cascade substance inhibitors.  
Thus, 4-ClC6H4SO2Cl was condensed with PhCH2CH2NH2 and the product acylated  
with nicotinoyle chloride to give 4-(4-ClC6H4SO2CH2CH2)C6H4C(:X)R (R =  
3-pyridyl) (I; X = O) which was condensed with Ph3P(CH2)4CO2H to give I [X  
= CH(CH2)3CO2H] which had ED50 of 29  $\mu$ g/kg i.v. for inhibition of  
U-46619-induced bronchospasms in guinea pigs.  
IT 133277-32-4P 133277-33-5P  
RI: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as arachidonate cascade substance inhibitor)  
RN 133277-32-4 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[2-[[[4-methoxyphenyl]sulfonyl]amino]ethyl]phenyl]-6-  
(3-pyridinyl)- (CA INDEX NAME)



RN 133277-33-5 CAPLUS  
CN 5-Hexenoic acid,  
6-[4-[2-[[[4-methoxyphenyl]sulfonyl]amino]ethyl]phenyl]-  
1]-6-(3-pyridinyl)- (CA INDEX NAME)

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

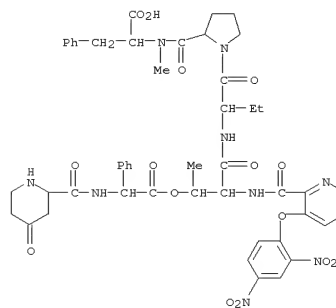


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L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1990:217519 CAPLUS  
 DOCUMENT NUMBER: 112:217519  
 TITLE: A search for phenolic protection in virginiamycin S  
 AUTHOR(S): Sharma, N. K.; Anteunis, M. J. O.  
 CORPORATE SOURCE: Lab. Org. Chem., Rijksuniv.-Gent, Ghent, B-9000, Belg.  
 SOURCE: Bulletin des Societes Chimiques Belges (1989), 98(7), 463-79  
 CODEN: BSCBAG; ISSN: 0037-9646  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 112:217519  
 AB The masking of the hydroxyl group of the pipercolic acid residue in virginiamycin S during alkaline (NaOH) and acidic (CF<sub>3</sub>CO<sub>2</sub>H) degradation procedures was investigated for the use peptide fragments coming thereof for further reconstitution by classical peptide synthesis procedures. Thus, phenacyl, allyloxycarbonyl (Alloc), 2,2,2-trichloroethoxycarbonyl (Troc), and 2,4-dinitrophenyl (Dnp) derivs. have been prepared. None of the prepared derivs. were stable in aqueous basic conditions. The Alloc, Troc, and Dnp derivs. may have some applications under acidic conditions.  
 IT 127092-17-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 127092-17-5 CAPLUS  
 CN L-Phenylalanine,  
 N-[[3-(2,4-dinitrophenoxy)-2-pyridinyl]carbonyl]-O-[N-[(4-oxo-2-piperidinyl)carbonyl]-L-2-phenylglycyl]-L-threonyl-D-2-aminobutanoyl-L-prolyl-N-methyl-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 127092-16-4  
 CMF C49 H53 N9 O15

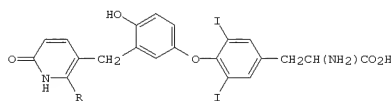
L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2  
 CRN 76-05-1  
 CMF C2 H F3 O2

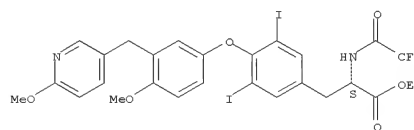


L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1989:173720 CAPLUS  
 DOCUMENT NUMBER: 110:173720  
 TITLE: Synthesis of thyroid hormone analogs. Part 1. Preparation of 3'-heteroaryl-methyl-3,5-diiodo-L-thyronines via phenol-dinitrophenol condensation and relationships between structure and selective thyromimetic activity  
 AUTHOR(S): Leeson, Paul D.; Emmett, John C.  
 CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (12), 3085-96  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:173720  
 GI



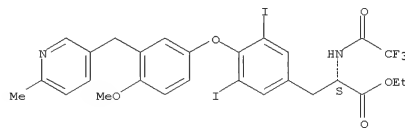
AB 3'-Heteroaryl-methyl analogs, e.g. I (R = H, F), of the natural thyroid hormone 3,3',5-triiodo-L-thyronine (T<sub>3</sub>) were synthesized as potential selective (cardiac-sparing) thyromimetics. The di-Ph ether moiety was constructed by condensation of 3-substituted 4-methoxyphenols with a 3,5-dinitro-L-tyrosine derivative. Synthesis of the key phenols required the in situ preparation, at low temps., of novel metalated species, e.g. 2-lithio-5-methoxypyridine, and 2,6-difluoro-3-lithiopyridine, followed by reaction with 2,4-MeO(PhCH<sub>2</sub>O)C<sub>6</sub>H<sub>3</sub>CHO. Structure-activity relationships indicate that selective thyromimetic activity is associated with 2-oxyheteroaren-5-ylmethyl 3'-substitution, as found in the pyridone I (R = H). The location of the oxy substituent in the heterocycle is critical for both hormonal activity and for binding to the T<sub>3</sub> receptor.  
 IT 105189-55-7P 120130-21-4P 120130-23-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deblocking of, (heteroaryl-methyl)diiodothyronine from)  
 RN 105189-55-7 CAPLUS  
 CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



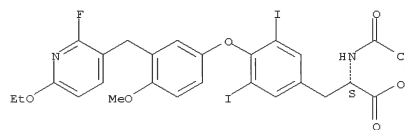
RN 120130-21-4 CAPLUS  
 CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120130-23-6 CAPLUS  
 CN L-Tyrosine, O-[3-[(6-methoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-3,5-diiodo-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

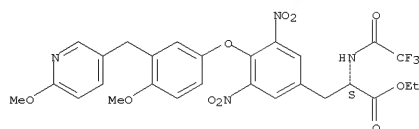


IT 105189-51-3P 120130-16-7P 120130-18-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and sequential reduction, diazotization, and iodination of)  
 RN 105189-51-3 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

02/29/2008

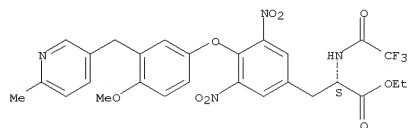
10-566,291.trn

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



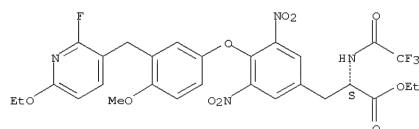
RN 120130-16-7 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



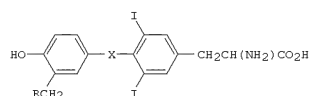
RN 120130-18-9 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(6-ethoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-  
 3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

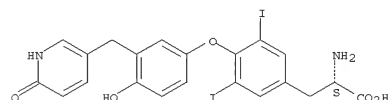


IT 105189-53-5P 120129-99-9P 120130-00-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and thymimetic activity of)  
 RN 105189-53-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3,5-diiodo- (CA INDEX NAME)

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1989:115292 CAPLUS  
 DOCUMENT NUMBER: 110:115292  
 TITLE: Selective thyromimetics. Cardiac-sparing thyroid hormone analogs containing 3'-arylmethyl substituents  
 AUTHOR(S): Leeson, Paul D.; Emmett, John C.; Shah, Virendra P.; Showell, Graham A.; Novelli, Ricardo; Prain, R. Douglas; Benson, Martin G.; Ellis, David; Pearce, Nigel J.; Underwood, Anthony H.  
 CORPORATE SOURCE: Smith Kline French Res. Ltd., Frythe/Welwyn, AL6 9AR, UK  
 SOURCE: Journal of Medicinal Chemistry (1989), 32(2), 320-36  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:115292  
 GI

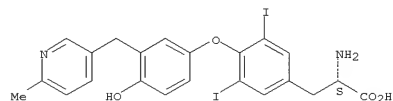


AB Introduction of specific arylmethyl groups at the 3'-position of the thyroid hormone 3,3',5'-triiodo-L-thyronine (T3), and its known hormonally active derivs., gives liver-selective, cardiac-sparing thyromimetics (e.g., I, X = O, S; R = aryl group), with potential utility as plasma cholesterol lowering agents. Correlations between in vivo and in vitro receptor binding affinities show that liver/heart selectivity does not depend on receptor recognition but on penetration or access to receptors in vivo. QSAR studies of the binding data of a series of 20 3'-arylmethyl T3 analogs show that electroneg. groups at the para position increase both receptor binding and selectivity in vivo. However, increasing 3'-arylmethyl hydrophobicity increases receptor binding but reduces selectivity. Substitution at ortho and meta positions reduces both binding and selectivity. Replacement of the 3,5-iodo groups by halogen or Me maintains selectivity, with 3,5-dibromo analogs in particular having increased potency combined with oral bioavailability. Di-Ph thioether derivs. also have improved potency but are less orally active. At the 1-position, the D enantiomer retains selectivity, but removal of the α-amino to give a propionic acid results in loss of selective thymimetic activity.  
 IT 105189-55-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-methylation and O-demethylation and hydrolysis of)  
 RN 105189-55-7 CAPLUS  
 CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
Absolute stereochemistry.

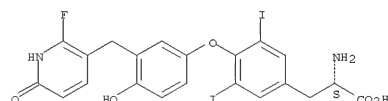
RN 120129-99-9 CAPLUS  
 CN L-Tyrosine, O-[4-hydroxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

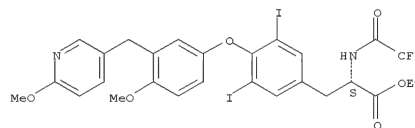


RN 120130-00-9 CAPLUS  
 CN L-Tyrosine, O-[3-[(2-fluoro-1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

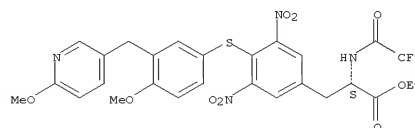
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
INDEX NAME)

Absolute stereochemistry.



IT 105189-64-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and catalytic hydrogenation, diazotization, and halogenation of)  
 RN 105189-64-8 CAPLUS  
 CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



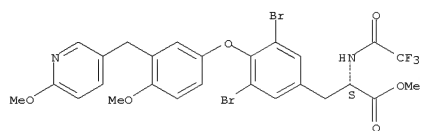
IT 105189-46-6P 105189-58-0P 105189-65-9P  
 105189-68-2P 105189-74-0P 117896-32-9P  
 117896-44-3P 117896-45-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and demethylation and hydrolysis of)  
 RN 105189-46-6 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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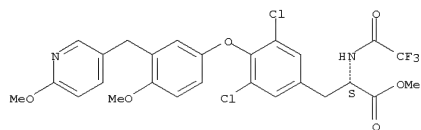
10-566,291.trn

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



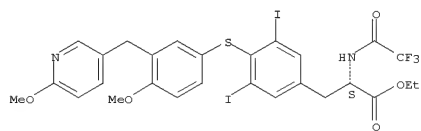
RN 105189-58-0 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



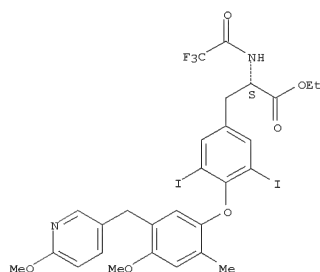
RN 105189-65-9 CAPLUS  
 CN L-Phenylalanine, 3,5-diiodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

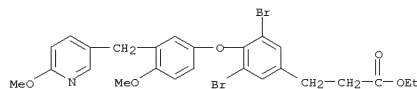


RN 105189-68-2 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

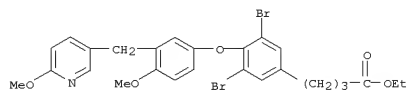
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 117896-44-3 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 117896-45-4 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

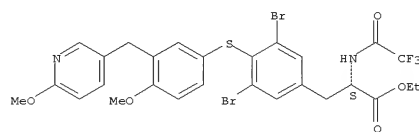


IT 117896-24-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and reduction and diazotization and iodination of)  
 RN 117896-24-9 CAPLUS  
 CN L-Tyrosine, O-[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

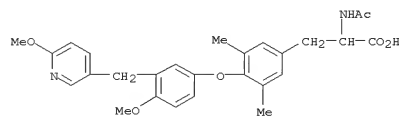
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



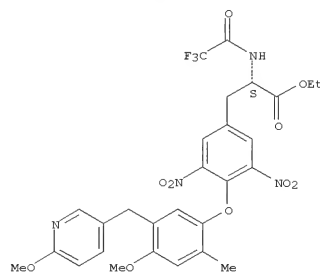
RN 105189-74-0 CAPLUS  
 CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl-, (CA INDEX NAME)



RN 117896-32-9 CAPLUS  
 CN L-Tyrosine, 3,5-diiodo-4-[[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

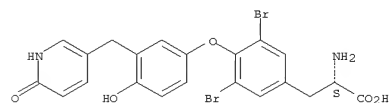
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



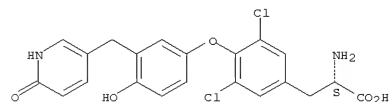
IT 105189-37-5P 105189-56-8P 105189-60-4P  
 105189-67-1P 105189-72-8P 105189-91-1P  
 105189-96-6P 105189-99-9P 117653-26-6P  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and thyromimetic activity of)  
 RN 105189-37-5 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-56-8 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



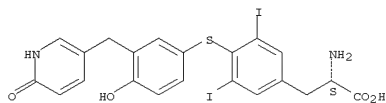
RN 105189-60-4 CAPLUS

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10-566,291.trn

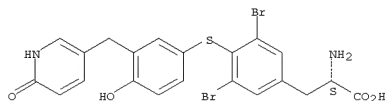
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN L-Phenylalanine, 4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]thio]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

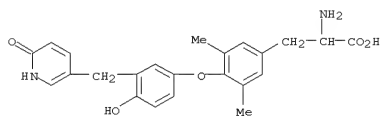


RN 105189-67-1 CAPLUS  
 CN L-Phenylalanine, 3,5-dibromo-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



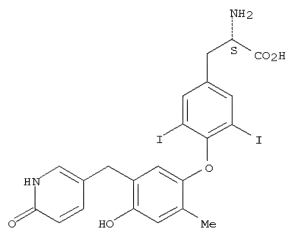
RN 105189-72-8 CAPLUS  
 CN Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-dimethyl- (CA INDEX NAME)



RN 105189-91-1 CAPLUS  
 CN D-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

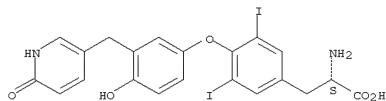
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



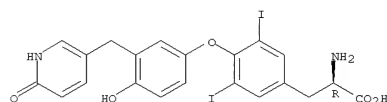
IT 105189-53-5  
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(thyromimetic activity of)  
 RN 105189-53-5 CAPLUS  
 CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

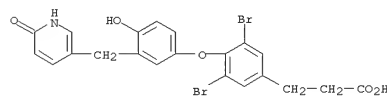
Absolute stereochemistry.



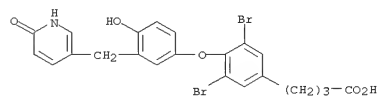
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-96-6 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



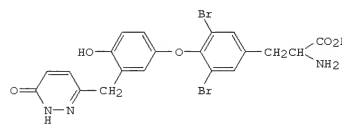
RN 105189-99-9 CAPLUS  
 CN Benzenebutanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 117653-26-6 CAPLUS  
 CN L-Tyrosine, O-[5-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxy-2-methylphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1987:131516 CAPLUS  
 DOCUMENT NUMBER: 106:131516  
 TITLE: A thyromimetic that decreases plasma cholesterol levels without increasing cardiac activity  
 AUTHOR(S): Underwood, A. H.; Emmett, J. C.; Ellis, D.; Flynn, S. B.; Leeson, P. D.; Benson, G. M.; Novelli, R.; Pearce, N. J.; Shah, V. P.  
 CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK  
 SOURCE: Nature (London, United Kingdom) (1986), 324(6096), 425-9  
 CODEN: NATUAS; ISSN: 0028-0836  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB A new class of thyromimetics (agents that mimic the ability of the thyroid hormone T3 [6893-02-3] to decrease plasma cholesterol levels) is described. The most potent of these SKF L94901 (I) [105211-23-2] (as determined by the induction of mitochondrial cytochrome c oxidoreductase [9001-49-4] in heart and liver of hypothyroid rats) was as active as T3 at reducing cholesterol levels and at stimulating liver function but had .apprx.0.1% the activity of T3 on heart function. In hypothyroid rats and rats with normal thyroid function, I was also shown to be a potent hypocholesterolemic agent with only a small effect on metabolic rate (determined by whole body O consumption). The affinities of the thyromimetics for the thyroid hormone receptor of isolated heart and liver nuclei were determined, and the relationship between receptor affinity and structure is discussed.

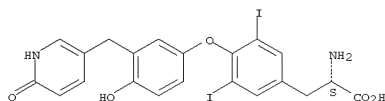
IT 105189-53-5  
 RL: BIOL (Biological study)  
 (as thyromimetic, hypocholesterolemic activity of and heart and liver functions response to, thyroid hormone receptor binding in relation to)  
 RN 105189-53-5 CAPLUS  
 CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

02/29/2008

10-566,291.trn

L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

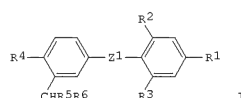
ACCESSION NUMBER: 1986:609386 CAPLUS  
DOCUMENT NUMBER: 105:209386  
ORIGINAL REFERENCE NO.: 105:33779a,33782a  
TITLE: Thyronines and thyronine analogs  
INVENTOR(S): Leeson, Paul David; Emmett, John Colin; Underwood, Anthony Hubert; Ellis, David  
PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK  
SOURCE: Eur. Pat. Appl., 59 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<--	EP 188351	A2	19860723	EP 1986-300178	19860113
	EP 188351	A3	19890315		
	EP 188351	B1	19910313		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
<--	AU 8652219	A	19860724	AU 1986-52219	19860113
	AU 577917	B2	19881006		
	AT 61581	T	19910315	AT 1986-300178	19860113
<--	CA 1319148	C	19930615	CA 1986-499485	19860113
<--	US 4766121	A	19880823	US 1986-818626	19860114
<--	IL 77605	A	19900209	IL 1986-77605	19860114
<--	DK 8600185	A	19860719	DK 1986-185	19860115
	DK 164592	B	19920720		
	DK 164592	C	19921207		
	ZA 8600319	A	19860827	ZA 1986-319	19860116
<--	FI 8600229	A	19860719	FI 1986-229	19860117
<--	NO 8600159	A	19860721	NO 1986-159	19860117
<--	HU 40401	A2	19861228	HU 1986-244	19860117
	HU 194807	B	19880328		
	JP 61167643	A	19860729	JP 1986-8800	19860118
<--	JP 07103070	B	19951108		
	CN 86100894	A	19860903	CN 1986-100894	19860118
<--	CN 1010310	B	19901107		
	US 4826876	A	19890502	US 1987-136240	19871221
<--	US 4910305	A	19900320	US 1988-168780	19880316

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
US 5061798 A 19911029 US 1989-428264 19891027PRIORITY APPLN. INFO.: GB 1985-1372 A 19850118  
EP 1986-300178 A 19860113US 1986-818626 A1 19860114  
US 1988-168780 A3 19880316

OTHER SOURCE(S): CASREACT 105:209386; MARPAT 105:209386

GI

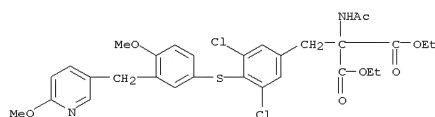


AB Acids and derivs. I [R1 = 2-amino-2-carboxyethyl, CO2H, carbalkoxy, carbamoyl, carboxy-, carbalkoxy-, or carbamoylalkyl, etc.; R2 and R3 = H, halo, alkyl, NO2, NH2; Z1 = O, S, CH2; R4 = OH, alkoxy, OCH2Ph, etc.; R5

= H, alkyl; R6 = 4-HOC6H4, 5-hydroxy-2-pyridyl, 6-oxo-3(1H)-pyridyl, 6-oxo-3(1H)-pyridazinyl] were prepared, and they exhibited anticholesteremic

activity in rats. A 3,5-dibromotyrosine derivative was etherified by a diaryliodonium perchlorate derivative to give, after deprotection, I [R1

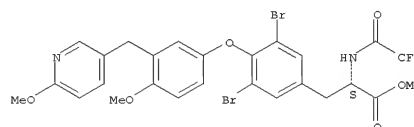
= CH2CH(NH2)CO2H, R2 = R3 = Br, Z1 = O, R4 = HO, R5 = H, R6 = 6-oxo-3(1H)-pyridyl].

IT 105189-88-6P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and decarboxylation-deprotection of)RN 105189-88-6 CAPLUS  
CN Propanedioic acid, (acetyl amino)[(3,5-dichloro-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)IT 105189-46-6P 105189-52-4P 105189-54-6P  
105189-55-7P 105189-58-0P 105189-59-1P

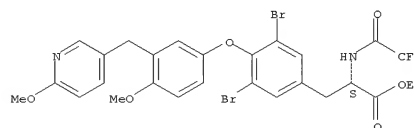
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

105189-66-0P 105189-68-2P 105189-71-7P  
105189-74-0P 105211-21-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and deprotection of)  
RN 105189-46-6 CAPLUS  
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

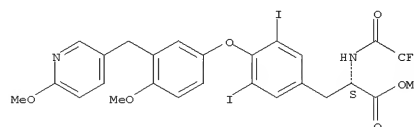
Absolute stereochemistry.

RN 105189-52-4 CAPLUS  
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105189-54-6 CAPLUS  
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



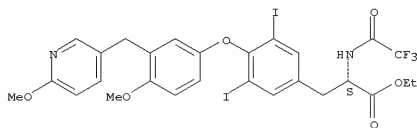
02/29/2008

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L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

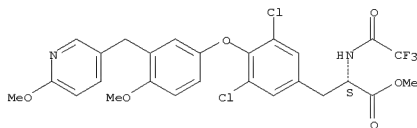
RN 105189-55-7 CAPLUS  
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



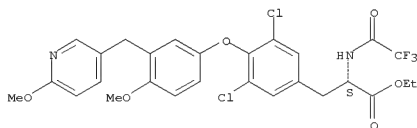
RN 105189-58-0 CAPLUS  
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



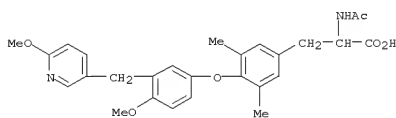
RN 105189-59-1 CAPLUS  
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



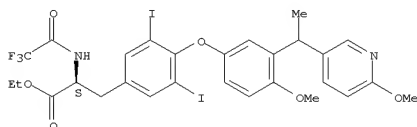
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

RN 105189-74-0 CAPLUS  
CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl- (CA INDEX NAME)



RN 105211-21-0 CAPLUS  
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[1-(6-methoxy-3-pyridinyl)ethyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

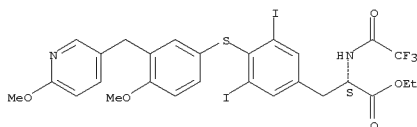
Absolute stereochemistry.



IT 105189-65-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrolysis of)

RN 105189-65-9 CAPLUS  
CN L-Phenylalanine, 3,5-diiodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



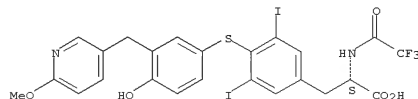
IT 105189-51-3P

Page 178

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

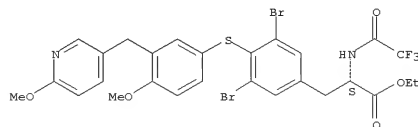
RN 105189-66-0 CAPLUS  
CN L-Phenylalanine, 4-[[4-hydroxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-diiodo-N-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



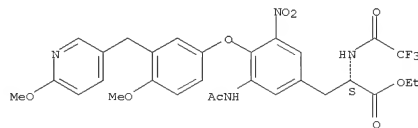
RN 105189-68-2 CAPLUS  
CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-71-7 CAPLUS  
CN L-Tyrosine, 3-(acetyl-amino)-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-5-nitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

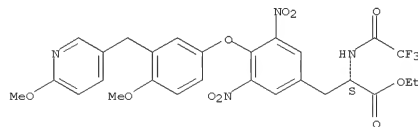
Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

RN 105189-51-3 CAPLUS  
CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

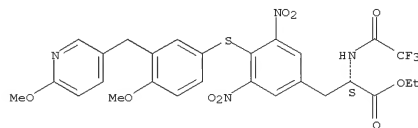
Absolute stereochemistry.



IT 105189-64-8P 105189-78-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and successive reduction, diazotization and iodination of)

RN 105189-64-8 CAPLUS  
CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



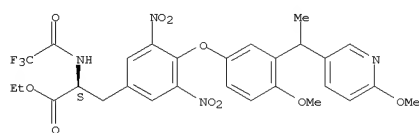
RN 105189-78-4 CAPLUS  
CN L-Tyrosine, O-[4-methoxy-3-[1-(6-methoxy-3-pyridinyl)ethyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

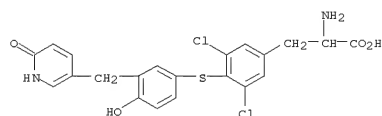
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L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

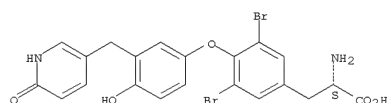


IT 105170-56-7P 105189-37-5P 105189-53-5P  
 105189-56-8P 105189-60-4P 105189-67-1P  
 105189-69-3P 105189-70-6P 105189-72-8P  
 105189-75-1P 105189-79-5P 105189-80-8P  
 105189-81-9P 105189-89-7P 105189-90-0P  
 105189-91-1P 105189-95-5P 105189-96-6P  
 105189-97-7P 105189-98-8P 105189-99-9P  
 105190-00-9P 105190-01-0P 105190-03-2P  
 105190-04-3P 105190-05-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as anticholesteremic)  
 RN 105170-56-7 CAPLUS  
 CN Phenylalanine,  
 3,5-dichloro-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-  
 4-hydroxyphenyl]thio]- (CA INDEX NAME)

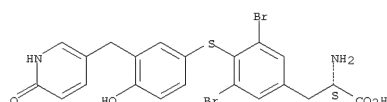


RN 105189-37-5 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-  
 hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.

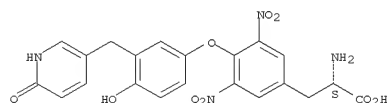


L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-69-3 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3,5-dinitro-, monohydrobromide (9CI) (CA INDEX NAME)

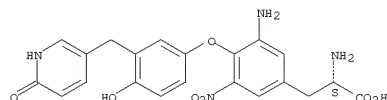
Absolute stereochemistry.



● HBr

RN 105189-70-6 CAPLUS  
 CN L-Tyrosine, 3-amino-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-  
 hydroxyphenyl]-5-nitro- (CA INDEX NAME)

Absolute stereochemistry.

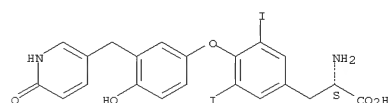


RN 105189-72-8 CAPLUS  
 CN Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3,5-dimethyl- (CA INDEX NAME)

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

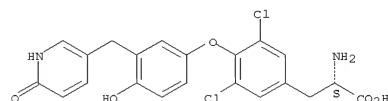
RN 105189-53-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



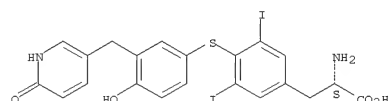
RN 105189-56-8 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-  
 hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-60-4 CAPLUS  
 CN L-Phenylalanine, 4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-  
 hydroxyphenyl]thio]-3,5-diiodo- (CA INDEX NAME)

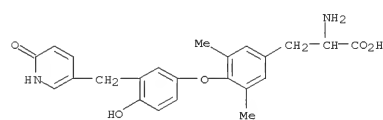
Absolute stereochemistry.



RN 105189-67-1 CAPLUS  
 CN L-Phenylalanine,  
 3,5-dibromo-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-  
 4-hydroxyphenyl]thio]- (CA INDEX NAME)

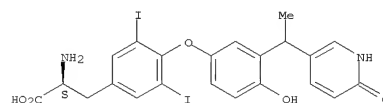
Absolute stereochemistry.

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



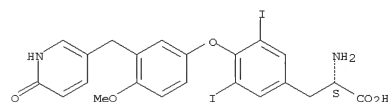
RN 105189-75-1 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)ethyl]-4-hydroxyphenyl]-  
 3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



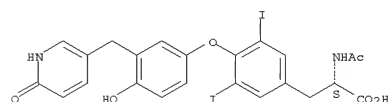
RN 105189-79-5 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-methoxyphenyl]-  
 3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-80-8 CAPLUS  
 CN L-Tyrosine, N-acetyl-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-  
 hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

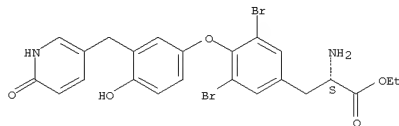


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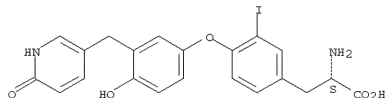
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 RN 105189-81-9 CAPLUS  
 CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



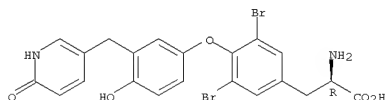
RN 105189-89-7 CAPLUS  
 CN L-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3-iodo- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-90-0 CAPLUS  
 CN D-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

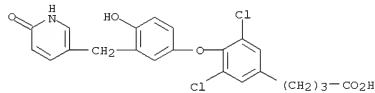
Absolute stereochemistry.



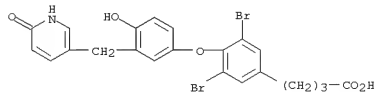
RN 105189-91-1 CAPLUS  
 CN D-Tyrosine,  
 O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-  
 3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

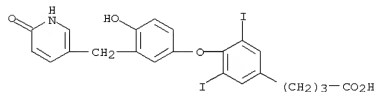
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



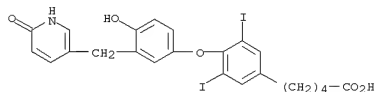
RN 105189-99-9 CAPLUS  
 CN Benzenebutanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 105190-00-9 CAPLUS  
 CN Benzenebutanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)

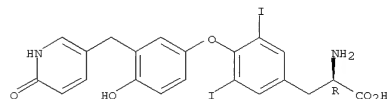


RN 105190-01-0 CAPLUS  
 CN Benzenepentanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)

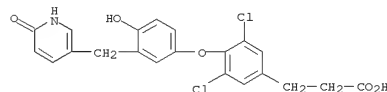


RN 105190-03-2 CAPLUS  
 CN L-Tyrosine, 3-bromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-nitro- (CA INDEX NAME)

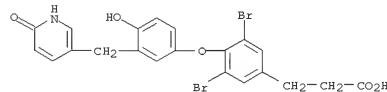
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



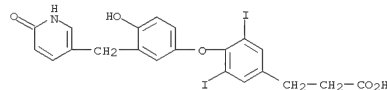
RN 105189-95-5 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 105189-96-6 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)

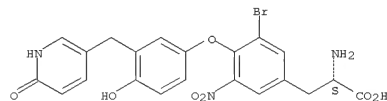


RN 105189-97-7 CAPLUS  
 CN Benzenepropanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)



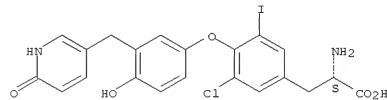
RN 105189-98-8 CAPLUS  
 CN Benzenebutanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 Absolute stereochemistry.



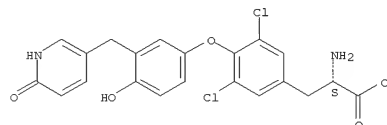
RN 105190-04-3 CAPLUS  
 CN L-Tyrosine, 3-chloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105190-05-4 CAPLUS  
 CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

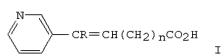
Absolute stereochemistry.



02/29/2008

10-566,291.trn

L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1985:91907 CAPLUS  
 DOCUMENT NUMBER: 102:91907  
 ORIGINAL REFERENCE NO.: 102:14375a,14378a  
 TITLE: Thromboxane synthetase inhibitors (TXSI). Design, synthesis, and evaluation of a novel series of  $\alpha$ -pyridylalkenoic acids  
 AUTHOR(S): Kato, Kaneyoshi; Ohkawa, Shigenori; Terao, Shinji; Terashita, Zenichi; Nishikawa, Kohei  
 CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan  
 SOURCE: Journal of Medicinal Chemistry (1985), 28(3), 287-94  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 102:91907  
 GI



AB A series of 3-pyridylalkenoic acids. I (R = H, Me, (un)substituted Ph, thienyl, naphthyl, etc.; n = 2-5), and selected analogs and esters were prepared, by Wittig reaction of the appropriate pyridylketone and phosphonium bromide, as potential inhibitors of thromboxane A2 synthetase [60832-04-4]. Most I were effective enzyme inhibitors in vitro and ex vivo; (E)-7-(3-pyridyl)-6-heptenoic acid [89667-40-3] was one of the

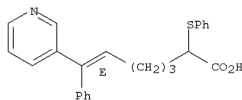
most potent inhibitors in vitro and when administered orally to rats. New models for I-enzyme and substrate-enzyme interactions are presented along with inhibitor structure-activity relations.

IT 92571-82-9P 92572-29-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of and thromboxane A2 synthetase inhibition by)

RN 92571-82-9 CAPLUS

CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-29-7 CAPLUS

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:591697 CAPLUS  
 DOCUMENT NUMBER: 101:191697  
 ORIGINAL REFERENCE NO.: 101:29043a,29046a  
 TITLE: (Pyridylvinyl)alkanoic acid derivatives  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59067266	A	19840416	JP 1982-176918	19821007
JP 02033704	B	19900730		
US 4518602	A	19850521	US 1983-537862	19830930
CA 1246077	A1	19881206	CA 1983-438497	19831006
EP 111997	A2	19840627	EP 1983-306078	19831007
EP 111997	A3	19851227		
EP 111997	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 62478	T	19910415	AT 1983-306078	19831007
PRIORITY APPLN. INFO.:			JP 1982-176918	A 19821007
			JP 1982-211753	A 19821201
			EP 1983-306078	A 19831007

OTHER SOURCE(S): MARPAT 101:191697

AB Ninety RR1C:CH(CH2)nCR2R3CO2R4 [I; R = pyridyl; R1 = Ph, furyl, thienyl, etc.; one of R2 and R3 = H, alkyl and the other = aryloxy, arylsulfinyl, arylsulfonyl, etc.; R2R3 = (CH2)5; R4 = H, Me, Et, PhCH2; n = 2-6] were prepared. I were effective TXA2 synthetase inhibitors at 3 + 10-8 M. Thus, 1.6 M BuLi in hexane was added to a solution of 6 mmol (Me2CH)2NH

in THF at -70° under Ar, followed by 5.5 mmol Me cyclohexanecarboxylate in THF and 5 mmol (E)-6-phenyl-6-(3-pyridyl)-1-iodo-5-hexene in (Me2N)3PO to give 1.2 g (E)-I [R = 3-pyridyl, R1 = Ph, R2R3 = (CH2)5, R4 = Me, n = 4].

IT 92571-66-9P 92571-67-0P 92571-68-1P  
 92571-77-2P 92571-80-7P 92571-82-9P  
 92571-83-0P 92571-84-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and thromboxane synthetase inhibitory activity of)

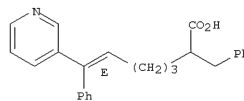
RN 92571-66-9 CAPLUS

CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

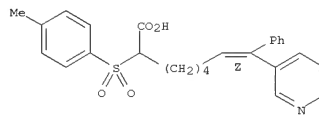
Double bond geometry as shown.

L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzenepropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



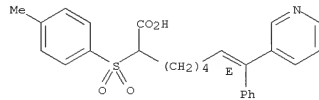
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 92571-67-0 CAPLUS

CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

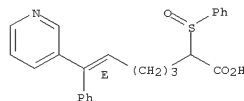
Double bond geometry as shown.



RN 92571-68-1 CAPLUS

CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

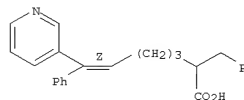
Double bond geometry as shown.



RN 92571-77-2 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



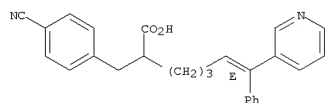
RN 92571-80-7 CAPLUS

02/29/2008

10-566,291.trn

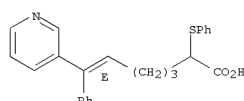
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzenepropanoic acid, 4-cyano- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



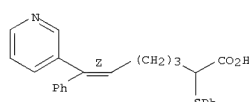
RN 92571-82-9 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-83-0 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (Z)- (9CI)  
 (CA INDEX NAME)

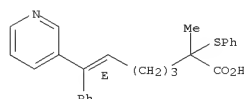
Double bond geometry as shown.



RN 92571-84-1 CAPLUS  
 CN 6-Heptenoic acid, 2-methyl-7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

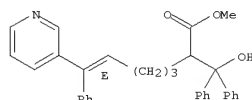
Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



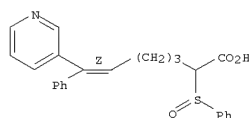
RN 92571-33-0 CAPLUS  
 CN Benzenepropanoic acid,  $\beta$ -hydroxy- $\beta$ -phenyl- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



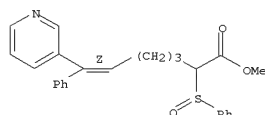
RN 92571-34-1 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (Z)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.

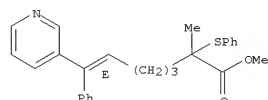


RN 92571-36-3 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



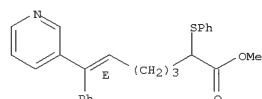
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 92571-20-5P 92571-21-6P 92571-23-8P  
 92571-33-0P 92571-34-1P 92571-36-3P  
 92571-87-4P 92571-88-5P 92571-93-2P  
 92571-94-3P 92571-95-4P 92572-00-4P  
 92572-01-5P 92572-06-0P 92572-07-1P  
 92572-08-2P 92572-09-3P 92572-13-9P  
 92572-14-0P 92572-23-1P 92572-29-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

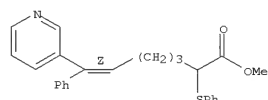
RN 92571-20-5 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-21-6 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



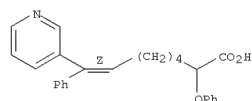
RN 92571-23-8 CAPLUS  
 CN 6-Heptenoic acid, 2-methyl-7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

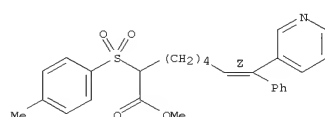
RN 92571-87-4 CAPLUS  
 CN 7-Octenoic acid, 2-phenoxy-8-phenyl-8-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



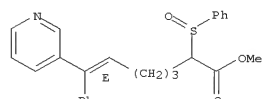
RN 92571-88-5 CAPLUS  
 CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-93-2 CAPLUS  
 CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



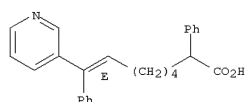
RN 92571-94-3 CAPLUS  
 CN Benzeneacetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

02/29/2008

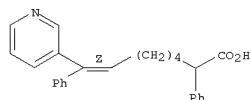
10-566,291.trn

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



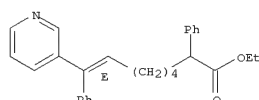
RN 92571-95-4 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, (Z)-  
 (9CI) (CA INDEX NAME)

Double bond geometry as shown.



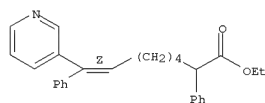
RN 92572-00-4 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl  
 ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

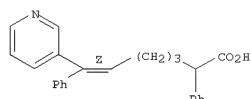


RN 92572-01-5 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl  
 ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

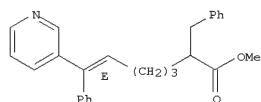


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



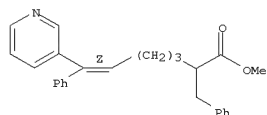
RN 92572-13-9 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-,  
 methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



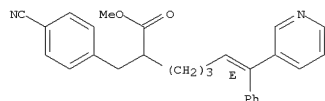
RN 92572-14-0 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-,  
 methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-23-1 CAPLUS  
 CN Benzenepropanoic acid, 4-cyano- $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-  
 pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

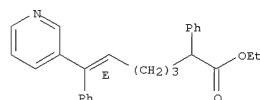


RN 92572-29-7 CAPLUS  
 CN Benzenepropanoic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-,  
 methyl ester, (Z)- (9CI) (CA INDEX NAME)

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

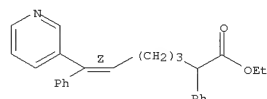
RN 92572-06-0 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl  
 ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



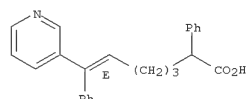
RN 92572-07-1 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl  
 ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-08-2 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)-  
 (9CI) (CA INDEX NAME)

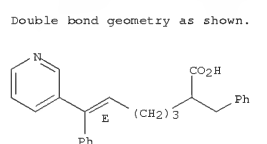
Double bond geometry as shown.



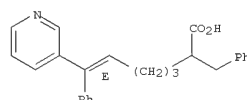
RN 92572-09-3 CAPLUS  
 CN Benzenecetic acid,  $\alpha$ -[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (Z)-  
 (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



Double bond geometry as shown.



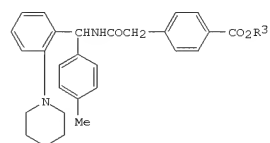
02/29/2008

10-566,291.trn

L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1984:209631 CAPLUS  
DOCUMENT NUMBER: 100:209631  
ORIGINAL REFERENCE NO.: 100:31827a,31830a  
TITLE: N-Benzylamides, their salts, and pharmaceuticals containing these compounds  
INVENTOR(S): Hurnaus, Rudolf; Grell, Wolfgang; Griss, Gerhart; Sauter, Robert; Rupprecht, Eckhard; Kaehling, Joachim;  
PATENT ASSIGNEE(S): Eisele, Bernhard  
SOURCE: Thoma, Dr. Karl, G.m.b.H., Fed. Rep. Ger.  
Ger. Offen., 78 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

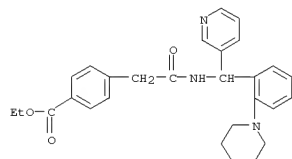
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<--	DE 3225155	A1	19840112	DE 1982-3225155	19820706
<--	EP 99017	A2	19840125	EP 1983-106233	19830627
<--	EP 99017	A3	19840222		
<--	EP 99017	B1	19880302		
<--	SU 1170969	A3	19850730	SU 1983-3608901	19830627
<--	AT 32717	T	19880315	AT 1983-106233	19830627
<--	FI 8302374	A	19840107	FI 1983-2374	19830629
<--	FI 78477	B	19890428		
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<--	NO 8302430	A	19840109	NO 1983-2430	19830704
<--	NO 159590	B	19881010		
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<--	DD 210907	A5	19840627	DD 1983-252755	19830704
<--	DK 8303108	A	19840107	DK 1983-3108	19830705
<--	DK 159850	B	19901217		
<--	DK 159850	C	19910506		
<--	AU 8316576	A	19840112	AU 1983-16576	19830705
<--	AU 561274	B2	19870507		
<--	CS 240970	B2	19860313	CS 1983-5089	19830705
<--	CA 1214773	A1	19861202	CA 1983-431796	19830705
<--	PL 143992	B1	19880430	PL 1983-242873	19830705
<--	JP 59021657	A	19840203	JP 1983-123101	19830706
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L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
JP 03079335 B 19911218  
GB 2124220 A 19840215 GB 1983-18250 19830706  
GB 2124220 B 19851113  
IL 69172 A 19871020 IL 1983-69172 19830706  
ES 530715 A5 19850614 ES 1984-530715 19840316  
US 4735959 A 19880405 US 1985-734252 19850514  
PRIORITY APPLN. INFO.: DE 1981-3100575 A 19810110  
US 1981-335565 A2 19811229  
JP 1982-117311 A 19820705  
JP 1982-117312 A 19820705  
DE 1982-3225155 A 19820706  
DE 1982-3225188 A 19820706  
EP 1983-106233 A 19830627  
US 1983-510071 A1 19830630  
OTHER SOURCE(S): CASREACT 100:209631  
GI

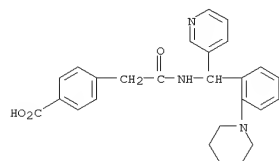


AB RCH<sub>2</sub>R<sub>1</sub>NHCOCH<sub>2</sub>R<sub>2</sub> (R = tert-aminophenyl; R<sub>1</sub> = substituted alkyl, aryl, heteroaryl; R<sub>2</sub> = substituted Ph) were prepared. Thus a-p-tolyl-2-piperidinobenzylamine was treated with 4-EtOCC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO<sub>2</sub>H to give 65% I (R<sub>3</sub> = Et) which was saponified to give 59.3% I (R<sub>3</sub> = H). At 10 mg/kg orally in rats I (R<sub>3</sub> = H) gave 44% decrease in blood sugar level in 2 h.  
IT 89572-78-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and saponification of)  
RN 89572-78-1 CAPLUS

L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN Benzoic acid, 4-[2-oxo-2-[[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl]-, ethyl ester (CA INDEX NAME)



IT 89573-14-8P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
RN 89573-14-8 CAPLUS  
CN Benzoic acid, 4-[2-oxo-2-[[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl]- (CA INDEX NAME)



L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1984:183110 CAPLUS  
DOCUMENT NUMBER: 100:183110  
ORIGINAL REFERENCE NO.: 100:27733a,27736a  
TITLE: Photographic products and processes employing 6-heterocyclylazo-3-pyridinol nondiffusible cyan dye-releasing compounds and precursors thereof  
INVENTOR(S): Reczek, James A.; Elwood, James K.  
PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
SOURCE: U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 380,844, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<--	US 4419435	A	19831206	US 1983-458501	19830117
<--	CA 1202961	A1	19860408	CA 1982-410800	19820903
<--	JP 58209742	A	19831206	JP 1983-88393	19830521
<--	US 4495100	A	19850122	US 1983-504693	19830615
<--	US 4495098	A	19850122	US 1983-504694	19830615
<--	US 4476207	A	19841009	US 1984-578720	19840209
<--	PRIORITY APPLN. INFO.:			US 1982-380844	A2 19820521
<--				US 1983-458501	A3 19830117
<--				US 1983-504694	A3 19830615

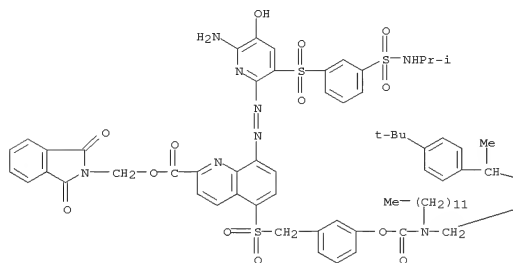
GI For diagram(s), see printed CA Issue.  
AB Photog. elements, diffusion-transfer assemblies, coordination complexes, and processes are described which employ a nondiffusible compound of the formula I (R = OH, a salt or hydrolyzable precursor thereof, or a ballasted carrier bound through an O; R<sub>1</sub> = a ballasted carrier moiety capable of releasing the diffusible cyan dye moiety as a function of the development of a Ag halide emulsion layer under alkaline conditions; Z = the atoms necessary to complete a 5- or 6-membered aromatic heterocyclic fused ring; n = 0, 1, or 2 and when n = 0, then R is a ballasted carrier) capable of releasing ≥1 diffusible cyan dye moiety, premetallized or metallizable, to diffuse to an image-receiving layer to form a metal-complexed dye-transfer image having better red hue, min. unwanted absorption outside the red region of the spectrum, narrower bandwidth, rapid diffusion rate, and shorter access time, as well as good stability to heat, light, and chemical reagents. Thus, in an alkaline solution of the dye II, which was capable of being released from III, was immersed a Ni(II)-containing receiving element containing a mordant. The receiving element was removed

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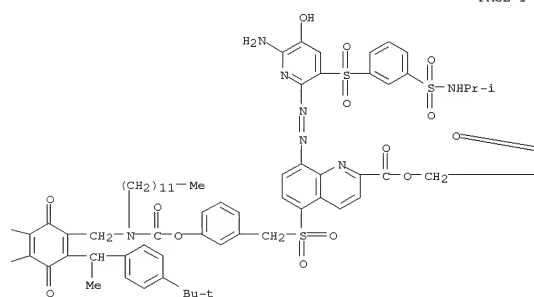
10-566,291.trn

L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 from the dye soln., washed, placed in a pH 7.0 buffer, dried, and the  
 Amax, half bandwidth, diffusion time, and percent fade (21 day  
 irradian. with 50,000 lx at 38° and low humidity) were 660 nm, 95 nm,  
 47 s, and 8%, resp.  
 IT 88606-47-7  
 RL: USES (Uses)  
 (photog. cyan dye-releasing redox compound)  
 RN 88606-47-7 CAPLUS  
 CN 2-Quinolincarboxylic acid, 5,5'-[[2,5-bis[1-[4-(1,1-  
 dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-  
 diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-  
 phenylenemethylenesulfonyl]]bis[8-[[[6-amino-5-hydroxy-3-[[[3-[[[1-  
 methylethylamino]sulfonyl]phenyl]sulfonyl]-2-pyridinyl]azo]-,  
 bis[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl] ester (9CI) (CA  
 INDEX NAME)

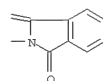
PAGE 1-A



PAGE 1-B



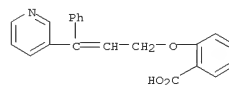
PAGE 1-C



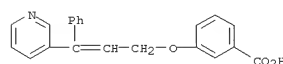
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:174676 CAPLUS  
 DOCUMENT NUMBER: 100:174676  
 ORIGINAL REFERENCE NO.: 100:26565a,26568a  
 TITLE: Substituted vinylcarboxylic acid derivatives  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: UKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58219162	A	19831220	JP 1982-102488	19820614
JP 63047707	B	19880926		
EP 98690	A2	19840118	EP 1983-303214	19830603
EP 98690	A3	19841114		
EP 98690	B1	19870909		
AT 29491	T	19870915	AT 1983-303214	19830603
ZA 8304094	A	19840229	ZA 1983-4094	19830606
AU 8315483	A	19841220	AU 1983-15483	19830608
AU 553529	B2	19860717		
US 4727078	A	19880223	US 1983-502603	19830609
DK 8302657	A	19831215	DK 1983-2657	19830610
DK 158306	B	19900430		
DK 158306	C	19901008		
SU 1266470	A3	19861023	SU 1983-360554	19830610
IL 68957	A	19860831	IL 1983-68957	19830612
FI 8302113	A	19831215	FI 1983-2113	19830613
FI 79099	B	19890731		
FI 79099	C	19891110		
NO 8302137	A	19831215	NO 1983-2137	19830613
NO 162155	B	19890807		
NO 162155	C	19891115		
HU 30736	A2	19840328	HU 1983-2097	19830613
HU 188911	B	19860528		
ES 523199	A1	19850216	ES 1983-523199	19830613
CA 1196642	A1	19851112	CA 1983-430228	19830613
US 4760068	A	19880726	US 1986-871386	19860606
PRIORITY APPLN. INFO.:			JP 1982-102488	A 19820614

L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 JP 1982-211753 A 19821201  
 EP 1983-303214 A 19830603  
 US 1983-502603 A1 19830609  
 OTHER SOURCE(S): CASREACT 100:174676  
 AB Seventy-six title derivs. RR1C:CHCH2-X-(CH2)nCO2R2 [I; R = pyridyl; R1 =  
 (un)substituted Ph, thienyl, furyl, etc.; X = S, CH2, OC6H5-m(OR3)m (R3 =  
 H, Ac; m = 0, 1); R2 = H, alkyl; n = 0-6] were prepared by, e.g.,  
 reaction of RR1CO (II) with Ph3P+CH2CH2CH2(CH2)nCO2R2.X- III (X = halo).  
 Thromboxane A2 synthetase inhibitory test data on I are given. Thus, 3.7  
 g II (R = 3-pyridyl, R1 = Ph) in THF was added to a mixture of 1 g NaH  
 and 9.5 g III (R2 = H, n = 1, X = Br) in Me2SO at room temperature under A  
 to give, after 30 min, 4.5 g (E)- and (Z)-I (R = 3-pyridyl, R1 = Ph, R2 = H, n =  
 1, X = CH2).  
 IT 89667-95-8P 89667-96-9P 89667-97-0P  
 89667-98-1P 89667-99-2P 89668-00-8P  
 89668-01-9P 89668-03-1P 89668-04-2P  
 89668-05-3P 89668-06-4P 89668-07-5P  
 89668-08-6P 89668-09-7P 89668-10-0P  
 89668-11-1P 89668-12-2P 89668-13-3P  
 89668-32-6P 89668-33-7P 89668-34-8P  
 89668-35-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 89667-95-8 CAPLUS  
 CN Benzoic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA  
 INDEX NAME)

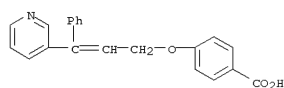


RN 89667-96-9 CAPLUS  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA  
 INDEX NAME)

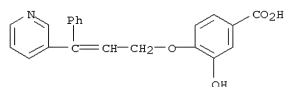


RN 89667-97-0 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA  
 INDEX NAME)

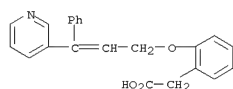
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



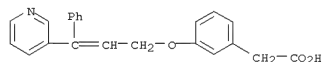
RN 89667-98-1 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89667-99-2 CAPLUS  
 CN Benzoic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



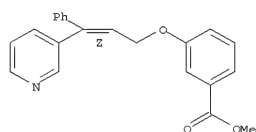
RN 89668-00-8 CAPLUS  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-01-9 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

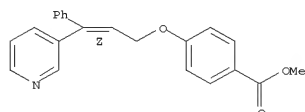
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

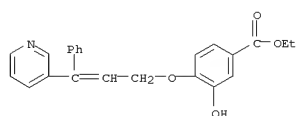


RN 89668-07-5 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

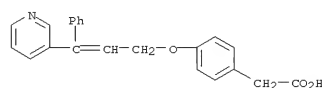


RN 89668-08-6 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

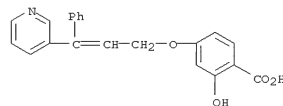


RN 89668-09-7 CAPLUS  
 CN Benzoic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

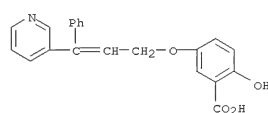
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



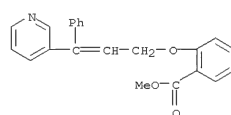
RN 89668-03-1 CAPLUS  
 CN Benzoic acid, 2-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-04-2 CAPLUS  
 CN Benzoic acid, 2-hydroxy-5-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

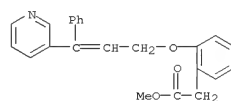


RN 89668-05-3 CAPLUS  
 CN Benzoic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

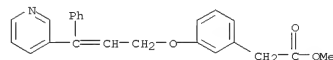


RN 89668-06-4 CAPLUS

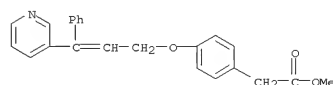
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



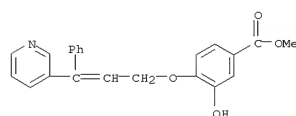
RN 89668-10-0 CAPLUS  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-11-1 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-12-2 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

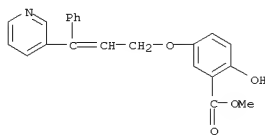


RN 89668-13-3 CAPLUS  
 CN Benzoic acid, 2-hydroxy-5-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

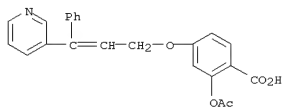
02/29/2008

10-566,291.trn

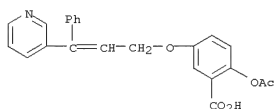
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 89668-32-6 CAPLUS  
 CN Benzoic acid, 2-(acetyloxy)-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-  
 (9CI) (CA INDEX NAME)



RN 89668-33-7 CAPLUS  
 CN Benzoic acid, 2-(acetyloxy)-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-  
 (9CI) (CA INDEX NAME)



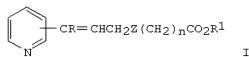
RN 89668-34-8 CAPLUS  
 CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl  
 ester,  
 (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

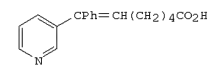
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:156509 CAPLUS  
 DOCUMENT NUMBER: 100:156509  
 ORIGINAL REFERENCE NO.: 100:23843a,23846a  
 TITLE: Vinyl carboxylic acid derivatives and their use  
 INVENTOR(S): Terao, Shinji; Nishikawa, Kohel  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 68 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 98690	A2	19840118	EP 1983-303214	19830603
EP 98690	A3	19841114		
EP 98690	B1	19870909		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 58219162	A	19831220	JP 1982-102488	19820614
JP 63047707	B	19880926		
JP 59101465	A	19840612	JP 1982-211753	19821201
JP 02044467	B	19901004		
AT 29491	T	19870915	AT 1983-303214	19830603
PRIORITY APPLN. INFO.:			JP 1982-102488	A 19820614
			JP 1982-211753	A 19821201
			EP 1983-303214	A 19830603
OTHER SOURCE(S):		CASREACT 100:156509; MARPAT 100:156509		
GI				



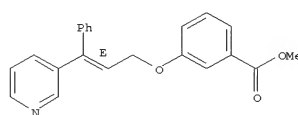
I



II

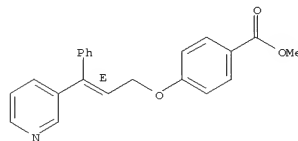
AB Pyridine-substituted title compds. I [R = (un)substituted Ph, thienyl, furyl, naphthyl, benzothenyl, pyridyl; R1 = H, alkyl; Z = CH2S, acetoxy- or hydroxy-substituted OC6H4, n = 0-6] were prepared. Thus, 3-benzoylpyridine was subjected to a Wittig reaction with Ph3P+(CH2)5CO2H Br- to give pyridinylheptenoic acid (E)- and (Z)-II (1:1). The mixture was repeatedly isomerized by treatment with aqueous HBr to give 61.7% (E)-II. In

L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



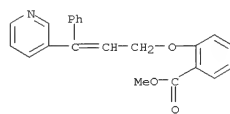
RN 89668-35-9 CAPLUS  
 CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl  
 ester,  
 (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



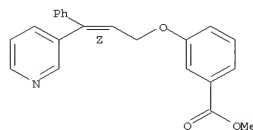
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

horse platelet microsomes treated with indomethacin 3 + 10-8M (E)-II gave a 58.5% inhibition of thromboxane A2 synthetase activity.  
 IT 89668-05-3P 89668-06-4P 89668-07-5P  
 89668-08-6P 89668-09-7P 89668-10-0P  
 89668-11-1P 89668-12-2P 89668-13-3P  
 89668-34-8P 89668-35-9P  
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and saponification of)  
 RN 89668-05-3 CAPLUS  
 CN Benzoic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester  
 (9CI) (CA INDEX NAME)



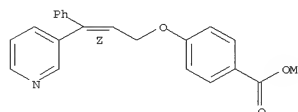
RN 89668-06-4 CAPLUS  
 CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl  
 ester,  
 (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 89668-07-5 CAPLUS  
 CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl  
 ester,  
 (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

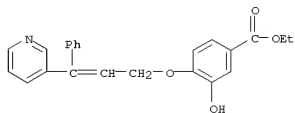


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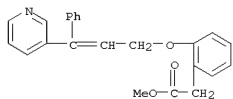
10-566,291.trn

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

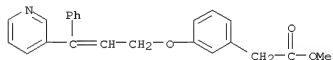
RN 89668-08-6 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



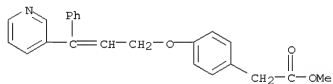
RN 89668-09-7 CAPLUS  
 CN Benzeneacetic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



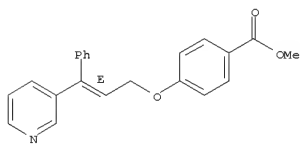
RN 89668-10-0 CAPLUS  
 CN Benzeneacetic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



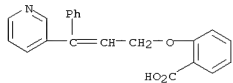
RN 89668-11-1 CAPLUS  
 CN Benzeneacetic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



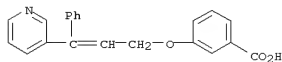
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



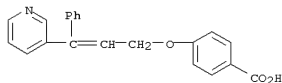
IT 89667-95-8P 89667-96-9P 89667-97-0P  
 89667-98-1P 89667-99-2P 89668-00-8P  
 89668-01-9P 89668-03-1P 89668-04-2P  
 89668-32-6P 89668-33-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 89667-95-8 CAPLUS  
 CN Benzoic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89667-96-9 CAPLUS  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



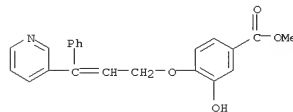
RN 89667-97-0 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



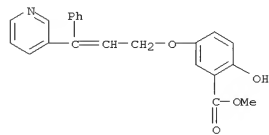
RN 89667-98-1 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 89668-12-2 CAPLUS  
 CN Benzoic acid, 3-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

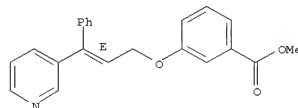


RN 89668-13-3 CAPLUS  
 CN Benzoic acid, 2-hydroxy-5-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-34-8 CAPLUS  
 CN Benzoic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

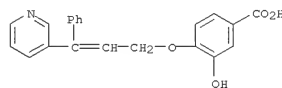
Double bond geometry as shown.



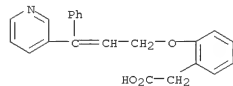
RN 89668-35-9 CAPLUS  
 CN Benzoic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

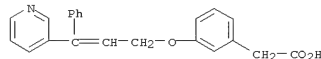
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



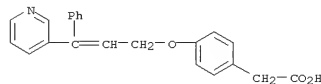
RN 89667-99-2 CAPLUS  
 CN Benzeneacetic acid, 2-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-00-8 CAPLUS  
 CN Benzeneacetic acid, 3-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-01-9 CAPLUS  
 CN Benzeneacetic acid, 4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

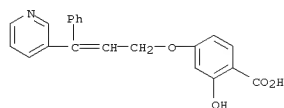


RN 89668-03-1 CAPLUS  
 CN Benzoic acid, 2-hydroxy-4-[[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

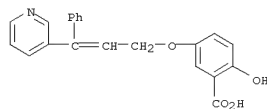
02/29/2008

10-566,291.trn

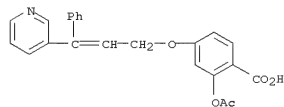
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



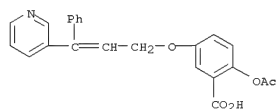
RN 89668-04-2 CAPLUS  
 CN Benzoic acid, 2-(3-phenyl-3-(3-pyridinyl)-2-propenyl)oxy-  
 (9CI) (CA INDEX NAME)



RN 89668-32-6 CAPLUS  
 CN Benzoic acid, 2-(acetyloxy)-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-  
 (9CI) (CA INDEX NAME)



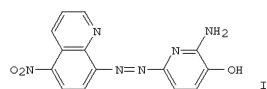
RN 89668-33-7 CAPLUS  
 CN Benzoic acid, 2-(acetyloxy)-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-  
 (9CI) (CA INDEX NAME)



L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:94463 CAPLUS  
 DOCUMENT NUMBER: 100:94463  
 ORIGINAL REFERENCE NO.: 100:14193a,14196a  
 TITLE: Photographic recording material employing a nondiffusible cyan dye-releasing compound or its precursor  
 INVENTOR(S): Reczek, James A.; Elwood, James K.  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: Eur. Pat. Appl., 44 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 95127	A2	19831130	EP 1983-104850	19830517
EP 95127	A3	19840425		
EP 95127	B1	19860806		
CA 1202961	A1	19860408	CA 1982-410800	19820903
JP 58209742	A	19831206	JP 1983-88393	19830521
PRIORITY APPLN. INFO.:			US 1982-380844	A 19820521
OTHER SOURCE(S):		MARPAT 100:94463		



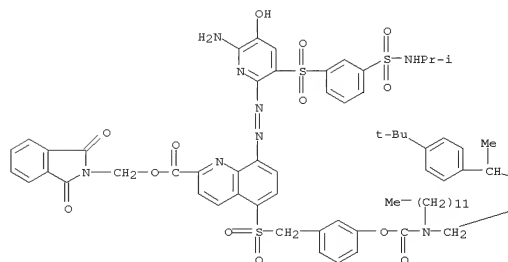
AB Photog. nondiffusible compound is described capable of releasing 21 cyan dye moiety comprising a 6-heterocyclazo-3-pyridinol compound. The dye-releasing compound can be premetallized or a metal complex of the released dye can be formed in an image receiving layer. Thus, a receiving element comprising a poly(ethylene terephthalate) support, a metal-complexing layer containing NiSO<sub>4</sub>·6H<sub>2</sub>O 0.58, gelatin 1.08 g/m<sup>2</sup>, and a poly(4-vinylpyridine) 2.15-gelatin 2.15 g/m<sup>2</sup> mordant layer was immersed in an alkaline solution of I, removed, washed with H<sub>2</sub>O, placed in pH = 7 buffer and dried. The λ<sub>max</sub> of the obtained Ni-complexed dyes was 679 nm and half bandwidth 95 nm (transmission spectrum was normalized to a d. of 1). The element at pH = 7 was subjected to 21 days of irradiation by a 6000 W Xe lamp through a UV filter at 38° showing fade of 5% (loss of d. at

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 88606-47-7P  
 RL: PREP (Preparation)  
 (preparation of, as photog. cyan dye releasing compound)  
 RN 88606-47-7 CAPLUS  
 CN 2-Quinolinecarboxylic acid, 5,5'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenemethylenesulfonyl]]bis[8-[6-amino-5-hydroxy-3-[[3-[[1-methylethyl]amino]sulfonyl]phenyl]sulfonyl]-2-pyridinyl]azo]-, bis[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

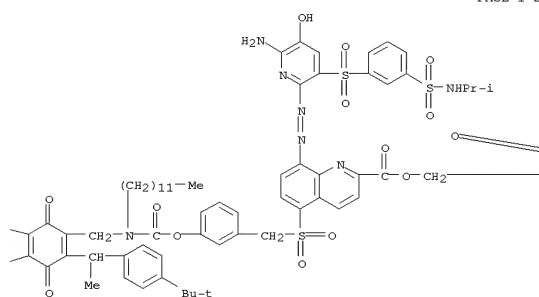


02/29/2008

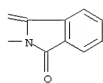
10-566,291.trn

L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

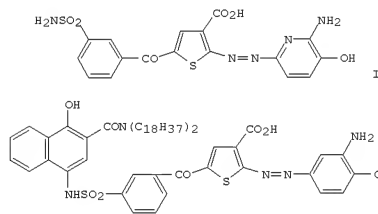


PAGE 1-C



L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



AB Diffusion-transfer photog. elements are described which use a nondiffusible compound having a 6-(2-thienylazo)-3-pyridinol cyan dye moiety or precursor thereof releasable under alkaline conditions. Thus, the azo dye moiety (I) released from a redox-dye releasing compound, such as II, was adsorbed on a receiving element consisting of a poly(ethylene terephthalate) film support having thereon a layer containing Ni sulfate hexahydrate 0.58 and gelatin 1.08 g/m<sup>2</sup> and a layer containing poly(4-vinylpyridine) 2.15 and gelatin 2.15 g/m<sup>2</sup>. The resulting Ni-complexed dye showed a  $\lambda_{max}$  at 644 nm, a half band width at 119 nm and when exposed in a Xe Arc fading apparatus the original d., final d. and % fade were 1.74, 1.72, and 3.0%, resp.

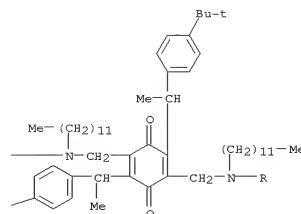
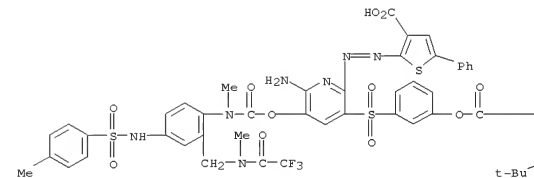
IT 84361-98-8  
 RL: USES (Uses)  
 (photog. pos. redox dye-releasing compound)  
 RN 84361-98-8 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diylidene]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl[6-amino-5-[[[methyl[4-[[[4-methylphenyl]sulfonyl]amino]-2-[[methyl(trifluoroacetyl)amino]methyl]phenyl]amino]carbonyloxy]-3,2-pyridinediyl]azo]]bis[5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:63281 CAPLUS  
 DOCUMENT NUMBER: 98:63281  
 ORIGINAL REFERENCE NO.: 98:9553a,9556a  
 TITLE: Photographic products and processes employing nondiffusible 6-(2-thienylazo)-3-pyridinol cyan dye-releasing compounds and their precursors  
 INVENTOR(S): Krutak, James J.; Maleski, Robert J.; Moore, William H.  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: U.S., 25 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

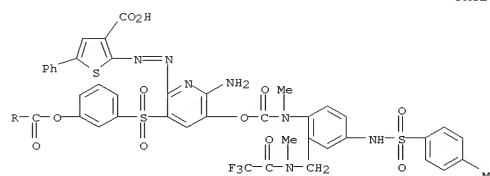
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4346161	A	19820824	US 1981-258845	19810429
US 4385104	A	19830524	US 1982-348381	19820212
US 4396546	A	19830802	US 1982-348382	19820212
CA 1171408	A1	19840724	CA 1982-398168	19820311
EP 63808	A1	19821103	EP 1982-103511	19820426
EP 63808	B1	19850918		
R: DE, FR, GB				
JP 57185039	A	19821115	JP 1982-71638	19820430
JP 63030617	B	19880620		
PRIORITY APPLN. INFO.:			US 1981-258845	A3 19810429
OTHER SOURCE(S):		MARPAT 98:63281		
GI				

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A



02/29/2008

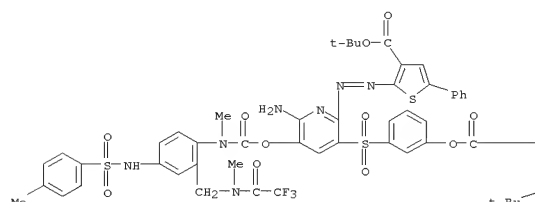
10-566,291.trn

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

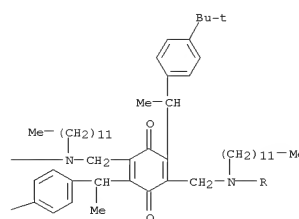
IT 84362-24-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)

RN 84362-24-3 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl[6-amino-5-[[[methyl[4-[[[4-(4-methylphenyl)sulfonyl]amino]-2-[[methyl(trifluoroacetyl)amino]methyl]phenyl]amino]carbonyloxy]-3,2-pyridinediyl]azo]]bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

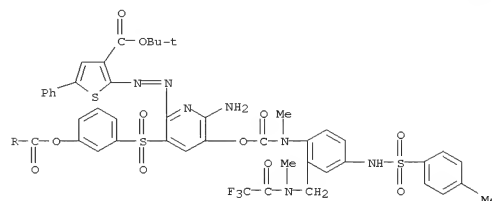
PAGE 1-A



PAGE 1-B



PAGE 2-A

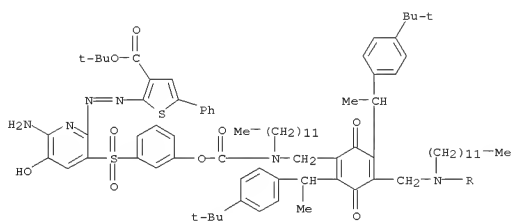


IT 84362-23-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, with methyl[(methyltrifluoroacetamidomethyl)(t oluenesulfonamido)phenyl]carbamoyl chloride)

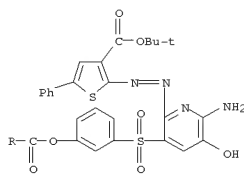
RN 84362-23-2 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl[6-amino-5-hydroxy-3,2-pyridinediyl]azo]]bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

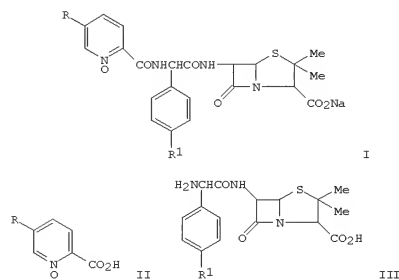


L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:527392 CAPLUS  
 DOCUMENT NUMBER: 97:127392  
 ORIGINAL REFERENCE NO.: 97:21137a,21140a  
 TITLE: Penicillin derivatives  
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57018686	A	19820130	JP 1980-92296	19800708

<-- PRIORITY APPLN. INFO.: JP 1980-92296 A 19800708  
 <-- GI



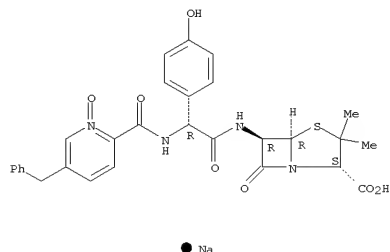
AB Penicillin derivs. D-I (R, R<sub>1</sub> = Bu, H; Bu, HO; C<sub>5</sub>H<sub>11</sub>, H; C<sub>5</sub>H<sub>11</sub>, HO; CH<sub>2</sub>ClCHClCH<sub>2</sub>CH<sub>2</sub>, H; CH<sub>2</sub>ClCHClCH<sub>2</sub>CH<sub>2</sub>, HO; CH<sub>2</sub>BrCHBrCH<sub>2</sub>CH<sub>2</sub>, HO; PhCH<sub>2</sub>, HO) were prepared by, e.g., reaction of II with III. Min. inhibition concns. of D-I were given against 18 bacteria strains. Thus, stirring 390 mg II (R = Bu) with 309 mg N-hydroxysuccinimide and 433 mg DCC in DMF 1 h at 0-10° and 8 h at room temperature gave an active ester solution, which was added to a mixture of 967 mg ampicillin-3H<sub>2</sub>O and 0.426 mL Et<sub>3</sub>N in aqueous DMF with ice cooling to give, after 3 h, 350 mg D-I (R = Bu, R<sub>1</sub> = H).  
 IT 82653-99-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

02/29/2008

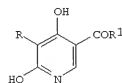
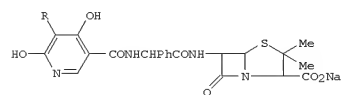
10-566,291.trn

L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (prepn. and bactericidal activity of)  
 RN 82653-99-4 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[4-  
 hydroxyphenyl] [[1-oxido-5-(phenylmethyl)-2-pyridinyl]carbonyl]amino]acetyl  
 amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-  
 [2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



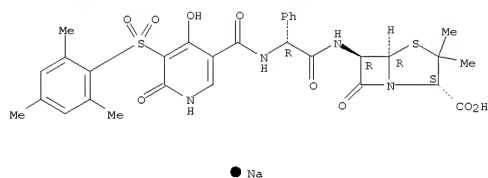
L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1981:569063 CAPLUS  
 DOCUMENT NUMBER: 95:169063  
 ORIGINAL REFERENCE NO.: 95:28261a,28264a  
 TITLE: New broad spectrum semisynthetic penicillins  
 Koda, Akio; Murakami, Yukiyasu; Sozu, Isao; Nakano,  
 Kohzi; Kashiwagi, Teruya; Isaka, Ichiro; Murakami,  
 Masuo  
 CORPORATE SOURCE: Yamanouchi Pharma K. K., Tokyo, Japan  
 SOURCE: Yamanouchi Seiyaku Kenkyu Hokoku (1980), 4,  
 11-15  
 CODEN: YSKHDO; ISSN: 0287-2935  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Penicillins I (R = SMe, SEt, SPh, SCH2Ph, SOEt, SO2Et, Cl) were prepared  
 by  
 treating ampicillin with the nicotinoyl azides II (R1 = N3), obtained by  
 treating II (R1 = OMe) with N2H4 and treating II (R1 = N3) with NaNH2.  
 I had min. inhibitory concns. against Proteus vulgaris of 0.19  $\mu$ g/mL,  
 compared with ampicillin 0.39  $\mu$ g/mL.  
 IT 79398-20-2P 79398-22-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (preparation and bactericidal activity of)  
 RN 79398-20-2 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid,  
 6-[[[1,6-dihydro-4-  
 hydroxy-6-oxo-5-[(2,4,6-trimethylphenyl)sulfonyl]-3-  
 pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-  
 monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX  
 NAME)

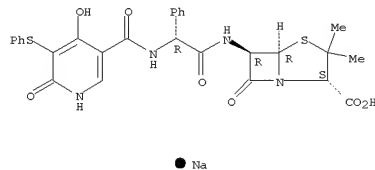
Absolute stereochemistry.

L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

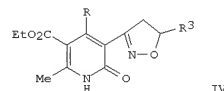
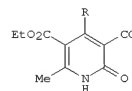
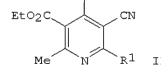
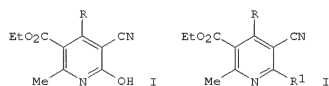


RN 79398-22-4 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid,  
 6-[[[1,6-dihydro-4-  
 hydroxy-6-oxo-5-(phenylthio)-3-pyridinyl]carbonyl]amino]phenylacetyl]amino  
 ]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)  
 ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1981:550360 CAPLUS  
 DOCUMENT NUMBER: 95:150360  
 ORIGINAL REFERENCE NO.: 95:25159a,25162a  
 TITLE: Synthesis and reactions of  
 4-aryl-5-carbethoxy-3-cyano-  
 6-methyl-2(H)-pyridones  
 AUTHOR(S): Elkasaby, M. A.; Elshahed, F.  
 CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt  
 SOURCE: Indian Journal of Chemistry, Section B: Organic  
 Chemistry Including Medicinal Chemistry (1981  
 ), 20B(5), 428-31  
 CODEN: IJUSDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 95:150360  
 GI

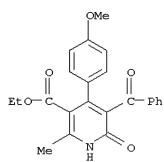


AB The pyridones I (R = Ph, p-MeOC6H4, p-Me2NC6H4) were prepared by  
 cyclization  
 of EtO2C(COMe):CHR with EtO2CCH2CN. I reacted with Me2SO4 and PhCH2Cl to  
 give the pyridines II (R1 = MeO, PhCH2O) and with POC13 to give II (R1 =  
 Cl). I (R = Ph, p-MeOC6H4) were treated with Grignard reagents to give  
 the pyridones III (R2 = Me, Ph). III (R2 = Me) were condensed with  
 aldehydes followed by cyclization with HONH2 to give the isoxazolines IV  
 (R3 = Ph, p-MeOC6H4).  
 IT 78942-15-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 78942-15-1 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 5-benzoyl-1,6-dihydro-4-(4-methoxyphenyl)-2-  
 methyl-6-oxo-, ethyl ester (CA INDEX NAME)

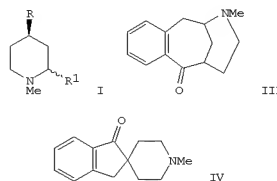
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L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

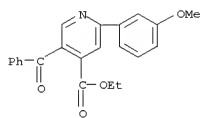


L4 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1981:497560 CAPLUS  
 DOCUMENT NUMBER: 95:97560  
 ORIGINAL REFERENCE NO.: 95:16383a,16386a  
 TITLE: Bridged-ring nitrogen compounds. Part 5. Synthesis of 2,6-methano-3-benzazonine ring-systems  
 AUTHOR(S): Proctor, George R.; Smith, Francis J.  
 CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, G1 1XL, UK  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (6), 1754-62  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 95:97560  
 GI



AB Sequential quaternization (MeI), reaction with KCN, methanolysis, and catalytic hydrogenation of 4-benzyl- and 4-(3-methoxybenzyl)pyridine gave mixts. of the cis- and trans-piperidines I (R = CH2Ph, CH2C6H4OMe-3; R1 =  $\beta$ -,  $\alpha$ -CO2Me). cis-I (R = CO2Et, R1 =  $\beta$ -CH2Ph), prepared [together with 4-benzyl-4-(ethoxycarbonyl)-1-methylpiperidine (II)] by sequential reduction, quaternization (PhCH2Br), Stevens rearrangement, and catalytic hydrogenation of Et N-methylisonicotinium iodide, was converted to its amino acid hydrochloride and cyclized in polyphosphoric acid at 160° to give the methanobenzazoninone III. II, which was also prepared by benzylation of 4-(ethoxycarbonyl)-1-methylpiperidine in the presence of (Me2CH)2NLi, was hydrolyzed and cyclized to give the spiro compound IV.  
 IT 78815-66-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 78815-66-4 CAPLUS  
 CN 4-Pyridinecarboxylic acid, 5-benzoyl-2-(3-methoxyphenyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1975:593296 CAPLUS  
 DOCUMENT NUMBER: 83:193296  
 ORIGINAL REFERENCE NO.: 83:30409a,30412a  
 TITLE:  $\alpha$ -(Nicotinoylamino)benzylpenicillin derivatives  
 INVENTOR(S): Isaka, Ichiro; Murakami, Masuo; Kohda, Akio; Sozu, Isao; Murakami, Yukiyasu; Nakano, Koji  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXKAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50025586	A	19750318	JP 1973-76903	19730707

<-- PRIORITY APPLN. INFO.: JP 1973-76903 19730707  
 <--

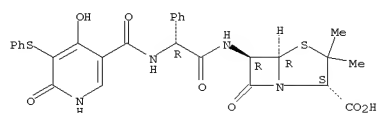
GI For diagram(s), see printed CA Issue.  
 AB  $\alpha$ -(Nicotinoylamino)benzylpenicillins I (R1 = R2 (R = alkyl, phenylalkyl, phenyl, pyridyl, they may have substituents; Z = S, SO, SO2), sulfinio, sulfo; R2, R3 = H, OH, alkoxy-carbonyloxy] were prepared by reaction of ampicillin (II) with nicotinic acid derivs. (III) or their reactive derivs. I are bactericides (no data). Thus, 1.08 ml SOC12 in CH2Cl2 was added to a solution of 2.71 g 4,6-dihydroxy-5-sulfonicotinic acid-2H2O and 4.2 ml Et3N in CH2Cl2 at -20°, the mixture stirred 1 hr, a solution of 4 g II.4H2O and 2.8 g Et3N in CH2Cl2 added at -20° to -30°, and the whole stirred 2 hr at -20° to give 1.6 g D- $\alpha$ -(4,6-dihydroxy-5-sulfonicotinoylamino)benzylpenicillin di-Na salt. Among 7 more I prepared were D- $\alpha$ -I-2Na (R2 = R3 = OH; R1 = MeS, EtS, PhCH2S, PhS).  
 IT 57151-78-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)  
 RN 57151-78-7 CAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[1,6-dihydro-4-hydroxy-6-oxo-5-(phenylthio)-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, disodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

02/29/2008

10-566,291.trn

L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 Na

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:82958 CAPLUS  
DOCUMENT NUMBER: 80:82958  
ORIGINAL REFERENCE NO.: 80:13357a,13360a  
TITLE: D-( $\alpha$ -Formamidobenzyl)penicillins  
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimago, Kozo;  
Nakatsuka, Iwao; Shigeru, Ibaragi; Nakagome,  
Takenari;  
Eda,  
Yasuko  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
SOURCE: Ger. Offen., 31 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2312976	A1	19730927	DE 1973-2312976	19730315
<-- JP 48092391	A	19731130	JP 1972-26759	19720315
<-- JP 56009511	B	19810302		
FR 2181818	A1	19731207	FR 1973-9153	19730314
<-- CH 590290	A5	19770729	CH 1973-3715	19730314
<-- CA 1049504	A1	19790227	CA 1973-166058	19730314
<-- NL 7303660	A	19730918	NL 1973-3660	19730315
<-- GB 1409177	A	19751008	GB 1973-12580	19730315
<-- HU 168866	B	19760728	HU 1973-SU806	19730315
<-- US 4008220	A	19770215	US 1974-495914	19740808
<-- PRIORITY APPLN. INFO.:			JP 1972-26759	A 19720315
<--			US 1973-341723	A2 19730315
<--			HU 1974-SU806	A 19740805
GI				
AB				
For diagram(s), see printed CA Issue. Twenty-six benzylpenicillins I [R = e.g. 5,6-trimethylene-4-(ethoxycarbonyloxy)-3-pyridyl, 5,6-tetramethylene-4-hydroxy-3-pyridyl, 3-acetyl-4-hydroxy-2-methyl-5-pyridyl, 2,4-dihydroxy-5-pyrimidinyl, 3-hydroxy-4-pyridazinyl, 2-hydroxy-3-pyridyl] or their Na or K salts were prepared by reaction of $\alpha$ -aminobenzylpenicillin salts with RCO <sub>2</sub> H or their esters. I were used as broad-spectrum microbiocides and were active also against Pseudomonas species.				

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

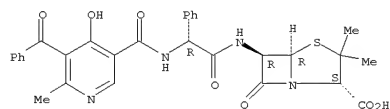
IT 50617-39-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 50617-39-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[(5-benzoyl-4-hydroxy-6-methyl-3-pyridinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



02/29/2008

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